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NEWS 12 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment  
NEWS 13 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary  
NEWS 14 DEC 17 CA/CAplus enhanced with new custom IPC display formats  
NEWS 15 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD  
NEWS 16 JAN 02 STN pricing information for 2008 now available  
NEWS 17 JAN 16 CAS patent coverage enhanced to include exemplified prophetic substances  
NEWS 18 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats  
NEWS 19 JAN 28 MARPAT searching enhanced  
NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication  
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment  
NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements  
NEWS 23 FEB 08 STN Express, Version 8.3, now available  
NEWS 24 FEB 20 PCI now available as a replacement to DPCI  
NEWS 25 FEB 25 IFIREF reloaded with enhancements  
NEWS 26 FEB 25 IMSPRODUCT reloaded with enhancements  
NEWS 27 FEB 29 WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification  
NEWS 28 MAR 31 IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats  
NEWS 29 MAR 31 CAS REGISTRY enhanced with additional experimental spectra  
NEWS 30 MAR 31 CA/CAplus and CASREACT patent number format for U.S. applications updated  
NEWS 31 MAR 31 LPCI now available as a replacement to LDPCI  
NEWS 32 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements

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STRUCTURE FILE UPDATES: 31 MAR 2008 HIGHEST RN 1011196-35-2  
DICTIONARY FILE UPDATES: 31 MAR 2008 HIGHEST RN 1011196-35-2

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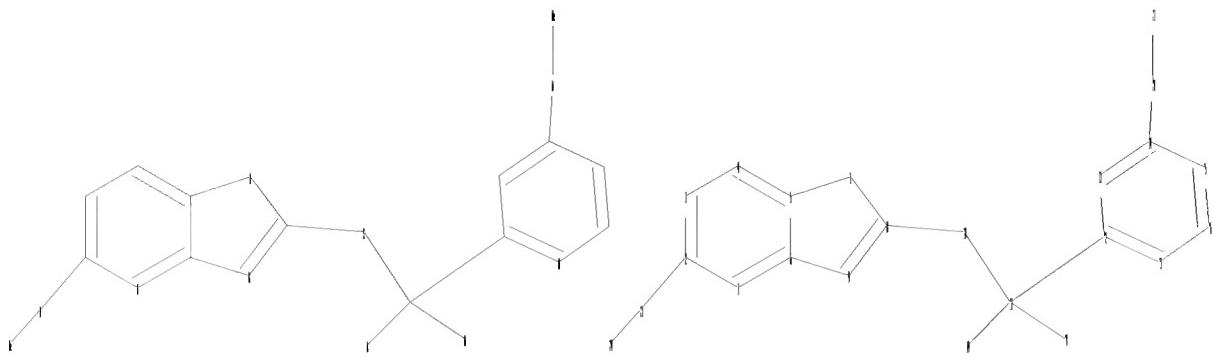
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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<http://www.cas.org/support/stnqen/stndoc/properties.html>

=>  
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chain nodes :
10 11 18 19 20 21 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
chain bonds :
2-23 8-10 10-11 11-12 11-18 11-19 14-20 20-21 23-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
2-23 5-7 6-9 7-8 8-9 8-10 10-11 14-20
exact bonds :
11-12 11-18 11-19 20-21 23-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 12 :

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 23:CLASS 24:CLASS

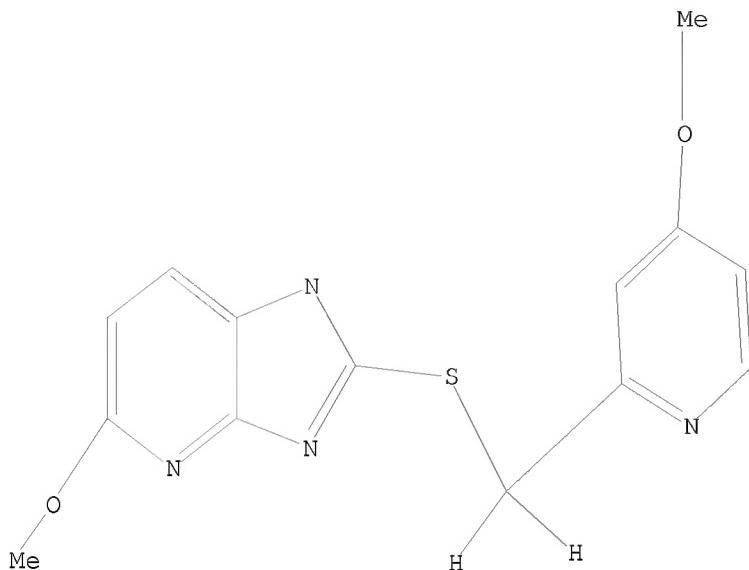
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L1           STRUCTURE UPLOADED

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=> d 11
L1 HAS NO ANSWERS
L1           STR

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Structure attributes must be viewed using STN Express query preparation.

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=> ]s l1
]S IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).
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=> s l1 full
FULL SEARCH INITIATED 14:06:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 438 TO ITERATE

100.0% PROCESSED 438 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

L2 54 SEA SSS FUL L1
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=> file caplus	COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST		178.36	178.57

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FILE 'CAPLUS' ENTERED AT 14:06:23 ON 01 APR 2008
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FILE COVERS 1907 - 1 Apr 2008 VOL 148 ISS 14  
FILE LAST UPDATED: 31 Mar 2008 (20080331/ED)

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<http://www.cas.org/infopolicy.html>

=> s l2 full  
L3 138 L2

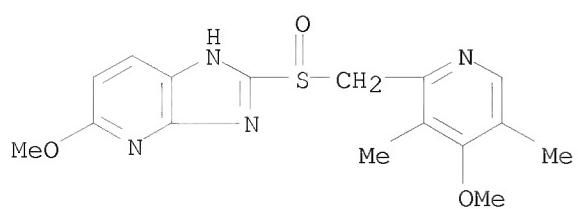
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L3 ANSWER 1 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:192011 CAPLUS  
 DOCUMENT NUMBER: 148:222057  
 TITLE: Oral polyvinyl alcohol capsules comprising proton pump  
       inhibitors, for reduction and/or prevention of  
       gastrointestinal disorders  
 INVENTOR(S): Baecklund, Gunilla; Loevgren, Kurt  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 38pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008018825	A1	20080214	WO 2007-SE710	20070808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2006-836722P	P 20060810
			US 2006-863161P	P 20061027

**AB** The present invention relates to an oral pharmaceutical dosage form comprising a proton pump inhibitor characterized in that the dosage form is in the form of a capsule comprising a pharmaceutical formulation containing a proton pump inhibitor, optionally other pharmaceutically acceptable excipient(s) and optionally addnl. pharmaceutically active substance(s), and the capsule material comprises a polyvinyl alc. or a polyvinyl alc. derivative or a mixture thereof. The present invention also relates to a process for manufacturing the oral pharmaceutical dosage form and to the use in medicine thereof. Thus, hard capsules comprising polyvinyl alc. (PVA) and hard capsules comprising gelatin were filled with enteric coating layered units corresponding to a dose of 10 mg omeprazole, kept in glass bottles without desiccant and stored in accelerated conditions of 50°C as well as 40°C/75% relative humidity. The level of degradation products and impurities was measured after 2 and 4 mo, as follows: 0.4% and 0.9% for PVA capsule vs. 1.6% and 2.3% for gelatin capsule stored at 50°C, resp.; 0.6% and 7.7% for PVA capsule vs. 0.9% and 22.5% for gelatin capsule stored at 40°C/75% relative humidity, resp.

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (oral polyvinyl alc. capsules comprising proton pump inhibitors, for  
       reduction and/or prevention of gastrointestinal disorders)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-  
       pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:166951 CAPLUS  
 TITLE: Improved synthetic approach to tenatoprazole  
 AUTHOR(S): Dai, Liyan; Fan, Dongbo; Wang, Xiaozhong; Chen, Yingqi  
 CORPORATE SOURCE: Institute of Pharmaceutical Engineering, College of Materials Science and Chemical Engineering, Zhejiang University, Zhejiang, Hangzhou, Peop. Rep. China  
 SOURCE: Synthetic Communications (2008), 38(4), 576-582  
 CODEN: SYNCV; ISSN: 0039-7911  
 PUBLISHER: Taylor & Francis, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB An improved synthetic approach to tenatoprazole I was described. It started from 2,3,5-trimethyl-4-nitropyridine-N-oxide with acetic anhydride via rearrangement and hydrolysis to give 2-hydroxymethyl-3,5-dimethyl-4-nitropyridine, chlorination with  $\text{SOCl}_2$  yielded 2-chloromethyl-3,5-dimethyl-4-nitropyridine hydrochloride (II), then II condensed with 2-mercaptop-5-methoxyimidazole[4,5-b]pyridine to give 5-methoxy-2-[(4-nitro-3,5-dimethyl-2-pyridinyl)methylthio]imidazole[4,5-b]pyridine (III). At last the title compound I was produced by two methods: the compound III was oxidized with MCPBA and then methoxylated with  $\text{CH}_3\text{ONa}$  to give I and III was first methoxylated with  $\text{CH}_3\text{ONa}$  and then oxidized with MCPBA to give I. The overall yield was around 26% for both five-step syntheses.

IT INDEXING IN PROGRESS

IT 113712-98-4P, Tenatoprazole

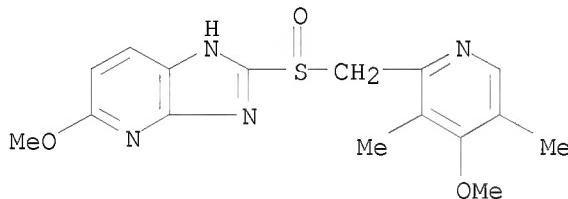
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (improved preparation of tenatoprazole starting from

trimethyl-nitropyridine-

N-oxide and acetic anhydride via rearrangement, hydrolysis, chlorination, condensation, oxidation, and methylation)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 3 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:156892 CAPLUS  
 DOCUMENT NUMBER: 148:183454  
 TITLE: Amyloid  $\beta$  production regulator containing proton pump inhibitor  
 INVENTOR(S): Okochi, Masayasu; Tagami, Shinji; Takeda, Masatoshi;  
                   Itoh, Naohiro  
 PATENT ASSIGNEE(S): Osaka University, Japan; Juridical Foundation Osaka  
                   Industrial Promotion Organization; Shionogi & Co.,  
                   Ltd.  
 SOURCE: PCT Int. Appl., 31pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008016002	A1	20080207	WO 2007-JP64872	20070730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2006-208016 A 20060731

OTHER SOURCE(S): MARPAT 148:183454

AB It is intended to provide an Ayloid  $\beta$  production regulator which contains as the active ingredient a compound having a proton pump ( $H^+/K^+$ -ATPase) inhibitory effect. The above regulator is useful as a drug for preventing and/or treating neurodegenerative diseases based on  $A\beta$  sedimentation such as Alzheimer's disease and Down's syndrome. Thus, the effects of Lansoprazole, tenatoprazole, and rabeprazole on inhibition of  $A\beta$  production in HEK293 cells were examined

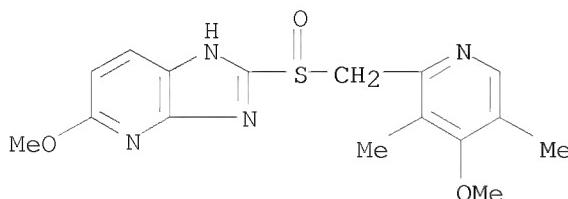
IT 113712-98-4, Tenatoprazole

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
   (Biological study); USES (Uses)

      (amyloid  $\beta$  production regulator containing proton pump inhibitor)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



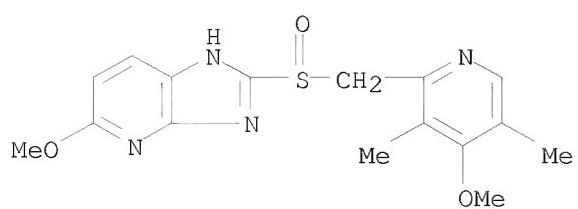
L3 ANSWER 4 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:125851 CAPLUS  
 DOCUMENT NUMBER: 148:198662  
 TITLE: Oral compositions and methods for inhibiting gastric acid secretion using derivatives of small dicarboxylic acids in combination with PPI  
 INVENTOR(S): Marash, Michael; Kostadinov, Aleksey; Atorot, Tal  
 PATENT ASSIGNEE(S): Vecta, Ltd., Israel  
 SOURCE: PCT Int. Appl., 27pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008012621	A2	20080131	WO 2007-IB2028	20070719
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-832944P P 20060725  
                           US 2006-857132P P 20061107

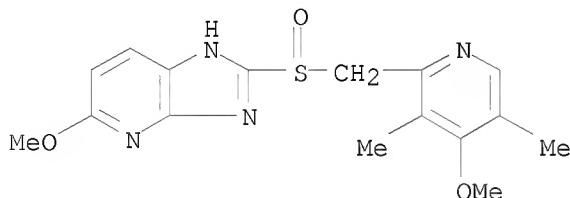
**AB** The present invention is related to novel oral compns. comprising an irreversible gastric H<sup>+</sup>/K<sup>+</sup>-ATPase proton pump inhibitor (PPI) as a gastric acid secretion inhibitor and one or more aliphatic carboxylic acid derivative mols. which activate parietal cells, wherein the derivs. possess delayed or sustained enhancement effect on the PPI activity compared to the non-derivatized acid mols. The present invention further relates to a method of using such compns. to reduce gastric acid secretion in a mammal. Thus, rats were administered (per os) with succinic acid (SA, 14.88 mg/kg), monomethyl ester of succinic acid (mS, 16.65 mg/kg) or di-Me ester of succinic acid (dmS, 17.65 mg/kg) using gavage, and gastric juice examined. Oral administration of di-Me ester of succinic acid (dmS) as well as the monomethyl ester of succinic acid (mS) were found effective in enhancing gastric output; SA did not show effect. These results indicated that the di-Me and monomethyl ester derivs. of succinic acid are capable in enhancing gastric acid output even after 60 min from dosing, suggesting delayed or sustained effect of the derivs. on gastric acid output compared to the non-derivatized succinic acid.

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
      (oral compns. and methods for inhibiting gastric acid secretion using derivs. of small dicarboxylic acids in combination with PPI)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 5 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:1639 CAPLUS  
 DOCUMENT NUMBER: 148:128239  
 TITLE: Medicinal formulation containing proton pump inhibitor and hydrotalcite  
 INVENTOR(S): Chen, Xiuyi; Feng, Guangling; Liu, Zengqiang; Li, Zhenzhi  
 PATENT ASSIGNEE(S): Institute of Pharmaceutical Industry of Shandong Province, Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 14pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
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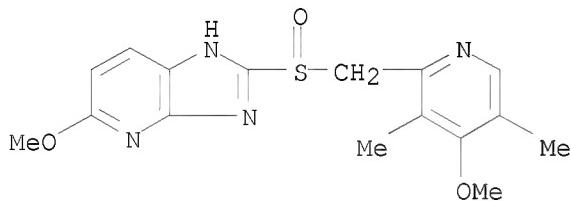
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101091719	A	20071226	CN 2007-10016126	20070702
PRIORITY APPLN. INFO.:			CN 2007-10016126	20070702
AB The title medicinal formulation (tablet, capsule, granule or dried suspension) is composed of (by%): proton pump inhibitor including benzimidazole derivs. such as omeprazole, lansoprazole, pantoprazole, etc., or their salts 0.2-2, hydrotalcite 10-95, diluting agent 0-85, corrective 0-60, adhesive 0-20, and a suitable amount of lubricant. The medicinal formulation is prepared by: (1) mixing raw materials with adjuvant, tabletting, and filling in capsule or filling in bag, or (2) dry- or wet-granulating, mixing with lubricant, then tabletting, and filling in capsule or filling in bag.				
IT 113712-98-4, Tenatoprazole	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
(medicinal formulation containing proton pump inhibitor and hydrotalcite)				
RN 113712-98-4 CAPLUS				
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)				



L3 ANSWER 6 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1448792 CAPLUS  
 DOCUMENT NUMBER: 148:62053  
 TITLE: Combinations of proton pump inhibitors, sleep aids,  
       buffers and pain relievers  
 INVENTOR(S): Hall, Warren; Proehl, Gerald T.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 34pp., Cont.-in-part of U.S.  
       Ser. No. 982,369.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
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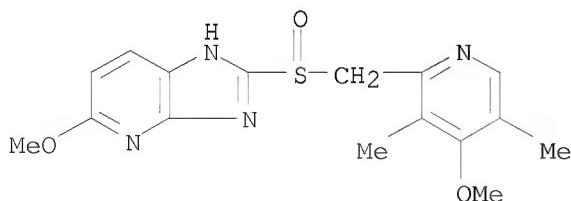
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US 20070292498	A1	20071220	US 2007-818869	20070615
US 20050244517	A1	20051103	US 2004-982369	20041105
PRIORITY APPLN. INFO.:			US 2003-517743P	P 20031105
			US 2004-982369	A2 20041105

- AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent, a sleep aid and acetaminophen, ibuprofen, aspirin or naproxen are described. Methods are described for treating gastric acid related disorders and inducing sleep, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, a sleep aid and a pain reliever.  
 IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (combinations of proton pump inhibitors and sleep aids and buffers and pain relievers)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 7 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1280905 CAPLUS  
 DOCUMENT NUMBER: 148:17608  
 TITLE: Chewable tablet of proton pump inhibitor for treating digestive system diseases  
 INVENTOR(S): Ye, Dong; Li, Xiaoxin; Dai, Yan  
 PATENT ASSIGNEE(S): Jiangsu Aosaikang Pharmaceutical Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 15pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101066279	A	20071107	CN 2007-10023576	20070608
PRIORITY APPLN. INFO.:			CN 2007-10023576	20070608
AB The chewable tablet contains at least one proton pump inhibitors 1-5, at least one carbonates 35-60, at least one hydroxides 35-60%, and also contains some suitable amount of excipient, disintegrating agent, adhesive, flavouring agent, and lubricant. The proton pump inhibitor is omeprazole, S-omeprazole, pantoprazole, lansoprazole, rabeprazole, leminoprazole, tenatoprazole and its salt. The carbonate is selected from NaHCO <sub>3</sub> , Na <sub>2</sub> CO <sub>3</sub> , MgCO <sub>3</sub> , CaCO <sub>3</sub> , or mixture thereof, and the hydroxide is selected from Mg(OH) <sub>2</sub> , Ca(OH) <sub>2</sub> , Al(OH) <sub>3</sub> , NaOH, or mixture thereof. The excipient is lactose, sorbitol, maltodextrin, etc. The disintegrating agent is crosslinking povidone, low-substituted hydroxypropyl methylcellulose, etc. The adhesive is povidone, hydroxypropyl methylcellulose, etc. The flavouring agent is aspartame, sucralose, mannitol, etc. The lubricant is magnesium stearate, talc powder, pulverized silica gel, calcium stearate, and stearic acid. The chewable tablet may be used for treating gastric, duodenal and stomal ulcer, and reflux esophagitis, zollinger-ellison syndrome, etc.				
IT 113712-98-4, Tenatoprazole	RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(chewable tablet of proton pump inhibitor for treating digestive system diseases)			
RN 113712-98-4 CAPLUS				
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)				



L3 ANSWER 8 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 20071280667 CAPLUS  
 DOCUMENT NUMBER: 148:17562  
 TITLE: New disintegrant tablet formulation of proton pump inhibitor for treating digestive system diseases  
 INVENTOR(S): Ye, Dong; Chen, Xiangfeng; Dai, Yan  
 PATENT ASSIGNEE(S): Jiangsu Aosaikang Pharmaceutical Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 16pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101066251	A	20071107	CN 2007-10023577	20070608
PRIORITY APPLN. INFO.:			CN 2007-10023577	20070608

**AB** The invention provides a new disintegrant tablet formulation of proton pump inhibitor for treating digestive system diseases. The disintegrant tablet contains at least one proton pump inhibitor, and at least one buffering agents at a weight ratio of 1:(10-200), and also contains excipient, disintegrating agent, flavoring agent, and lubricant. The proton pump inhibitor is selected from one of omeprazole, S-omeprazole, pantoprazole, lansoprazole, rabeprazole, leminoprazole, and tenatoprazole or their salts. The buffering agent is NaHCO<sub>3</sub>, Na<sub>2</sub>CO<sub>3</sub>, MgCO<sub>3</sub>, Mg(OH)<sub>2</sub>, CaCO<sub>3</sub>, Ca(OH)<sub>2</sub>, Al(OH)<sub>3</sub>, NaOH, amino acid, or mixture thereof. The disintegrant tablet can be used for treating gastric ulcer, duodenal ulcer, reflux esophagitis, Zollinger-Ellison syndrome, etc.

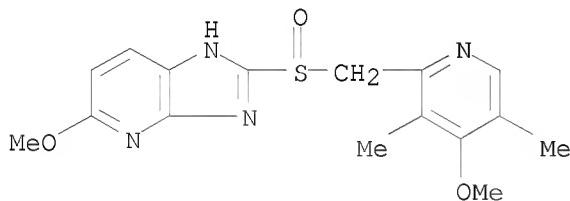
**IT** 113712-98-4, Tenatoprazole

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(new disintegrant tablet formulation of proton pump inhibitor for treating digestive system diseases)

**RN** 113712-98-4 CAPLUS

**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

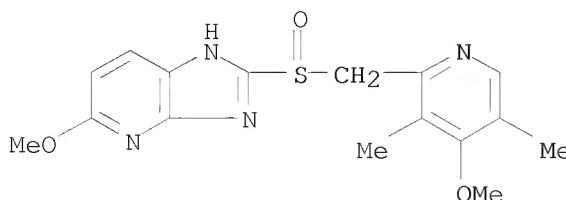


L3 ANSWER 9 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 20071278739 CAPLUS  
 DOCUMENT NUMBER: 147:528135  
 TITLE: Compositions and methods for inhibiting gastric acidity using endoperoxide bridge-containing compounds  
 INVENTOR(S): Marash, Michael  
 PATENT ASSIGNEE(S): Vecta, Ltd., Israel  
 SOURCE: PCT Int. Appl., 43pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007125397	A2	20071108	WO 2007-IB1078	20070425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2006-795165P	P 20060427
			US 2006-843705P	P 20060912
			US 2006-860803P	P 20061124

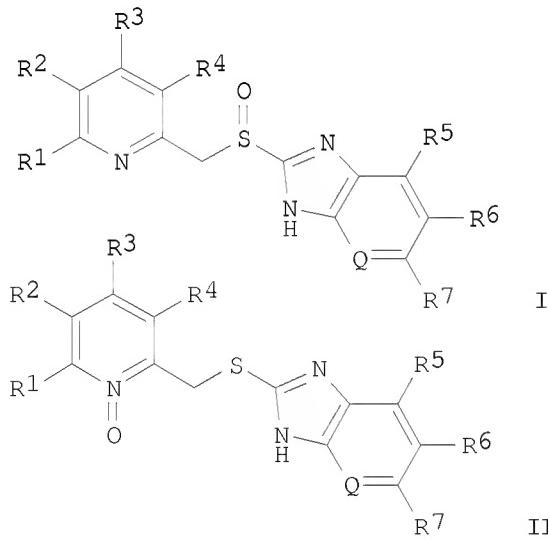
OTHER SOURCE(S): MARPAT 147:528135

- AB The present invention provides compns. to be used in conditions in which the reduction of gastric acidity or inhibition of gastric acid secretion is beneficial. The compns. comprise one or more endoperoxide-bearing compds. effective in the inhibition of gastric acid secretion or in reducing gastric acidity. The compns. of the present invention preferably further comprise a substituted benzimidazole H+/K+-ATPaSe proton pump inhibitor (PPI) or H2 blocker in order to obtain more effective reduction of gastric acidity or inhibition of gastric acid secretion. Thus, i.v. composition was prepared containing artesunate 40 mg/kg and indomethacin 9.3 mg/kg.
- IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. and methods for inhibiting gastric acidity using endoperoxide bridge-containing compds.)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



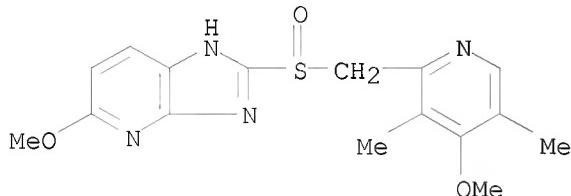
L3 ANSWER 10 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1203188 CAPLUS  
 DOCUMENT NUMBER: 147:486439  
 TITLE: A process for the preparation of ((pyridin-2-ylmethyl)sulfinyl)-1H-benzimidazoles from ((1-oxopyridin-2-ylmethyl)sulfanyl)-1H-benzimidazoles in the presence of transition metal catalysts  
 INVENTOR(S): Allegrini, Pietro; Rasparini, Marcello; Razzetti, Gabriele; Rossi, Roberto; Ventimiglia, Gianpiero  
 PATENT ASSIGNEE(S): Dipharma Francis S.r.l., Italy  
 SOURCE: Eur. Pat. Appl., 12pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1847538	A1	20071024	EP 2007-7754	20070417
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CA 2585602	A1	20071021	CA 2007-2585602	20070420
CN 101058571	A	20071024	CN 2007-10104432	20070420
US 20070249662	A1	20071025	US 2007-737852	20070420
IN 2007KO00622	A	20071102	IN 2007-KO622	20070420
JP 2007291101	A	20071108	JP 2007-111789	20070420
PRIORITY APPLN. INFO.:			IT 2006-MI787	A 20060421
			IT 2006-MI1949	A 20061011
OTHER SOURCE(S): GI			CASREACT 147:486439; MARPAT 147:486439	



AB A process for the preparation of ((pyridin-2-ylmethyl)sulfinyl)-1H-benzimidazoles I [wherein Q = (un)substituted CH or N; R1 - R8 = H, halo, OH, nitro, etc.] or its salts were prepared from the corresponding ((1-oxopyridin-2-ylmethyl)sulfanyl)-1H-benzimidazoles II (Q, R1 - R8 =

same as above) in the presence of transition metal catalysts.  
IT 113712-98-4P, 5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of ((pyridinylmethyl)sulfinyl)benzimidazoles from ((oxopyridinylmethyl)sulfanyl)benzimidazoles in the presence of transition metal catalysts)  
RN 113712-98-4 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:1197863 CAPLUS  
DOCUMENT NUMBER: 147:433855  
TITLE: Chiral separation of tenatoprazole enantiomers using high performance liquid chromatography on vancomycin-bonded chiral stationary phase  
AUTHOR(S): Guan, Jin; Yang, Jing; Bi, Yujin; Shi, Shuang; Li, Famei  
CORPORATE SOURCE: School of Pharmacy, Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China  
SOURCE: Sepu (2007), 25(5), 732-734  
CODEN: SEPUER; ISSN: 1000-8713  
PUBLISHER: Kexue Chubanshe  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese

AB Vancomycin-bonded chiral stationary phase was used for the direct chiral separation of tenatoprazole enantiomers using reversed-phase high performance liquid chromatog. (HPLC). The influences of the kinds and concentration of buffer and organic modifier, the pH value of buffer, column length and column temperature

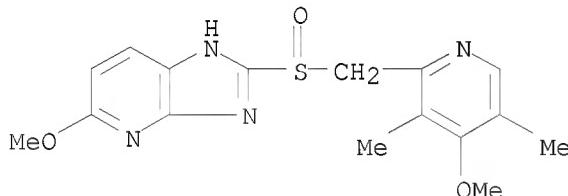
on the separation were examined. The chiral HPLC method for the separation of tenatoprazole enantiomers on a Chirobiotic V column (150 mm × 4.6 mm, 5 μm) was established with simplicity and good reproducibility using 0.02 mol/L ammonium acetate buffer (pH 6.0)-tetrahydrofuran (93:7, volume/volume) as the mobile phase at a flow rate of 0.5 mL/min and 20°. Under the above conditions, the enantiomers were separated on baseline with the resolution of 1.68. The relative standard deviations (RSDs) for the retention times of tenatoprazole enantiomers were 0.48% and 0.49% (n = 6). The RSDs for the peak areas of tenatoprazole enantiomers were 0.45% and 0.55% (n = 6).

IT 113712-98-4, Tenatoprazole 705968-86-1  
705969-00-2

RL: ANT (Analyte); ANST (Analytical study)  
(resolution of tenatoprazole enantiomers by reversed phase HPLC on vancomycin-bonded chiral stationary phase)

RN 113712-98-4 CAPLUS

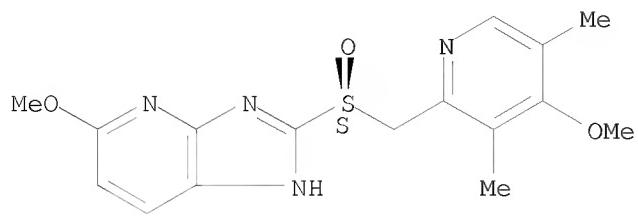
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

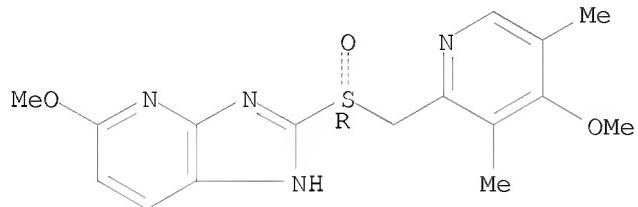
Absolute stereochemistry. Rotation (-).



RN 705969-00-2 CAPLUS

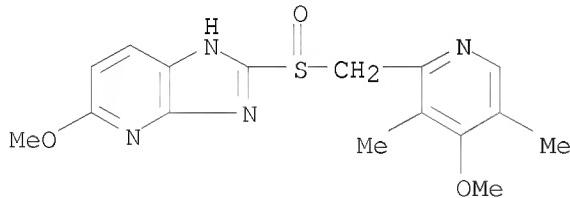
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



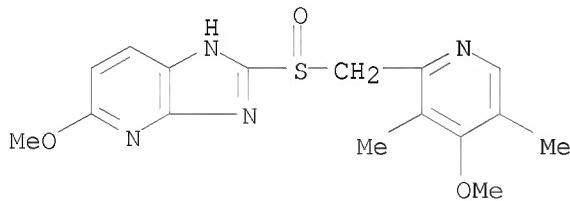
L3 ANSWER 12 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1071866 CAPLUS  
 DOCUMENT NUMBER: 147:433461  
 TITLE: GI capsules containing combination of proton pump inhibitors and stimulators for improving the gastrointestinal motility  
 INVENTOR(S): Li, Xiaotao; Dai, Chengxiang; Wang, Yan; Wang, Wenyuan; Yu, Duo; Li, Hua; Lin, Yajun  
 PATENT ASSIGNEE(S): Beijing Hafo Biomedical Research Center, Inc., Peop. Rep. China  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101036787	A	20070919	CN 2006-10065009	20060317
PRIORITY APPLN. INFO.:	CN 2006-10065009			
AB	The title gastrointestinal complex capsule for treating digestive system ulcer, gastroesophageal reflux disease, and gastritis contains proton pump inhibitor or its stereoisomer or medical salt, gastrointestinal dynamic medicine or its medical salt, and medical matrix or excipient. The title gastrointestinal complex capsule is composed of capsule cap with gastric solubility and capsule material with intestinal solubility. The proton pump inhibitor may be one of omeprazole, lansoprazole, leminoprazole, esomeprazole, rabeprazole, perprazole, pantoprazole, and/or tenatoprazole. The gastrointestinal dynamic medicine may be one of dopamine receptor antagonists such as domperidone, itopride, metoclopramide, and/or 5HT4 agonist such as cisapride, mosapride, prucalopride, or tegaserod.			
IT	113712-98-4, Tenatoprazole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (gastrointestinal capsules containing combination of proton pump inhibitors and stimulators for improving the gastrointestinal motility)			
RN	113712-98-4 CAPLUS			
CN	3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)			



L3 ANSWER 13 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:919537 CAPLUS  
 DOCUMENT NUMBER: 147:330275  
 TITLE: Pharmaceutical compositions containing antibiotics and  
 proto pump inhibitors for treating Helicobacter  
 infection in stomach pylorus  
 INVENTOR(S): Wang, Ruijie; Shen, Zhenhong; Li, Chunru  
 PATENT ASSIGNEE(S): Shenyang Dongyu Pharmaceutical Co., Ltd, Peop. Rep.  
 China  
 SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 23pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101015694	A	20070815	CN 2006-10045806	20060207
PRIORITY APPLN. INFO.:			CN 2006-10045806	20060207
AB The title compns. are composed of proton pump inhibitor, gastric mucosal protective agent, and antibiotics. The proton pump inhibitors are selected from omeprazole, esomeprazole, lansoprazole, pantoprazole, rabeprazole, tenatoprazole, leminoprazole, and their magnesium or other metal salts. The antibacterial compds. are selected from two of $\beta$ -lactam antibiotics, macrolide antibiotics, and other antibacterial medicines. The gastric mucosal protective agents are selected from bismuth agent, sucralfate, marzulene-S, prostaglandin, terpene derivs., aluminum magnesium carbonate, growth factor, antioxidant, dosmalfate, and carbenoxolone.				
IT 113712-98-4, Tenatoprazole	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(pharmaceutical compns. containing antibiotics and proto pump inhibitors for treating Helicobacter infection in stomach pylorus)			
RN 113712-98-4 CAPLUS				
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)				



L3 ANSWER 14 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:872604 CAPLUS  
 DOCUMENT NUMBER: 147:322979  
 TITLE: Method for preparing chiral sulfoxides, especially S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole and S-tenatoprazole  
 INVENTOR(S): Wang, Qinghe; Cheng, Maosheng  
 PATENT ASSIGNEE(S): Shenyang Pharmaceutical University, Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 6pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101012141	A	20070808	CN 2007-10010273	20070202
PRIORITY APPLN. INFO.:			CN 2007-10010273	20070202

OTHER SOURCE(S): CASREACT 147:322979

AB The invention provides a method for the preparation of chiral sulfoxides, which comprises oxidizing the corresponding sulfides with peroxides in the presence of titanium or zirconium tetraalkoxides and chiral  $\beta$ -amino alcs. The method was successfully applied to the synthesis of S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole, and S-tenatoprazole, which are useful as proton pump inhibitors.

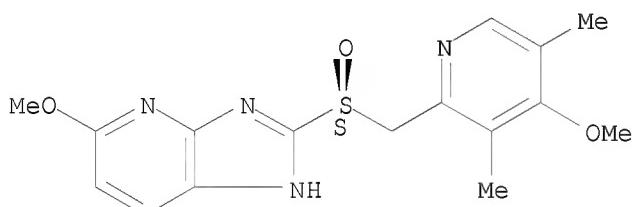
IT 705968-86-1P, S-Tenatoprazole

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of chiral sulfoxides, especially S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole, S-tenatoprazole, oxidation of sulfides using  $\beta$ -amino alcs. as chiral ligands)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

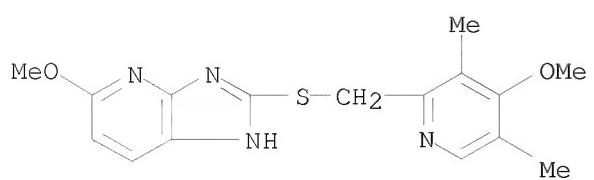


IT 113713-24-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of chiral sulfoxides, especially S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole, S-tenatoprazole, oxidation of sulfides using  $\beta$ -amino alcs. as chiral ligands)

RN 113713-24-9 CAPLUS

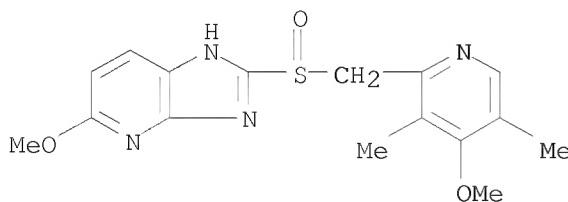
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



L3 ANSWER 15 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:846024 CAPLUS  
 DOCUMENT NUMBER: 147:197418  
 TITLE: Pharmaceutical formulations for inhibiting acid secretion  
 INVENTOR(S): Hall, Wareen; Olmstead, Kay; Weston, Laura  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: PCT Int. Appl., 125pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007086846	A1	20070802	WO 2006-US2746	20060124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

- PRIORITY APPLN. INFO.: WO 2006-US2746 20060124
- AB In one general aspect of the present invention, pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated or dry coated with a material that enhances the shelf-life of the pharmaceutical composition and one or more antacid are described. In another general aspect of the present invention, pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated or dry coated with a taste-masking material and one or more antacid are described. Omeprazole was microencapsulated using spinning disk atomization or spray drying. Cellulose derivs. were used in the microencapsulation process and drug dissoln. and pharmacokinetics were determined
- IT 113712-98-4, Tenatoprazole  
 RL: TEM (Technical or engineered material use); THU (Therapeutic use);  
 BIOL (Biological study); USES (Uses)  
 (pharmaceutical formulations for inhibiting acid secretion)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:814044 CAPLUS  
 DOCUMENT NUMBER: 147:173675  
 TITLE: Pharmaceutical compositions comprising a proton pump inhibitor and protein component  
 INVENTOR(S): Phillips, Jeffrey O.  
 PATENT ASSIGNEE(S): The Curators of the University of Missouri, USA  
 SOURCE: PCT Int. Appl., 31pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007084964	A2	20070726	WO 2007-US60723	20070118
WO 2007084964	A3	20071227		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2006-760256P P 20060119

OTHER SOURCE(S): MARPAT 147:173675

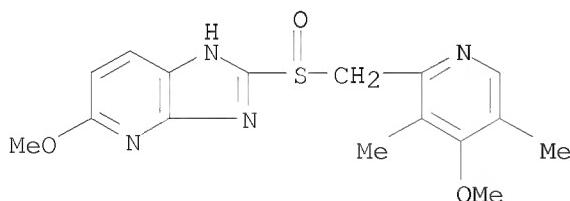
AB The present disclosure relates to, inter alia, pharmaceutical compns. comprising a H<sub>2</sub>K<sup>+</sup>-ATPase proton pump inhibitor and a protein component; to methods for manufacture of such compns., and to use of such compns. in treating and preventing diseases and/or disorders. Thus, a formulation contained hydrolyzed whey isolate 3000, sucralose 200, dextrose 200, aspartame 200, neotame 3, and pantoprazole 40 mg.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. comprising proton pump inhibitor and protein component)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



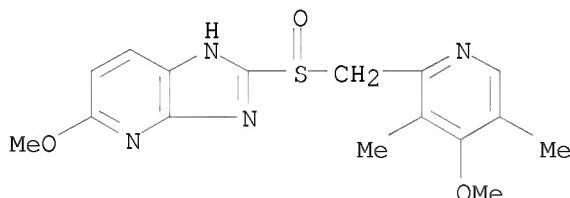
L3 ANSWER 17 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:783432 CAPLUS  
 DOCUMENT NUMBER: 147:268252  
 TITLE: Synthesis of tenatoprazole  
 AUTHOR(S): Wang, Decai; Hu, Xiaoxi; Zhou, Qin  
 CORPORATE SOURCE: School of Life Science and Pharmaceutics, Nanjing University of Technology, Nanjing, 210009, Peop. Rep. China  
 SOURCE: Zhongguo Yaoke Daxue Xuebao (2006), 37(3), 284-285  
 CODEN: ZHYXE9; ISSN: 1000-5048  
 PUBLISHER: Zhongguo Yaoke Daxue  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 OTHER SOURCE(S): CASREACT 147:268252

AB A new proton pump inhibitor tenatoprazole was synthesized. 2, 6-Dichloropyridine was subject to be 3-nitrified, 2-ammoniated, 6-substituted by sodium methoxide, then reduced and finally cycled to generate 5-methoxy-2-mercaptop-imidazole-[4,5-b]pyridine(VI). The compound VI was condensed with 2-chloromethyl-4-methoxy-3, 5-dimethyl-pyridine and then oxygenated to yield tenatoprazole. The overall yield of the reaction was 20.9 %, and the structure was confirmed by <sup>1</sup>H NMR and MS. Synthetic route and methods are feasible in the tenatoprazole preparation with the yield consistent with the reports.

IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (synthesis of tenatoprazole)

RN 113712-98-4 CAPLUS

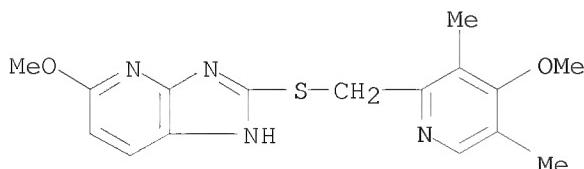
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



IT 113713-24-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of tenatoprazole)

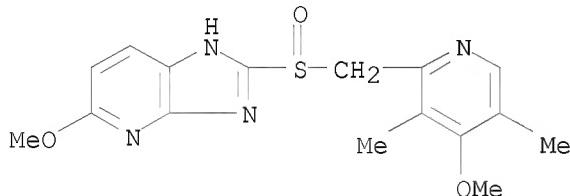
RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



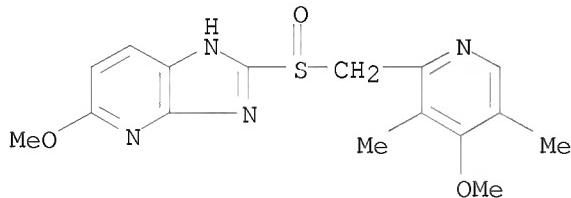
L3 ANSWER 18 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:771956 CAPLUS  
 DOCUMENT NUMBER: 147:508390  
 TITLE: A new coating process for gastro-degradable substances  
 INVENTOR(S): Kumar, T. Mahesh; Veerababu, T.; Belapure, S. G.  
 PATENT ASSIGNEE(S): Cadila Healthcare Limited, India  
 SOURCE: Indian Pat. Appl., 14pp.  
 CODEN: INXXBQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2005MU00721	A	20070706	IN 2005-MU721	20050620
PRIORITY APPLN. INFO.:			IN 2005-MU721	20050620
AB Oral pharmaceutical preparation for use in the prevention and treatment of disorders associated with gastro esophageal reflux is disclosed. The preparation comprises of a drug loaded pellets, said drug being selected from proton pump inhibitor and gastro degradable substances, a first layer consisting of a separating layer of sodium alginate on said drug loaded pellets and a second layer consisting of a coating of acrylic acid co-polymer or enteric polymer.				
IT	113712-98-4, Tenatoprazole			
	RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(new coating process for gastro-degradable substances)			
RN	113712-98-4 CAPLUS			
CN	3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)			



L3 ANSWER 19 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:770938 CAPLUS  
 DOCUMENT NUMBER: 148:269329  
 TITLE: Delayed release tablets for rabeprazole  
 INVENTOR(S): Shah, Tejas Dilipkumar; Shah, Chitra Siddharth;  
 Krishan, Anandi  
 PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Limited, India  
 SOURCE: Indian Pat. Appl., 32pp.  
 CODEN: INXXBQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	IN 2005MU01019	A	20070706	IN 2005-MU1019	20050829
PRIORITY APPLN. INFO.:				IN 2005-MU1019	20050829
AB	An oral pharmaceutical composition in a solid dosage form comprising (a) a core comprising a therapeutically effective amount of at least one acid labile, substituted benzimidazole H <sup>+</sup> /K <sup>+</sup> -ATPase proton pump inhibitor; and (b) an enteric coating on at least a portion of the core, wherein the composition provides a delayed release of the at least one acid labile, substituted benzimidazole H <sup>+</sup> /K <sup>+</sup> -ATPase proton pump inhibitor.				
IT	113712-98-4D, Tenatoprazole, derivs. RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (delayed release tablets for rabeprazole)				
RN	113712-98-4 CAPLUS				
CN	3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)				



L3 ANSWER 20 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:764966 CAPLUS  
 DOCUMENT NUMBER: 147:235162  
 TITLE: Method for preparing chiral proton pump inhibitor  
 INVENTOR(S): Wang, Qinghe; Cheng, Maosheng  
 PATENT ASSIGNEE(S): Shenyang Pharmaceutical University, Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 6pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1995037	A	20070711	CN 2006-10172184	20061231
PRIORITY APPLN. INFO.:			CN 2006-10172184	20061231

OTHER SOURCE(S): CASREACT 147:235162

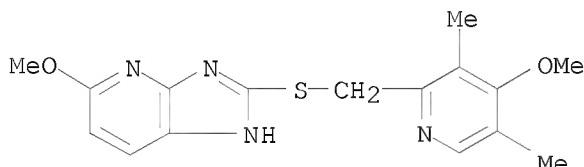
AB The title chiral sulfoxide proton pump inhibitor is prepared by catalytically oxidizing the prochiral sulfide compound in the presence of chiral tartrate derivative and vanadium alkoxide. The obtained single enantiomer (or enantiomer rich) chiral sulfoxide proton pump inhibitor includes: S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole, S-tenatoprazole and their basic salts (pharmaceutically acceptable). This method has the advantages of high raw material utilization, and simple preparation process.

IT 113713-24-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of chiral proton pump inhibitor by oxidation of sulfide in presence of tartrate and vanadium alkoxide)

RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



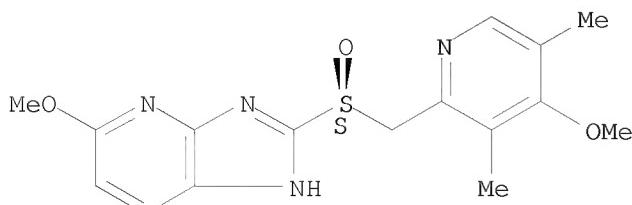
IT 705968-86-1P, S-Tenatoprazole

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of chiral proton pump inhibitor by oxidation of sulfide in presence of tartrate and vanadium alkoxide)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

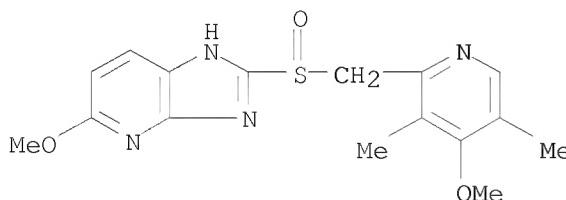




L3 ANSWER 21 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:720484 CAPLUS  
 DOCUMENT NUMBER: 147:101954  
 TITLE: Pharmaceutical compositions for the eradication of *Helicobacter pylori*  
 INVENTOR(S): Miralles, Ricardo; Torres, Jesus; Sune, Josep M.  
 PATENT ASSIGNEE(S): Ferrer Internacional, S.A., Spain  
 SOURCE: Eur. Pat. Appl., 16pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1803450	A1	20070704	EP 2006-100029	20060103
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
WO 2007077158	A1	20070712	WO 2006-EP70129	20061221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

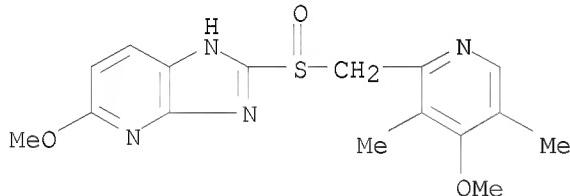
PRIORITY APPLN. INFO.: EP 2006-100029 A 20060103  
 AB A pellet composition is disclosed for oral administration comprising simultaneously a proton pump inhibitor, a clarithromycin compound and an amoxicillin compound. Said compns. are suitable to be filled in sachets and are useful in the treatment of disorders associated with *Helicobacter* bacteria.  
 IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (pharmaceutical compns. for the eradication of *Helicobacter pylori*)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:675827 CAPLUS  
 DOCUMENT NUMBER: 147:150926  
 TITLE: Freeze-dried powders of tenatoprazole for injection as stomach antacids  
 INVENTOR(S): Gao, Yuan; Chen, Binhua; Xia, Lingyun; Chen, Qiufen;  
 Cao, Wenjun; Yang, Yijing  
 PATENT ASSIGNEE(S): Xinyi Pharmaceutical Plant, Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 15pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1981760	A	20070620	CN 2005-10111465	20051214
PRIORITY APPLN. INFO.:			CN 2005-10111465	20051214
AB The title freeze-dried powders are composed of (by weight parts) tenatoprazole 1-50, excipients 10-500, pH regulators 1-50, and additives, and the ratio of tenatoprazole to pH regulators is 0.25-15:1. The excipients may be one or more of sodium chloride, mannitol, and dextran. The pH regulators may be one or more of sodium hydroxide, sodium biphosphate, sodium dihydrogen phosphate, sodium phosphate, and sodium citrate. The additive may be one or more of sodium bisulfite, sodium sulfite, and sodium thiosulfate. The title method comprises of dissolving tenatoprazole, excipients, and pH regulators with water for injection, filtering with a microporous film, freeze-drying for 5-7 h, and evacuating at 0 °C for 28-32 h, and then at 10 °C for 2.5-3.5 h. The powders have good stability.				
IT 113712-98-4, Tenatoprazole	RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(freeze-dried powders of tenatoprazole for injection as stomach antacids)			
RN 113712-98-4 CAPLUS				
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)				



L3 ANSWER 23 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:675691 CAPLUS  
 DOCUMENT NUMBER: 147:143434  
 TITLE: Process for synthesis of 2-mercaptop-5-methoxyimidazo[4,5-b]pyridine  
 INVENTOR(S): Jia, Dong  
 PATENT ASSIGNEE(S): Tianjin Wisdom Chemicals Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 5pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1982311	A	20070620	CN 2005-10122305	20051213
PRIORITY APPLN. INFO.:			CN 2005-10122305	20051213

OTHER SOURCE(S): CASREACT 147:143434

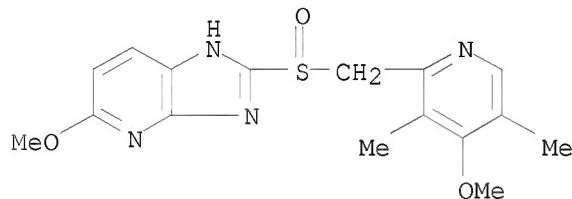
AB Title process comprises (1) reacting 2,6-dichloro-3-nitropyridine and ammonia in ethanol for 2-amino-3-nitro-6-chloropyridine; ethanol recrystn. for product with content >99%; (2) reacting 2-amino-3-nitro-6-chloropyridine in the presence of sodium hydroxide in methanol, stirring, adding product from step (1), cooling, filtering, water washing, acetone recrystn. for product with content >99%; (3) mixing product from step (2), sodium sulfide, water and polyethylene glycol catalyst together, refluxing, cooling, adding carbon disulfide, cooling, stirring for 1-2 h, acetic acid acidifying, filtering, water washing, drying, recrystn. with 95% ethanol, decolorizing with active carbon, cooling, filtering.

IT 113712-98-4P, Tenatoprazole

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of 2-mercaptop-5-methoxyimidazo[4,5-b]pyridine)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 24 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:672499 CAPLUS  
 DOCUMENT NUMBER: 147:102150  
 TITLE: Pharmaceutical composition comprising inhibitors of proton pump and Helicobacter pylori and a buffering agent  
 INVENTOR(S): Phillips, Jeffrey O.  
 PATENT ASSIGNEE(S): The Curators of the University of Missouri, USA  
 SOURCE: PCT Int. Appl., 44pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070164	A1	20070621	WO 2006-US40756	20061018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-728125P P 20051019

OTHER SOURCE(S): MARPAT 147:102150

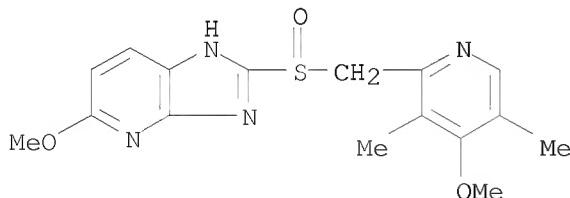
AB The present invention relates to pharmaceutical compns. comprising a proton pump inhibitor or related compound, a buffering agent, and an H. pylori inhibitor. Methods of using such compns. in treatment of H. pylori and other disorders and methods of manufacture of such compns. are also provided. Thus, a formulation contained Omeprazole 10-60, tetracycline 200-1000, and NaHCO<sub>3</sub> 800-2000 mg.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical composition comprising inhibitors of proton pump and Helicobacter pylori and a buffering agent)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:618786 CAPLUS  
 DOCUMENT NUMBER: 147:46138  
 TITLE: Treatment of diabetes and related diseases with combinations of gastrin agonists and growth factors  
 INVENTOR(S): Damiani, Carl; Cruz, Antonio  
 PATENT ASSIGNEE(S): Waratah Pharmaceuticals, Inc., Can.  
 SOURCE: PCT Int. Appl., 88pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

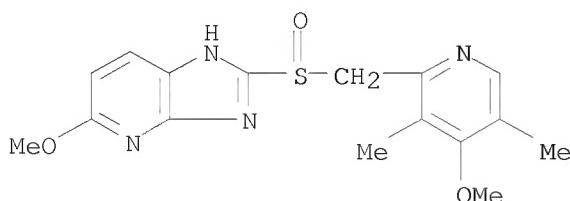
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007062531	A1	20070607	WO 2006-CA1976	20061201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-741736P P 20051202  
 US 2005-742226P P 20051205

AB Methods of treating or preventing diabetes mellitus and related diseases and complications using a combination of gastrin agonists and growth hormones or animal growth regulators is described. The gastrin agonist may be gastrin. The conditions that may be treated include diabetes, hypertension, chronic heart failure, fluid retentive states, obesity, metabolic syndrome and related diseases and disorders. Combinations of gastrin agonists and growth regulatory factors, and gastrin can be selected to provide additive, complementary or synergistic effects.

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (treatment of diabetes and related diseases with combinations of gastrin agonists and growth factors)

RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:593643 CAPLUS  
 DOCUMENT NUMBER: 146:528381  
 TITLE: Composition comprising combination of proton pump inhibitor and acetyl salicylic acid  
 INVENTOR(S): Johansson, Dick; Svedberg, Lars-Erik; Nilsson, Lena  
 PATENT ASSIGNEE(S):  
 SOURCE: U.S. Pat. Appl. Publ., 15pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070122470	A1	20070531	US 2006-563812	20061128
WO 2007064274	A1	20070607	WO 2006-SE1349	20061128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-740981P P 20051130  
 US 2006-818886P P 20060706

AB The present invention relates to an oral pharmaceutical preparation for use in the prevention and/or reduction of gastrointestinal complications associated with

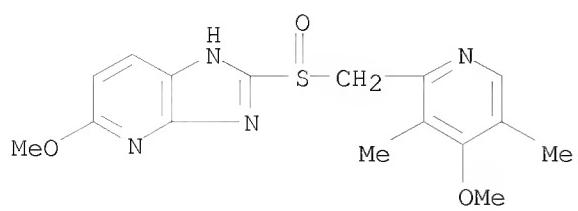
the use of acetyl salicylic acid. The present preparation comprises a fixed oral dosage form comprising a proton pump inhibitor in combination with acetyl salicylic acid. Furthermore, the present invention refers to a method for the manufacture thereof and the use thereof in medicine. The present invention also relates to a specific combination comprising esomeprazole, or an alkaline salt thereof or a hydrated form of any one of them, and acetyl salicylic acid for use as a medicament for the prevention of thromboembolic vascular events, such as myocardial infarction or stroke, and for the prevention and/or reduction of gastrointestinal complications associated with the use of acetyl salicylic acid. Thus, esomeprazole-Mg trihydrate 445 g was suspended in a water solution containing

the dissolved binder hydroxypropyl Me cellulose 67 g and the surfactant polysorbate 80 9 g. The suspension was sprayed onto sugar spheres seeds 300 g in a fluidized bed coating apparatus using bottom spray (Wurster) technique. The prepared core material was covered with the subcoating layer in a fluid bed apparatus by spraying a hydroxypropyl cellulose solution 90 g containing suspended talc 340 g and magnesium stearate 22g. The enteric coating layer was sprayed as a water dispersion onto the subcoated pellets obtained above, in a fluid bed apparatus

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (composition comprising combination of proton pump inhibitor and acetyl salicylic acid)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 27 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:561769 CAPLUS  
 DOCUMENT NUMBER: 147:2030  
 TITLE: Disulfide bridge conjugate of proton pump inhibitor or other drug with sulfhydryl compound, and use for the treatment and prophylaxis of gastrointestinal disorders  
 INVENTOR(S): Hackett, John Allen  
 PATENT ASSIGNEE(S): Jon Pty Limited, Australia  
 SOURCE: PCT Int. Appl., 55pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056817	A1	20070524	WO 2006-AU1727	20061117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: AU 2005-906409 A 20051117

OTHER SOURCE(S): MARPAT 147:2030

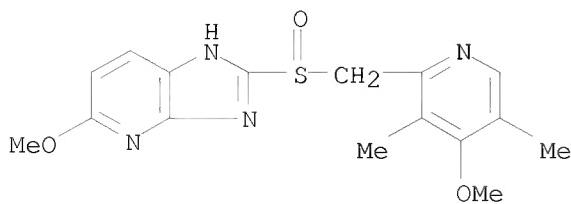
AB The invention discloses a method for the production of disulfide compds. PAC-SA-SB-R\* (PAC-SA = residue of pharmaceutically active drug, metabolite thereof, or pharmaceutically acceptable salt thereof, that is covalently bonded via sulfur atom, SA of reduced sulfhydryl, sulfinyl, sulfonyl or sulfonamide group to sulfur atom SB of oxidized sulfhydryl group of pharmacol. acceptable sulfhydryl compound in absence of acid; R\* = alkyl, cycloalkyl, aryl, amino acid, etc.). Preferably the pharmaceutically active drug is a proton pump inhibitor and the sulfhydryl compound is N-acetylcysteine. The disulfide compds. according to the invention can be prepared either in vitro or in vivo and are stable in the acidic conditions of the stomach. The invention also discloses pharmaceutical compns. containing compds. of the invention, as well as a method for the treatment or prophylaxis of gastrointestinal disorders using compds. of the invention. Preparation of omeprazole-N-acetylcysteine disulfide is described.

IT 113712-98-4, Tenatoprazole

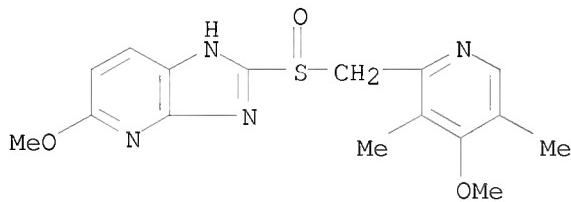
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (disulfide bridge conjugates of proton pump inhibitors with sulfhydryl compds. for treatment of gastrointestinal disorders)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



IT 113712-98-4D, Tenatoprazole, conjugates with sulfhydryl compds.  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(disulfide bridge conjugates of proton pump inhibitors with sulfhydryl  
compds. for treatment of gastrointestinal disorders)  
RN 113712-98-4 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-  
pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

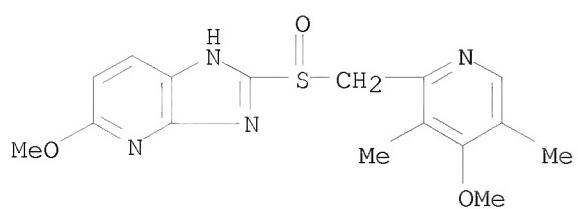


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:435918 CAPLUS  
 DOCUMENT NUMBER: 146:428764  
 TITLE: Salts of proton pump inhibitors and process for preparing same  
 INVENTOR(S): Hackett, John Allen  
 PATENT ASSIGNEE(S): Jon Pty Limited, Australia  
 SOURCE: PCT Int. Appl., 37pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007041790	A1	20070419	WO 2006-AU1499	20061011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: AU 2005-905699 A 20051014  
 AB Disclosed herein is a process for preparing magnesium and magnesium hydroxy salts of proton pump inhibitors (PPI) such as omeprazole, hydroxy omeprazole, s-omeprazole (esomeprazole), r-omeprazole, pantoprazole, lanzoprazole, leminoprazole, rabeprazole, tenatoprazole, mixts. thereof or resp. isomers thereof. The process can be used to prepare magnesium salts of PPIs. In particular the process can also be used to prepare the magnesium hydroxy salts of PPIs which have the formula: (PPI-)<sub>x</sub>.Mg<sup>2+</sup>(OH)<sub>2-x</sub>.(H<sub>2</sub>O)<sub>z</sub> wherein PPI is a proton pump inhibitor, x is 0.0001 to 1.9999, and z is 0 to 10, preferably 0 to 5. Compsns. of the salts of the PPIs disclosed herein including pharmaceutical compsns. are also disclosed. The magnesium and magnesium hydroxy salts of proton pump inhibitors disclosed herein can be used in the treatment of gastrointestinal disorders such as Ulcus ventriculi, Ulcus duodeni, gastritis, gastric ulcer, duodenal ulcer, irritable bowel owing to an increased production of acid or as a result of medicaments, GERD, Crohn's disease or IBD. Magnesium hydroxy salt of omeprazole was prepared by the reaction of magnesium hydroxide with omeprazole. A tablet contained magnesium hydroxy salt of omeprazole containing 10% imedeprazole 200, anhydrous lactose 141, croscarmellose sodium 6.0, and magnesium stearate 3.0 mg.  
 IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (salts of proton pump inhibitors and process for preparing same)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:323712 CAPLUS  
 DOCUMENT NUMBER: 146:401829  
 TITLE: Preparation of 2,3-diamino-6-methoxypyridine as intermediate of tenatoprazole  
 INVENTOR(S): Zhang, Yueliang; Dai, Jian; Huang, He; Xiang, Chunli; Chen, Binhu  
 PATENT ASSIGNEE(S): Sine Laboratories, Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 12pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1931842	A	20070321	CN 2005-10029715	20050916
PRIORITY APPLN. INFO.:			CN 2005-10029715	20050916

OTHER SOURCE(S): CASREACT 146:401829

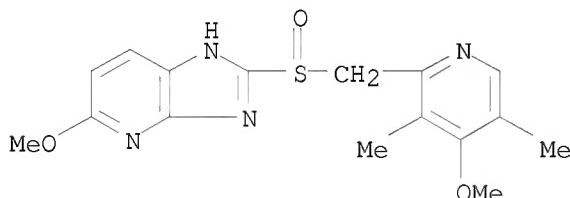
AB The title method includes adding iron powder, solvent, and acid into 2-amino-6-methoxy-3-nitropyridine to obtain 2,3-diamino-6-methoxypyridine which is an intermediate for synthesis of tenatoprazole.

IT 113712-98-4P, Tenatoprazole

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of 2,3-diamino-6-methoxypyridine as intermediate of tenatoprazole)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 30 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:300816 CAPLUS  
 DOCUMENT NUMBER: 148:191890  
 TITLE: Synthesis of tenatoprazole  
 AUTHOR(S): Bao, Yajie; Su, Bing; Li, Xiaodong; Wang, Yali; Su, Huanchen  
 CORPORATE SOURCE: Jilin Institute of Materia Medica, Changchun, Jilin Province, 130062, Peop. Rep. China  
 SOURCE: Zhongguo Yiyao Gongye Zazhi (2006), 37(1), 3-4  
 CODEN: ZYGZEA; ISSN: 1001-8255  
 PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese

AB Tenatoprazole [i.e., 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfanyl]-3H-imidazo[4,5-b]pyridine] was synthesized from 2,3-diamino-6-methoxypyridine by cyclization with potassium xanthogenate to give 5-methoxy-1H-imidazo[4,5-b]pyridine-2-thiol which subjected to condensation with 2-chloromethyl-3,5-dimethyl-4-methoxypyridine hydrochloride, followed by oxidation with meta-chloroperbenzoic acid. The overall yield was 48%. The target compound is a known H<sup>+</sup>/K<sup>+</sup>-ATPase inhibitor, proton pump inhibitor.

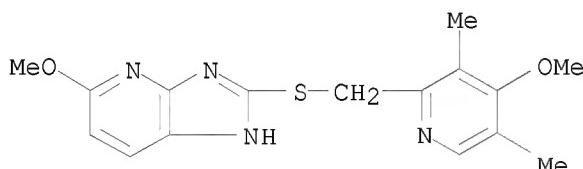
IT 113713-24-9P, 5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-3H-imidazo[4,5-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tenatoprazole (proton pump inhibitor) via synthetic sequence involving cyclization, formation of (mercapto)imidazo[4,5-b]pyridine, condensation with (chloromethyl)dimethyl(methoxy)pyridine and oxidation)

RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



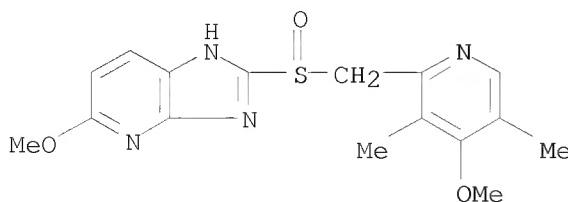
IT 113712-98-4P, Tenatoprazole

RL: SPN (Synthetic preparation); PREP (Preparation)

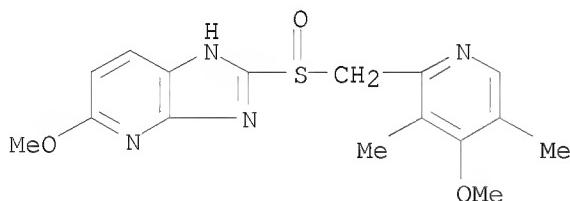
(preparation of tenatoprazole (proton pump inhibitor) via synthetic sequence involving cyclization, formation of (mercapto)imidazo[4,5-b]pyridine, condensation with (chloromethyl)dimethyl(methoxy)pyridine and oxidation)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfanyl]- (CA INDEX NAME)



L3 ANSWER 31 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:152662 CAPLUS  
 DOCUMENT NUMBER: 146:176110  
 TITLE: HPLC determination and pharmacokinetic study of tenatoprazole in dog plasma after oral administration of enteric-coated capsule  
 AUTHOR(S): Liu, Pei; Sun, Bo; Lu, Xiumei; Qin, Feng; Li, Famei  
 CORPORATE SOURCE: School of Pharmacy, Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China  
 SOURCE: Biomedical Chromatography (2007), 21(1), 89-93  
 CODEN: BICHE2; ISSN: 0269-3879  
 PUBLISHER: John Wiley & Sons Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A simple, sensitive, and selective high-performance liquid chromatog. (HPLC) method with UV detection (306 nm) was developed and validated for determination of tenatoprazole, a novel proton-pump inhibitor, in dog blood plasma. Tenatoprazole and internal standard (pantoprazole) were extracted into di-Et ether and separated using an isocratic mobile phase of 10 mM phosphate buffer (pH 4.7)-acetonitrile (70:30, volume/volume) on a Diamonsil C18 column (150 + 4.6 mm, 5 µm). The retention times for tenatoprazole and internal standard were 7.1 and 12.3 min, resp. No endogenous interferences were observed. This HPLC method was fully validated. The lower limit of quantitation was 20 ng/mL, with a relative standard deviation of < 20%. A linear range of 0.02-5.0 µg/mL was established. The interday and intraday precisions were within RSD 13.4-10.1 and 4.6-1.4%, resp. This method developed can be easily applied to the pharmacokinetic study of tenatoprazole in dog plasma after oral administration of an enteric-coated capsule. The plasma concentration of tenatoprazole from 6 dogs showed a mean Cmax of 2.63 µg/mL at Tmax of 1.89 h. The bioavailability of tenatoprazole was improved by administration of enteric-coated capsule.  
 IT 113712-98-4, Tenatoprazole  
 RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)  
     (HPLC determination and pharmacokinetic study of tenatoprazole in dog blood plasma)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

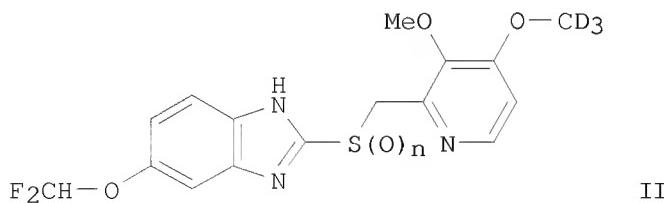
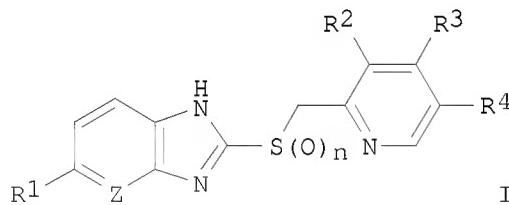


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:116062 CAPLUS  
 DOCUMENT NUMBER: 146:206299  
 TITLE: Preparation of isotopically substituted benzimidazoles as proton pump inhibitors  
 INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Haag, Dieter; Simon, Wolfgang-Alexander; Zech, Karl; David, Michael; Von Richter, Oliver; Huth, Felix  
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany  
 SOURCE: PCT Int. Appl., 55pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007012650	A1	20070201	WO 2006-EP64666	20060726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2008MN00317	A	20080307	IN 2008-MN317	20080220
PRIORITY APPLN. INFO.:			EP 2005-106868	A 20050726
			WO 2006-EP64666	W 20060726

OTHER SOURCE(S): MARPAT 146:206299  
 GI



AB Title compds. represented by the formula I [wherein R1 = H or alkoxy; R2 = alkyl or alkoxy; R3 = alkyl or (alkoxy)alkoxy; R4 = H or alkyl; Z = CH or N; n = 0 or 1; at least one of the hydrogen atoms of R1-R4 or any combination of R1-R4 is replaced by a deuterium atom; and their salts,

solvates hydrates thereof] were prepared as proton pump inhibitors. For example, II ( $n = 1$ ) was provided in 95% yield by oxidation of II ( $n = 0$ ) with sodium hypochlorite. I were tested for metabolism in liver microsomes and formation kinetics of pantoprazole M2. Thus, I and their pharmaceutical compns. are useful for the treatment and/or prophylaxis of gastrointestinal disorders.

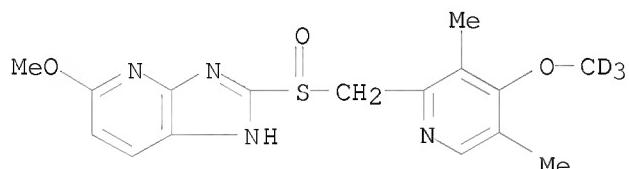
IT 922731-08-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isotopically substituted benzimidazoles as proton pump inhibitors)

RN 922731-08-6 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[4-(methoxy-d3)-3,5-dimethyl-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

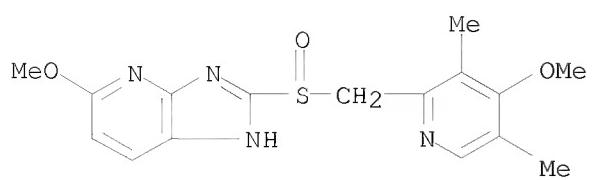
4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:113232 CAPLUS  
 DOCUMENT NUMBER: 146:212829  
 TITLE: Oral compositions containing magnesium salts of proton pump inhibitors and hydrophilic polymer coatings for improved solubility  
 INVENTOR(S): Namburi, Ranga R.; Tallapragada, Ravi Srikanth; Gokaraju, Subbaraju; Palkhiwala, Burgise F.  
 PATENT ASSIGNEE(S): Qpharma, LLC, USA  
 SOURCE: U.S. Pat. Appl. Publ., 12pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070026071	A1	20070201	US 2005-191520	20050728
WO 2007016128	A2	20070208	WO 2006-US28922	20060726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-191520 A 20050728  
 AB The present invention concerns oral dosage formulations of sparingly to very slightly water soluble proton pump inhibitors, the oral dosage forms so made, and methods of use thereof. The oral dosage form has a core tablet of compressed particles composed of powder particles of a pharmaceutically acceptable material, having coated thereon admixt. of a sparingly to very slightly water soluble magnesium salt of a benzimidazole proton pump inhibitor; and a hydrophilic polymer having a surfactant functionality that increases the water solubility of the magnesium salt of the benzimidazole proton pump inhibitor. The coated core tablet has a pharmaceutically acceptable sub-coating on the core tablet; and a pharmaceutically acceptable enteric coating on the sub-coating. The coated tablet may provide enhanced absorption when administered orally. For example, coated tablets for delayed release contained omeprazole magnesium trihydrate, HPMC, cellulose, croscarmellose sodium, and magnesium stearate.  
 IT 884304-68-1, Tenatoprazole magnesium  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Tenatoprazole magnesium; oral compns. containing magnesium salts of proton pump inhibitors and hydrophilic polymer coatings for improved solubility)  
 RN 884304-68-1 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (2:1) (CA INDEX NAME)



● 1/2 Mg

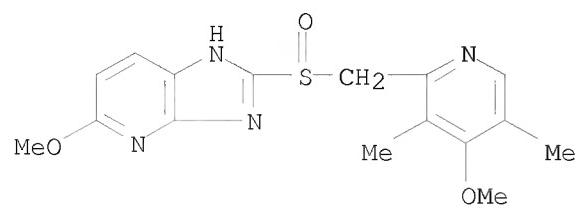
L3 ANSWER 34 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:62311 CAPLUS  
 DOCUMENT NUMBER: 146:169323  
 TITLE: Use of a partially neutralized, anionic (meth)acrylate copolymer as a coating for the production of a medicament releasing active substance at reduced pH values  
 INVENTOR(S): Peterbeit, Hans-Ulrich; Assmus, Manfred  
 PATENT ASSIGNEE(S): Roehm G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 40pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007006353	A2	20070118	WO 2006-EP3115	20060405
WO 2007006353	A3	20070412		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
DE 10200505032806	A1	20070118	DE 2005-102005032806	20050712
CA 2609439	A1	20070118	CA 2006-2609439	20060405
KR 2008024200	A	20080317	KR 2008-700964	20080111
PRIORITY APPLN. INFO.:			DE 2005-102005032806A	20050712
			WO 2006-EP3115	W 20060405

AB The invention relates to the use of a partially neutralized, anionic (meth)acrylate copolymer comprising radically polymerized units of 25 to 95 % by weight of C1 to C4 alkyl esters of acrylic or methacrylic acid and 5 to 75 % by weight of (meth)acrylate monomers with an anionic group, at least 4 % of which are neutralized by means of a base, for producing a medicament that is provided with an active substance-containing core and is coated with the partially neutralized, anionic (meth)acrylate copolymer. Said medicament releases at least 30 % of the active substance contained therein in 30 min at a pH at which the active substance is sufficiently soluble and stable and at which the corresponding medicament that is coated with the non-neutralized anionic (meth)acrylate polymer releases less than 10 % of the active substance contained therein. Thus 0.5-0.8 mm theophylline granules were coated in fluid bed with an Eudragit L30 D-55-containing compns. containing various amts. of 1N sodium hydroxide to neutralize the carboxylic groups in the polymer. The coating included (g): Eudragit L30 D-55 794.1; talc 119.1; tri-Et acetate 23.8; water 1456.7; sodium hydroxide was either not added, or added to neutralize 4.4, 15 or 30% of the polymer carboxylic groups. Drug release was tested for the various coated pellets.

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (use of a partially neutralized, anionic (meth)acrylate copolymer as a coating for production of a medicament releasing active substance at reduced pH values)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-

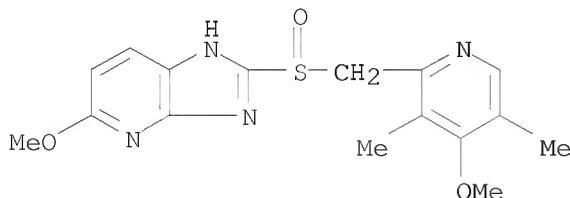
pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 35 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1337905 CAPLUS  
 DOCUMENT NUMBER: 146:68729  
 TITLE: Compositions of antiulcerative substituted benzimidazoles  
 INVENTOR(S): Reddy, Male Srinivas; Reddy, Pothireddy Venkateswar;  
 Vanaja, Muppidi  
 PATENT ASSIGNEE(S): Hetero Drugs Limited, India  
 SOURCE: PCT Int. Appl., 85pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006134611	A1	20061221	WO 2005-IN203	20050616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: WO 2005-IN203 20050616  
 AB The present invention particularly relates to improved stable pharmaceutical formulations for hygroscopic antiulcerative substituted benzimidazoles, optionally in combination with other active ingredients in the form of pellets, capsules and tablets. For example, stable pharmaceutical formulations of rabeprazole sodium, comprises rabeprazole sodium, heavy calcium carbonate, mannitol, polyvinylpyrrolidone S-630, starch, hydroxypropyl cellulose (low-substituted), sodium stearyl fumarate, hydroxypropyl Me cellulose-15cps and acryl EZE.  
 IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral compns. of antiulcerative substituted benzimidazoles)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1286270 CAPLUS  
 DOCUMENT NUMBER: 146:39046  
 TITLE: Compositions and methods for treating nocturnal acid breakthrough and other acid related disorders  
 INVENTOR(S): Phillips, Jeffrey Owen  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 16pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060276500	A1	20061207	US 2006-380177	20060425
PRIORITY APPLN. INFO.:			US 2005-675123P	P 20050426

OTHER SOURCE(S): MARPAT 146:39046

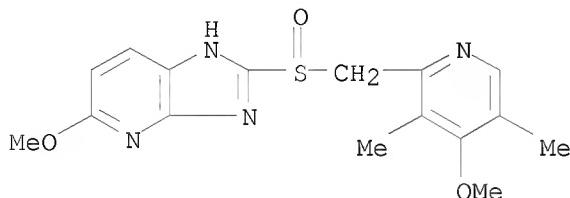
AB In various embodiments, the present invention provides pharmaceutical compns. comprising at least one acid labile proton pump inhibitor and at least one buffering agent. Also provided are methods of treating and/or preventing acid related gastrointestinal disorders by administering to a subject one or more compns. of the invention. In one embodiment, methods are provided for treating and/or preventing nighttime acid breakthrough and/ or nighttime heartburn and related symptoms thereof.

IT 113712-98-4, Tenatoprazole 113712-98-4D, Tenatoprazole, derivs. and prodrugs

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (treatment of nocturnal acid breakthrough and other acid related gastrointestinal disorders using acid labile proton pump inhibitor and buffering agent)

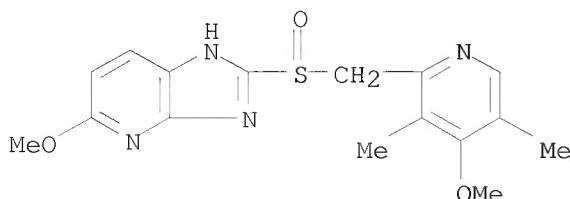
RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)





L3 ANSWER 37 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1206787 CAPLUS  
 DOCUMENT NUMBER: 146:45510  
 TITLE: Synthesis of tenatoprazole  
 INVENTOR(S): Dai, Liyan; Wang, Xiaozhong; Chen, Yingqi  
 PATENT ASSIGNEE(S): Zhejiang University, Peop. Rep. China  
 SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 10pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1861600	A	20061115	CN 2006-10051971	20060614
PRIORITY APPLN. INFO.:			CN 2006-10051971	20060614

OTHER SOURCE(S): CASREACT 146:45510

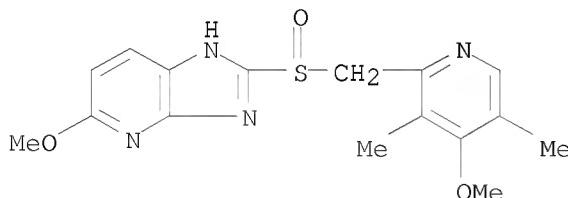
AB The title method comprises the steps of: (1) using 2,3,5-trimethyl-4-nitropyridine N-oxide as the raw material, rearranging in the presence of anhydride at 60-120°C and hydrolyzing at 50-70°C to obtain 2-hydroxymethyl-3,5-dimethyl-4-nitropyridine, (2) reacting with chlorinating agent to obtain 2-chloromethyl-3,5-dimethyl-4-nitropyridine, (3) condensing with 2-mercaptop-5-methoxyimidazo[4,5-b]pyridine at 40-65°C to obtain 2-(3,5-dimethyl-4--nitropyridine-2-methylthio)-5-methoxyimidazo[4,5-b]pyridine, (4) reacting with sodium methoxide to obtain 2-(3-5-demethyl-4-methoxypyridine-2-methylthio)-5-methoxyimidazo[4,5-b]pyridine, and (5) dissolving 2-(3-5-demethyl-4-methoxypyridine-2-methylthio)-5-methoxyimidazo[4,5-b]pyridine in halohydrocarbon and oxidating at (-25)-(-5)°C with organic peracid as the oxidant to obtain tenatoprazole.

IT 113712-98-4P, Tenatoprazole

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of tenatoprazole)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



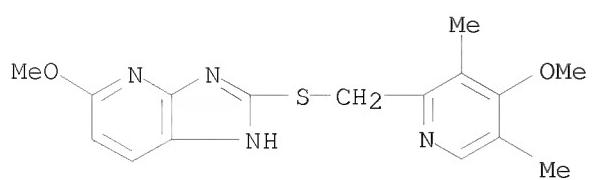
IT 113713-24-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of tenatoprazole)

RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



L3 ANSWER 38 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 20061205203 CAPLUS  
 DOCUMENT NUMBER: 145:495653  
 TITLE: Compositions and methods for inhibiting gastric acid secretion comprising carboxylic acids and proton pump inhibitors  
 INVENTOR(S): Kostadinov, Aleksey; David, Ayelet; Gloszman, Sabina  
 PATENT ASSIGNEE(S): Vecta, Ltd., Israel  
 SOURCE: PCT Int. Appl., 30pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006120500	A1	20061116	WO 2005-IB2223	20050728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060257467	A1	20061116	US 2005-191688	20050727
AU 2005331689	A1	20061116	AU 2005-331689	20050728
CA 2607803	A1	20061116	CA 2005-2607803	20050728
EP 1879566	A1	20080123	EP 2005-780180	20050728
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007KN03642	A	20080125	IN 2007-KN3642	20070927
KR 2008005566	A	20080114	KR 2007-727114	20071121
PRIORITY APPLN. INFO.:			US 2005-679664P	P 20050511
			WO 2005-IB2223	W 20050728

AB The present invention is related to novel oral compns. comprising an irreversible gastric H<sup>+</sup>/K<sup>+</sup>-ATPase proton pump inhibitor (PPI) as a gastric acid secretion inhibitor and one or more small carboxylic acid mols. as parietal cell activators in the gastric lumen. Unexpectedly, the compns. of the present invention are capable of enhancing the anti-acid activity of PPI in the stomach. The present invention further relates to a method of using such compns. to reduce gastric acid secretion in a mammal. Thus, succinic acid (ScA, 15 mg/mL) was capable of enhancing the inhibitory effect of pantoprazole (10 mg/mL) on gastric acid secretion in an exptl. model of conscious pylorus-ligated rats. Also, ScA was granulated with a combination of Polyox WSR N60 and HPMC K100M, the granules were combined with lactose and HPMC and compressed into minitabs with the ability of fast swelling into size big enough to enable gastric retention. The polymeric matrix controls the ScA release into the stomach. The ScA minitabs were then mixed with enteric-coated PPI pellets and filled into hard gelatin capsules. Following disintegration of the capsules gelatinic body, the PPI pellets pass through the stomach to the duodenum, where the enteric coat will dissolve. The succinic acid minitabs remain in the stomach and slowly release their content in a controlled release gastro retentive manner.

IT 113712-98-4, Tenatoprazole

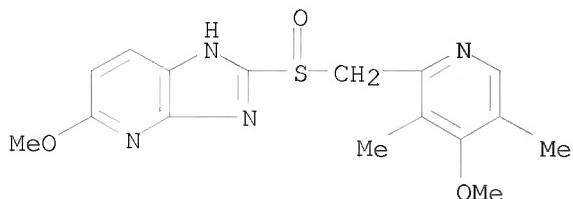
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(compns. comprising proton pump inhibitors and carboxylic acid for  
inhibiting gastric acid secretion)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1202084 CAPLUS

DOCUMENT NUMBER: 146:13094

TITLE: Proton pump inhibitor liquid medicament, its preparation and application in manufacture of injection

INVENTOR(S): Zhou, Huaying

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 24pp.

CODEN: CNXXEV

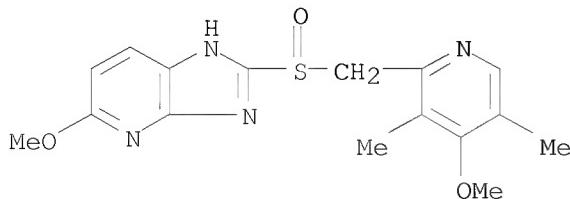
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

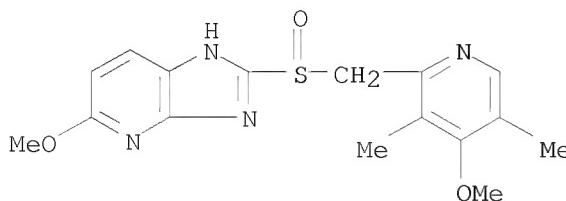
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1857200	A	20061108	CN 2006-10087335	20060608
PRIORITY APPLN. INFO.:			CN 2006-10087335	20060608
AB The medicament contains (a) a therapeutically ED of drugs of proton pump inhibitors showed by formula (I), wherein X, Y, R1, R2, R3, R4 and R5 are defined by patent; and (b) therapeutically acceptable medical solvent that is comprised of short-chain alc. such as ethanol, 1,2-propylene alc., glycerol, and isopropanol. Said drugs of proton pump inhibitors are selected from omeprazole, esomeprazole, lansoprazole, pantoprazole, rabeprazole, timoprazole, picoprazole, leminoprazole, tenatoprazole, and salts, enantiomers, salts of enantiomer, isomers, salts of isomer and solvates thereof. The medicament also contains at least one of therapeutically acceptable adjuvant selected from acid-base regulator, metal complexing agent, bacteriostatic agent, analgesic, surfactant, flavoring agent, and water for medicine. The preparation process consists of (1) dissolving drugs of proton pump inhibitors with medical solvent while stirring; (2) adding addnl. medical adjuvant while stirring to dissolve; (3) adding medical solvent to full dose, and homogenizing; and (4) filtering, subpackaging, and sealing to obtain the product.				
IT 113712-98-4, Tenatoprazole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (proton pump inhibitor liquid medicament, its preparation and application in manufacture of injection)				
RN 113712-98-4	CAPLUS			
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-	(CA INDEX NAME)			



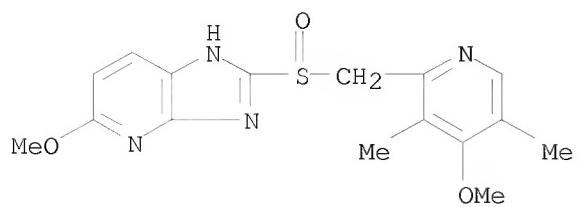
L3 ANSWER 40 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1177356 CAPLUS  
 DOCUMENT NUMBER: 145:465781  
 TITLE: Proton pump inhibitors for the treatment of sleep disturbance due to silent gastroesophageal reflux  
 INVENTOR(S): Fernstroem, Paula; Hasselgren, Goeran  
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 22pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006118534	A1	20061109	WO 2006-SE535	20060503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1879577	A1	20080123	EP 2006-733390	20060503
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			SE 2005-1041	A 20050504
			US 2005-680932P	P 20050512
			WO 2006-SE535	W 20060503

- AB The invention discloses the use of proton pump inhibitors, e.g. omeprazole, lansoprazole, pantoprazole, rabeprazole, esomeprazole, tenatoprazole, laprazole, leminoprazole, and an omeprazole derivative, in the treatment of sleep disturbance due to silent gastroesophageal reflux.
- IT 113712-98-4, Tenatoprazole 113712-98-4D, Tenatoprazole, enantiomers and salts  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (proton pump inhibitors for treatment of sleep disturbance due to silent gastroesophageal reflux)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1157822 CAPLUS  
 DOCUMENT NUMBER: 145:460582  
 TITLE: Veterinary pharmaceutical compositions comprising a proton pump inhibitor and a buffering agent and methods of using same  
 INVENTOR(S): Phillips, Jeffrey  
 PATENT ASSIGNEE(S): The Curators of the University of Missouri, USA  
 SOURCE: PCT Int. Appl., 34pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006116556	A2	20061102	WO 2006-US15939	20060425
WO 2006116556	A3	20070816		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2005-675122P P 20050426

OTHER SOURCE(S): MARPAT 145:460582

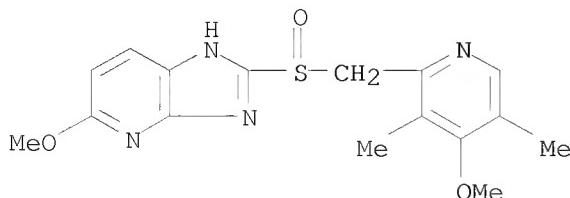
AB Pharmaceutical compns. comprising an acid labile proton pump inhibitor, a buffering agent, and at least one addnl. pharmaceutically acceptable excipient. Also provided are methods for manufacture of such compns., and to use of such compns. in treating and preventing diseases and/or disorders. Several pellets were prepared, each comprising non-enteric coated omeprazole, sodium bicarbonate, pregelatinized starch, sucrose and flavoring agent. These ingredients were dry blended and compressed in Parr pellet press. The pellets were then administered to a horse and its serum level was measured.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(veterinary pharmaceutical compns. comprising proton pump inhibitor and buffering agent and methods of using same)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 42 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1156275 CAPLUS  
 DOCUMENT NUMBER: 145:460579  
 TITLE: Pharmaceutical compositions comprising substituted benzimidazole as proton pump inhibitors and buffers and vitamin B12 and ferrous salts  
 INVENTOR(S): Phillips, Jeffrey  
 PATENT ASSIGNEE(S): The Curators of the University of Missouri, USA  
 SOURCE: PCT Int. Appl., 35pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006116582	A2	20061102	WO 2006-US15982	20060425
WO 2006116582	A3	20070726		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.: US 2005-675133P P 20050426

OTHER SOURCE(S): MARPAT 145:460579

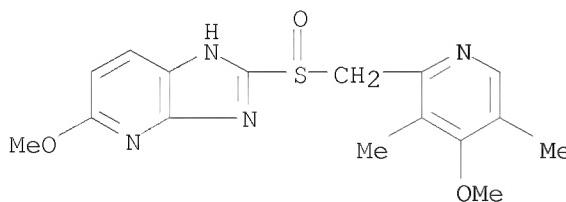
AB The present invention relates to, inter alia, pharmaceutical compns. comprising one or more of an acid labile proton pump inhibitor, a buffering agent, and vitamin B12; to methods for manufacture of such compns., and to use of such compns. in treating and preventing diseases and/or disorders. For example, tablets contained omeprazole, vitamin B12, ferrous sulfate, sodium bicarbonate, calcium carbonate, sodium carbonate and magnesium hydroxide.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical compns. comprising substituted benzimidazole as proton pump inhibitors and buffers and vitamin B12 and ferrous salts)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 43 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:982400 CAPLUS  
 DOCUMENT NUMBER: 145:342507  
 TITLE: Stable tablet dosage forms of proton pump inhibitors  
 INVENTOR(S): Namburi, Ranga R.; Karri, Rama Prasad; Tallapragada,  
                  Ravi Srikanth; Palkhiwala, Burgise F.  
 PATENT ASSIGNEE(S): Qpharma, LLC, USA  
 SOURCE: U.S. Pat. Appl. Publ., 12pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060210637	A1	20060921	US 2005-82610	20050317
WO 2006101794	A2	20060928	WO 2006-US8855	20060314
WO 2006101794	A3	20070104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080057125	A1	20080306	US 2007-849505	20070904

PRIORITY APPLN. INFO.: US 2005-82610 A 20050317

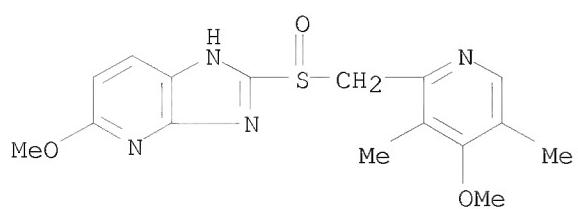
AB This invention relates to a method of making oral formulations of practically water insol., or very slightly water soluble proton pump inhibitors, the oral dosage forms so made, and methods of use thereof. The oral dosage form has a core tablet of compressed particles composed of powder particles of a pharmaceutically acceptable material, having coated thereon admixt. of an amorphous, salt form of a benzimidazole proton pump inhibitor produced in-situ; and a pharmaceutically acceptable, water-soluble, hydrophilic polymer having a surfactant functionality. The coated core tablet has a pharmaceutically acceptable sub-coating on the core tablet; and a pharmaceutically acceptable enteric coating on the sub-coating. The coated tablet may provide enhanced absorption when administered orally. A core tablet containing omeprazole 20.0 mg was coated with Opadry 03K19299 5.517, and disodium hydrogen phosphate 0.184 to obtain a delayed-release tablet.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(stable tablet dosage forms of proton pump inhibitors)

RN 113712-98-4 CAPLUS

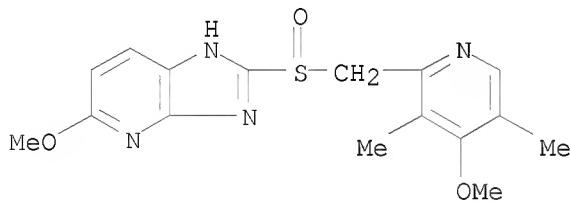
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 44 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:952866 CAPLUS  
 DOCUMENT NUMBER: 145:321808  
 TITLE: Pharmaceutical formulations for inhibiting acid secretion  
 INVENTOR(S): Hall, Warren; Olmstead, Kay; Weston, Laura  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 56pp., Cont.-in-part of U.S.  
       Ser. No. 893,203.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060204585	A1	20060914	US 2006-338608	20060124
US 20050037070	A1	20050217	US 2004-893203	20040716
PRIORITY APPLN. INFO.:			US 2003-488321P	P 20030718
			US 2004-893203	A2 20040716

- AB** In one general aspect of the present invention, pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated or dry coated with a material that enhances the shelf-life of the pharmaceutical composition and one or more antacids are described. In another general aspect of the present invention, pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated or dry coated with a taste-masking material and one or more antacid are described. Thus, dry granules contained omeprazole 10, sodium bicarbonate 85, Klucel 5, and Mg stearate 0.3 mg.  
**IT** 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (pharmaceutical formulations for inhibiting acid secretion)  
**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



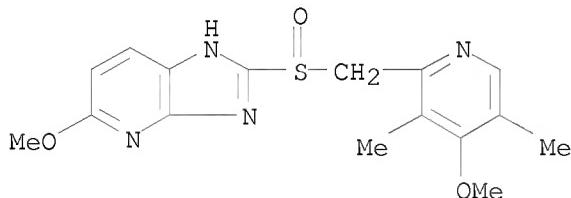
L3 ANSWER 45 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2006:883781 CAPLUS  
DOCUMENT NUMBER: 146:429014  
TITLE: Comparison of three methods for quantitative determination of tenatoprazole  
AUTHOR(S): Liu, Pei; Sun, Bo; Lu, Xiu-mei; Li, Fa-mei  
CORPORATE SOURCE: Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China  
SOURCE: Zhongguo Xinyao Zazhi (2006), 15(1), 49-52  
CODEN: ZXZHA6; ISSN: 1003-3734  
PUBLISHER: Zhongguo Xinyao Zazhi Youxian Gongsi  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese

AB Argentometric method, UV spectrophotometry and HPLC method were used to quantify tenatoprazole resp. Results showed that the argentometric method showed an average recovery rate of 99.9% with RSD of 0.13% ( $n = 5$ ) and the precision of 0.09% ( $n = 5$ ). The calibrated linear curve of tenatoprazole was in the range of 5.020-50.20  $\mu\text{g/mL}$  ( $r = 0.9997$ ) by HPLC, which had the average recovery rate of 99.5-100.0% and the precision of 0.20% ( $n = 6$ ). The UV anal. provided a calibrated linear curve within 2.0-12  $\mu\text{g/mL}$  ( $r = 0.9999$ ) with average recovery of 99.4-100.3% and the precision of 0.81% ( $n = 5$ ). In conclusion, the accurate argentometric method did not need reference substance. The rapid UV spectrophotometry was a convenient tool, and the specific HPLC method offered an analytic way in the quantification of the impurities in tenatoprazole.

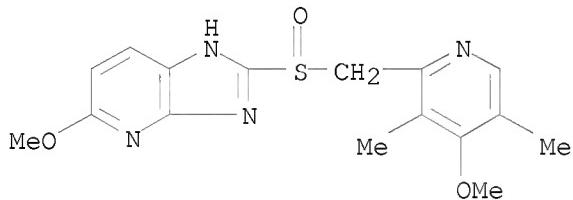
IT 113712-98-4, Tenatoprazole  
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
(comparison of tenatoprazole determination methods)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

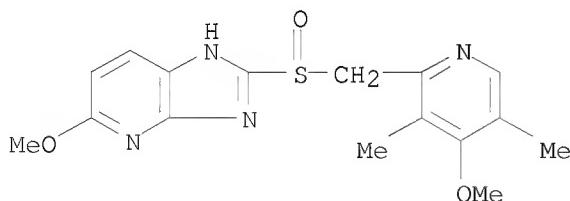


L3 ANSWER 46 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:883780 CAPLUS  
 DOCUMENT NUMBER: 146:521403  
 TITLE: Structural elucidation of proton pump inhibitor  
 tenatoprazole by NMR  
 AUTHOR(S): Liu, Li-jun; Wang, Lin; Li, Lu; Miao, Zhen-chun  
 CORPORATE SOURCE: Institute of Pharmacology and Toxicology, Academy of  
 Military Medical Sciences, Beijing, 100850, Peop. Rep.  
 China  
 SOURCE: Zhongguo Xinyao Zazhi (2006), 15(1), 47-49  
 CODEN: ZXZHA6; ISSN: 1003-3734  
 PUBLISHER: Zhongguo Xinyao Zazhi Youxian Gongsi  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 AB The paper aimed to determine the structure of the proton pump inhibitor  
 ( $H^+$ /K<sup>+</sup>-ATPase inhibitor) tenatoprazole by  $^1H$ - and  $^{13}C$ -NMR.  $^1D$ -NOESY  
 (one-dimensional nuclear Overhauser effect spectroscopy) and 2D-NMR  
 techniques including  $^1H$  and  $^{13}C$  COSY (correlated spectroscopy) were used  
 to elucidate the skeleton structure of tenatoprazole. Results showed that  
 the proton and carbon assignments and connections with the signals in the  
 NMR spectrum of this compound were successfully completed. In conclusion,  
 the chemical structure of tenatoprazole was confirmed.  
 IT 113712-98-4, Tenatoprazole  
 RL: PRP (Properties)  
 (structural elucidation of proton pump inhibitor tenatoprazole by NMR)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-  
 pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



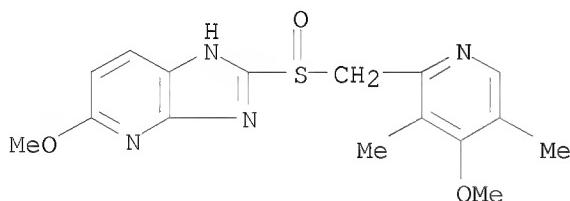
L3 ANSWER 47 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:821280 CAPLUS  
 DOCUMENT NUMBER: 145:241746  
 TITLE: Medicine composition containing superoxide dismutase  
       for treating peptic ulcer  
 INVENTOR(S): Kong, Qingzhong; Gao, Bifeng; Liu, Enxiang; Zhang,  
                 Jie; Yu, Jianjiang; Su, Hongqing; Zhang, Hongjun  
 PATENT ASSIGNEE(S): Shandong Lanjin Biotech Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 18pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
CN 1814283	A	20060809	CN 2005-10200786	20051209			
PRIORITY APPLN. INFO.:			CN 2005-10200786	20051209			
AB The title composition comprises oxygen free radical scavenger (superoxide dismutase), or combination of oxygen free radical scavenger and an effective amount of Helicobacter pylori inhibitor and/or an effective amount of gastric acid secretion inhibitor. The superoxide dismutase (SOD) includes Mn-SOD, CuZn-SOD, and EC-SOD, and the gastric acid secretion inhibitor includes histamine receptor antagonist, proton pump inhibitor, and/or antiacid, while the Helicobacter pylori inhibitor can be penicillin, erythromycin, amoxicillin, clarithromycin, etc. The inventive composition can be prepared into forms of granule, effervescent, tablet, capsule, syrup, injection, suspension for injection, suppository, or sustained-release agent. The medicine composition can be administered orally or non-orally for preventing and treating gastric ulcer, duodenal ulcer, Zollinger-Ellison syndrome, reflux esophagitis, gastritis, and duodenitis.							
IT 113712-98-4, Tenatoprazole	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)	(medicine composition containing superoxide dismutase for treating peptic ulcer)					
RN 113712-98-4 CAPLUS							
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)							



L3 ANSWER 48 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:815215 CAPLUS  
 DOCUMENT NUMBER: 145:256130  
 TITLE: Pharmaceutical composition for preventing and treating peptic ulcer  
 INVENTOR(S): Sun, Juan; Sun, Zhonghou; Tian, Shaolan  
 PATENT ASSIGNEE(S): Jinan Kangquan Medical Science and Technology Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 15pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
CN 1814291	A	20060809	CN 2005-10200783	20051209			
PRIORITY APPLN. INFO.:			CN 2005-10200783	20051209			
AB The title composition comprises melatonin or L-tryptophane, and therapeutically effective amts. of an agent with inhibitory effect on Helicobacter pylori (Hp) and a gastric acid secretion inhibitor. The Hp-inhibitory agent can be selected from one or more of penicillin, ampicillin, amoxicillin, metronidazole, furazolidone, erythromycin, clarithromycin and its analogs, gentamicin, tetracycline, etc. The gastric acid secretion inhibitor is selected from histamine receptor antagonist, proton pump inhibitor, and/or antiacids. The composition can be manufactured into granule, effervescent, tablet, capsule, syrup, injection, injection suspension, suppository, or sustained- or controlled-release preparation. The composition has the effects in scavenging oxyradical, inhibiting the growth of Hp, and inhibiting the secretion of gastric acid, and can be used for preventing and treating gastric and duodenal ulcer.							
IT 113712-98-4, Tenatoprazole	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)	(pharmaceutical composition for preventing and treating peptic ulcer)					
RN 113712-98-4 CAPLUS							
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)							



L3 ANSWER 49 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:815212 CAPLUS  
 DOCUMENT NUMBER: 145:256129  
 TITLE: Pharmaceutical composition for treating peptic ulcer  
 INVENTOR(S): Sun, Juan; Sun, Zhonghou; Tian, Shaolan  
 PATENT ASSIGNEE(S): Jinan Kangquan Medical Science and Technology Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 14pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1814289	A	20060809	CN 2005-10200782	20051209
CN 101138563	A	20080312	CN 2007-10201149	20051209
PRIORITY APPLN. INFO.:			CN 2005-10200782	A3 20051209

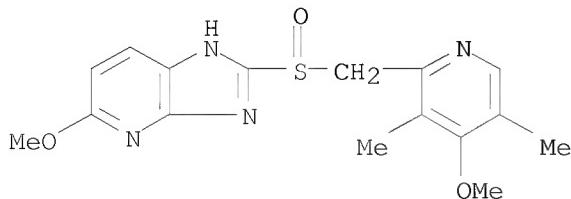
AB The title composition comprises melatonin or L-tryptophan, and therapeutically effective amts. of an agent with inhibitory effect on Helicobacter pylori (Hp) or a gastric acid secretion inhibitor. The Hp-inhibitory agent can be selected from one or more of penicillin, ampicillin, amoxicillin, metronidazole, furazolidone, erythromycin, clarithromycin and its analogs, gentamicin, tetracycline, etc. The gastric acid secretion inhibitor can be selected from one or more of cimetidine, lafutidine, famotidine, roxatidine, lansoprazole, rabeprazole, tenatoprazole, pantoprazole, esomeprazole, leminoprazole, dosmalfate, sofalcone, aluminum hydroxide, magnesium hydroxide, sodium bicarbonate, calcium carbonate, etc. The composition can be manufactured into granule, effervescent tablet, tablet, capsule, syrup, injection, injection suspension, suppository, or sustained- or controlled-release preparation. The composition has the effects in scavenging oxyradical, inhibiting the growth of Hp, and inhibiting the secretion of gastric acid.

IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical composition for treating peptic ulcer)

RN 113712-98-4 CAPLUS

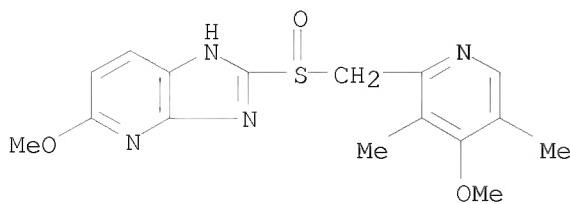
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 50 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:792952 CAPLUS  
 DOCUMENT NUMBER: 145:202930  
 TITLE: Use of 5-HT4 agonists for the treatment of delayed gastric emptying  
 INVENTOR(S): Earnest, David Lewis; Rojavin, Mikhail; Tougas, Gervais  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SOURCE: PCT Int. Appl., 13pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

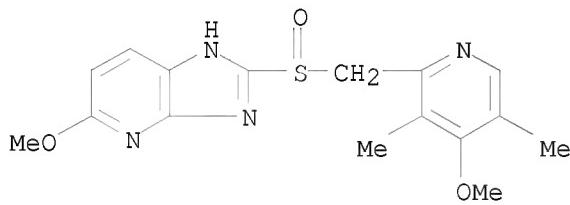
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006083710	A2	20060810	WO 2006-US2927	20060127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006211205	A1	20060810	AU 2006-211205	20060127
CA 2593854	A1	20060810	CA 2006-2593854	20060127
EP 1853256	A2	20071114	EP 2006-719678	20060127
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007DN04665	A	20070817	IN 2007-DN4665	20070618
MX 200709136	A	20070906	MX 2007-9136	20070727
KR 2007107016	A	20071106	KR 2007-717605	20070730
PRIORITY APPLN. INFO.:			US 2005-648479P	P 20050131
			WO 2006-US2927	W 20060127

- AB The invention discloses a method for the treatment of delayed gastric emptying in a patient in need of such treatment, which comprises administering an effective amount of a 5-HT4 agonist, e.g. tegaserod or a salt or hydrate thereof, to the patient. The delayed gastric emptying may be e.g. proton pump inhibitor-induced.
- IT 113712-98-4, Tenatoprazole 113712-98-4D, Tenatoprazole, salts 705968-86-1, (S)-Tenatoprazole 705968-86-1D, (S)-Tenatoprazole, salts 705969-00-2 705969-00-2D, salts  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (5-HT4 agonists for treatment of delayed gastric emptying)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 113712-98-4 CAPLUS

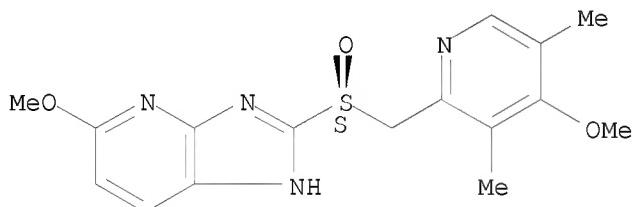
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]methyl]- (CA INDEX NAME)

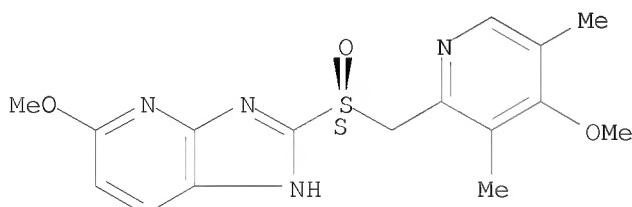
Absolute stereochemistry. Rotation (-).



RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]methyl]- (CA INDEX NAME)

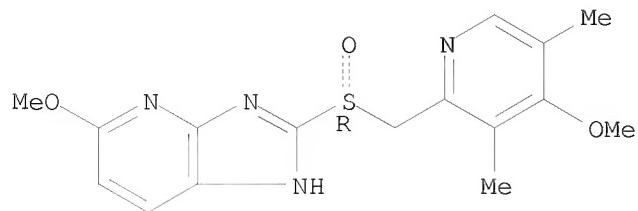
Absolute stereochemistry. Rotation (-).



RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]methyl]- (CA INDEX NAME)

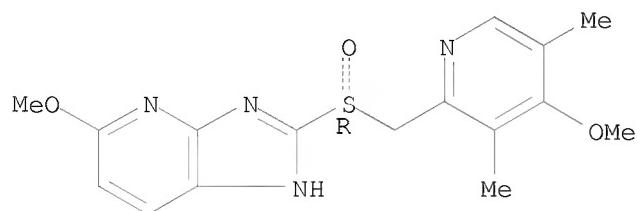
Absolute stereochemistry. Rotation (+).



RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[ (R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

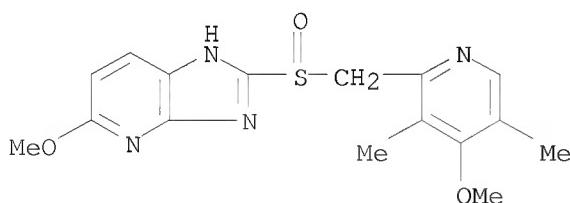


L3 ANSWER 51 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:731954 CAPLUS  
 DOCUMENT NUMBER: 146:74300  
 TITLE: The opportunities and benefits of extended acid suppression  
 AUTHOR(S): Scarpignato, C.; Pelosi, I.  
 CORPORATE SOURCE: University of Parma, Parma, Italy  
 SOURCE: Alimentary Pharmacology and Therapeutics (2006), 23(Suppl. 2), 23-34  
 CODEN: APTHEN; ISSN: 0269-2813  
 PUBLISHER: Blackwell Publishing Ltd.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English

**AB** A review. Acid suppression therapy with proton pump inhibitors is associated with well-established benefits in the management of gastro-oesophageal reflux (GERD) and other acid-related disorders. However, a number of issues still remain unsettled. Despite their clin. efficacy, when given once daily, currently available proton pump inhibitors may not adequately control intragastric acidity during the night in a significant proportion of both healthy subjects and GERD patients, in whom symptom relief remains suboptimal. Although some novel proton pump inhibitors have been synthesized, only few reached clin. testing. Amongst them, tenatoprazole represents a true advance displaying a long half-life (five to seven times longer than that of currently available drugs) and extended acid suppression covering both day and night. All the available clin. studies suggest both pharmacokinetic and pharmacodynamic advantages of tenatoprazole over esomeprazole. As this last compound provides - amongst the members of the class - the most effective control of intragastric pH whatever the parameter considered, it is conceivable that tenatoprazole could similarly be better than the other existing proton pump inhibitors. Tenatoprazole appears to be a promising proton pump inhibitor for the treatment of acid-related diseases, where it has the potential to address unmet clin. needs.

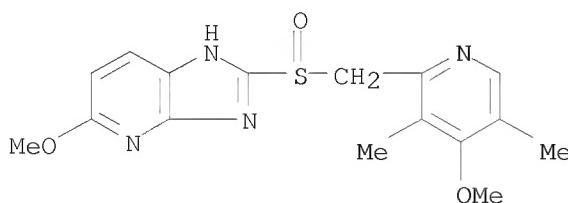
**IT** 113712-98-4, Tenatoprazole  
**RL:** PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tenatoprazole has longer half-life and extended acid suppression covering both day and night and has both pharmacokinetic and pharmacodynamic advantages over esomeprazole in patient with gastro-oesophageal reflux)

**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:731951 CAPLUS  
 DOCUMENT NUMBER: 146:54338  
 TITLE: The clinical pharmacology of proton pump inhibitors  
 AUTHOR(S): Sachs, G.; Shin, J. M.; Howden, C. W.  
 CORPORATE SOURCE: Department of Physiology and Medicine, David Geffen School of Medicine, University of California at Los Angeles, Los Angeles, CA, USA  
 SOURCE: Alimentary Pharmacology and Therapeutics (2006), 23(Suppl. 2), 2-8  
 CODEN: APTHEN; ISSN: 0269-2813  
 PUBLISHER: Blackwell Publishing Ltd.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review. Proton pump inhibitors inhibit the gastric H<sup>+</sup>/K<sup>+</sup>-ATPase via covalent binding to cysteine residues of the proton pump. All proton pump inhibitors must undergo acid accumulation in the parietal cell through protonation, followed by activation mediated by a second protonation at the active secretory canalculus of the parietal cell. The relative ease with which these steps occur with different proton pump inhibitors underlies differences in their rates of activation, which in turn influence the location of covalent binding and the stability of inhibition. Slow activation is associated with binding to a cysteine residue involved in proton transport that is located deep in the membrane. However, this is inaccessible to the endogenous reducing agents responsible for restoring H<sup>+</sup>/K<sup>+</sup>-ATPase activity, favoring a longer duration of gastric acid inhibition. Pantoprazole and tenatoprazole, a novel proton pump inhibitor which has an imidazopyridine ring in place of the benzimidazole moiety found in other proton pump inhibitors, are activated more slowly than other proton pump inhibitors but their inhibition is resistant to reversal. In addition, tenatoprazole has a greatly extended plasma half-life in comparison with all other proton pump inhibitors. The chemical and pharmacol. characteristics of tenatoprazole give it theor. advantages over benzimidazole-based proton pump inhibitors that should translate into improved acid control, particularly during the night.  
 IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pantoprazole and tenatoprazole show nonreversible inhibition and are activated more slowly than benzimidazole-based proton pump inhibitors and tenatoprazole might improve acid control due to its extended plasma half-life)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2006:722185 CAPLUS  
DOCUMENT NUMBER: 145:240785  
TITLE: Helicobacter pylori therapy: what is coming?  
AUTHOR(S): Zullo, Angelo; Hassan, Cesare; Eramo, Annarita;  
Morini, Sergio  
CORPORATE SOURCE: Ospedale Nuovo Regina Margherita Gastroenterologia ed  
Endoscopia Digestiva, Rome, 3000153, Italy  
SOURCE: Expert Opinion on Therapeutic Patents (2006), 16(8),  
1107-1112  
PUBLISHER: CODEN: EOTPEG; ISSN: 1354-3776  
DOCUMENT TYPE: Informa Healthcare  
LANGUAGE: Journal; General Review  
English

AB A review. *Helicobacter pylori* infection is a widespread disease causing significant morbidity and mortality, with a relevant economic impact. To cure such an infection, the use of a 7-day triple therapy (a proton pump inhibitor together with two antibiotics) is suggested in those areas in which clarithromycin resistance rate is < 20%, whereas a 7-day quadruple therapy or a 14-day triple therapy should be used where clarithromycin resistance is higher. However, no existing therapies achieve bacterial eradication in all treated patients, the eradication rate can actually reach values as low as 70-80%. Therefore, new drugs are vital within this field. Surprisingly, very few patents have been claimed in the last three years. Quinolone derivs. probably remain the most investigated drugs, gemifloxacin being proposed most recently. New pleuromutilin derivs. (I-valnemulin) showed a very powerful bactericidal activity against *H. pylori* isolates, but *in vivo* data are still lacking. A novel proton pump inhibitor, the (-)-enantiomer of tenatoprazole, with reduced nocturnal acid breakthrough values has been claimed. This compound might improve activity of the antibiotic dose administered at bedtime.

IT 705968-86-1, (-)-Tenatoprazole  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(*Helicobacter pylori* infection therapy)

RN 705968-86-1 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

**Pythagoras, Meeney, Sallust, (or INDEX NIKI),**

ASSOCIATE STEREOCHEMISTRY: ROTATION ( )

The diagram shows a complex organic molecule. At the center is a thionocarbonate group ( $\text{SSC=S}$ ). One sulfur atom is bonded to a 2-methoxy-4-methylpyridine ring. The other sulfur atom is bonded to a 2-methoxy-4-methyl-6-pyridylmethyl group. The pyridine ring has a methoxy group ( $\text{OMe}$ ) at position 2 and a methyl group ( $\text{Me}$ ) at position 4. The 6-pyridylmethyl group also has a methyl group ( $\text{Me}$ ) at its 6-position.

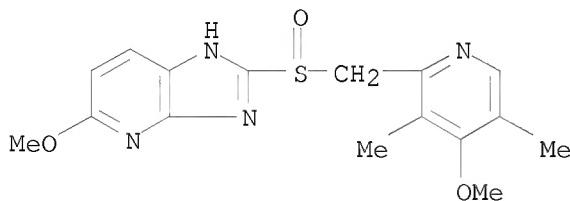
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMATORY.

L3 ANSWER 54 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:698905 CAPLUS  
 DOCUMENT NUMBER: 145:327747  
 TITLE: A clinical drug library screen identifies astemizole as an antimalarial agent  
 AUTHOR(S): Chong, Curtis R.; Chen, Xiaochun; Shi, Lirong; Liu, Jun O.; Sullivan, David J., Jr.  
 CORPORATE SOURCE: Department of Pharmacology and Molecular Sciences, The Johns Hopkins University School of Medicine, Baltimore, MD, 21205, USA  
 SOURCE: Nature Chemical Biology (2006), 2(8), 415-416  
 CODEN: NCBABT; ISSN: 1552-4450  
 PUBLISHER: Nature Publishing Group  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

**AB** The high cost and protracted time line of new drug discovery are major roadblocks to creating therapies for neglected diseases. To accelerate drug discovery the authors created a library of 2687 existing drugs and screened for inhibitors of the human malaria parasite *Plasmodium falciparum*. The antihistamine astemizole and its principal human metabolite are promising new inhibitors of chloroquine-sensitive and multidrug-resistant parasites, and they show efficacy in two mouse models of malaria.

**IT** 113712-98-4, T Enatoprazole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (clin. drug library screen identifies astemizole as an antimalarial agent)

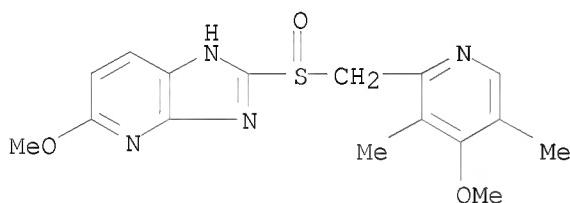
**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 55 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:671479 CAPLUS  
 DOCUMENT NUMBER: 145:110460  
 TITLE: Tenatoprazole medical formulation and its preparation  
 INVENTOR(S): Gao, Yuan; Yu, Weimin; Chen, Zhongyi  
 PATENT ASSIGNEE(S): Xinyi Pharmaceutical Plant, Peop. Rep. China  
 SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 22 pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

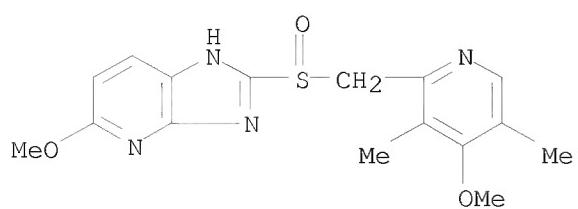
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1795856	A	20060705	CN 2004-10093447	20041223
PRIORITY APPLN. INFO.:			CN 2004-10093447	20041223
AB The formulation consists of core 10-70, medicine 3-35, isolating layer 1-40, and enteric layer 5-45 wt%. The core comprises tenatoprazole, and one or more medical adjuvant. The isolating layer comprises polymer material selected from hydroxypropyl Me cellulose, polyvinylpyrrolidone or hydroxypropyl cellulose, and filler fine powder of medical solid excipient selected from talc powder and silicon oxide. The isolating layer also contains medical non-reducing sugar 0-10 wt%, and light barrier substance. The enteric polymer is acrylic resin, and the plasticizing agent is tri-Et citrate. The outer layer material is selected from antistatic component, wax or polymeric material. The polymeric material is selected from hydroxypropyl Me cellulose or polyvinylpyrrolidone. The preparation process consists of preparing core, encapsulating isolating layer, and encapsulating enteric layer.				
IT 113712-98-4, Tenatoprazole	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(tenatoprazole medical formulation and its preparation)			
RN 113712-98-4 CAPLUS				
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)				



L3 ANSWER 56 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:630739 CAPLUS  
 DOCUMENT NUMBER: 145:90005  
 TITLE: Compositions comprising amorphous benzimidazole compounds  
 INVENTOR(S): Bhushan, Indu; Vermani, Kavita; Kodipyaka, Ravinder;  
                   Mehta, Pavak; Mohan, Mailatur Sivaraman  
 PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Ltd., India; Dr. Reddy's  
                   Laboratories, Inc.  
 SOURCE: PCT Int. Appl., 34 pp.  
                   CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069159	A2	20060629	WO 2005-US46393	20051220
WO 2006069159	A3	20061221		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2591983	A1	20060629	CA 2005-2591983	20051220
EP 1827429	A2	20070905	EP 2005-855020	20051220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007CN03106	A	20070907	IN 2007-CN3106	20070713
PRIORITY APPLN. INFO.:			IN 2004-CH1401	A 20041220
			WO 2005-US46393	W 20051220

- AB The present invention relates to the processes for the preparation of pharmaceutical compns. comprising the amorphous form of substituted benzimidazoles or their pharmaceutically acceptable salts, solvates, enantiomers or mixts. thereof, methods of use and treatment of different disease conditions using these compns. For example, esomeprazole magnesium (amorphous) 40 mg was dissolved in methanol, then mannitol 37 mg and meglumine 3 mg were dispersed in the solution. The resulting dispersion was spray dried.  
 IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (compns. comprising amorphous benzimidazole compds.)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 57 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:605733 CAPLUS  
 DOCUMENT NUMBER: 145:110276  
 TITLE: Helicobacter pylori inhibitor/gastric acid secretion inhibitor combination for treating peptic ulcer  
 INVENTOR(S): Sun, Juan; Sun, Zhonghou; Liu, Enxiang; Zhang, Jie;  
 Su, Hongqing; Yu, Jianjiang; Zhang, Hongjun  
 PATENT ASSIGNEE(S): Jinan Kangquan Pharmaceutical Science and Technology Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 15 pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1785429	A	20060614	CN 2005-10200680	20051109
PRIORITY APPLN. INFO.:			CN 2005-10200680	20051109

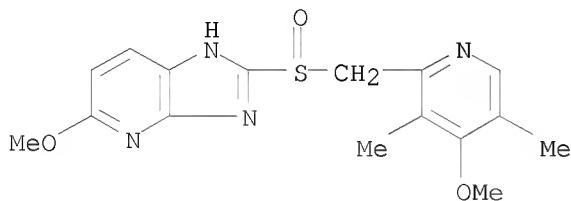
AB The title medical composition is composed of Helicobacter pylori inhibitor, gastric acid secretion inhibitor, and excipients. The H. pylori inhibitor is penicillin, ampicillin, amoxicillin, erythromycin, etc. The gastric acid secretion inhibitor is a histamine receptor antagonist, such as cimetidine, ranitidine, lafutidine, famotidine, etc., a H<sup>+</sup>/K<sup>+</sup>-ATPase inhibitor, e.g., rabeprazole, tenatoprazole, omeprazole, lansoprazole, etc., and an antacid agent, such as Al(OH)<sub>3</sub>, Mg(OH)<sub>2</sub>, NaHCO<sub>3</sub>, etc. The medical composition may be prepared into powder, granule, tablet, pills, syrup, injection, suspension injection, and other drug delivery systems for treating peptic ulcer and duodenal ulcer.

IT 113712-98-4, Tenatoprazole

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination of Helicobacter pylori inhibitor/gastric acid secretion inhibitor for treating duodenal and peptic ulcer)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 58 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:604613 CAPLUS  
 DOCUMENT NUMBER: 145:70051  
 TITLE: Solid dosage form comprising proton pump inhibitor and suspension made thereof  
 INVENTOR(S): Persson, Eva; Trofast, Eva  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
 SOURCE: U.S. Pat. Appl. Publ., 14 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060134210	A1	20060622	US 2005-312869	20051219
AU 2005319732	A1	20060629	AU 2005-319732	20051220
CA 2592030	A1	20060629	CA 2005-2592030	20051220
WO 2006068596	A1	20060629	WO 2005-SE1972	20051220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1830816	A1	20070912	EP 2005-820824	20051220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101087590	A	20071212	CN 2005-80044194	20051220
IN 2007DN04584	A	20070831	IN 2007-DN4584	20070614
MX 200707423	A	20070717	MX 2007-7423	20070619
KR 2007094610	A	20070920	KR 2007-714098	20070621
US 20080020053	A1	20080124	US 2007-722387	20070621
NO 2007003731	A	20070924	NO 2007-3731	20070718
PRIORITY APPLN. INFO.:			US 2004-638435P	P 20041222
			WO 2005-SE1972	W 20051220

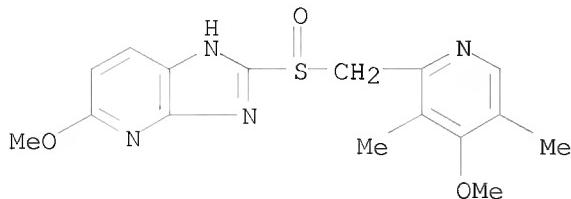
AB A solid, rapidly gelling oral pharmaceutical dosage form, as well as an aqueous formulation prepared thereof, comprising (a) an acid sensitive proton pump inhibitor as active ingredient distributed in a multitude of enteric coated pellets, and (b) a suspension modifying granulate. Furthermore, the invention relates to an improved process for the manufacture and the use of such formulation in medical treatment, including prevention of gastrointestinal disorders in humans. For example, enteric-coated pellets were manufactured from (i) a core material comprising esomeprazole magnesium trihydrate 445 g, sugar sphere seeds 300 g, hydroxypropyl Me cellulose 67 g, Polysorbate 80 9 g, and water 2100 g, (ii) a subcoating layer comprising hydroxypropyl cellulose 90 g, talc 340 g, magnesium stearate 22 g, and water 3100 g, and (iii) an enteric coating layer comprising methacrylic acid copolymer type C (30% dispersion) 1270 g, tri-Et citrate 38 g, mono- and diglycerides 19 g, Polysorbate 80 2 g, and water 500 g. Suspension layering was performed in a fluid bed apparatus using a bottom spray technique.

IT 113712-98-4, Tenatoprazole 113712-98-4D, Tenatoprazole, enantiomers and salts

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(solid oral dosage form comprising proton pump inhibitor enteric coated  
pellets and suspension modifying granulate)

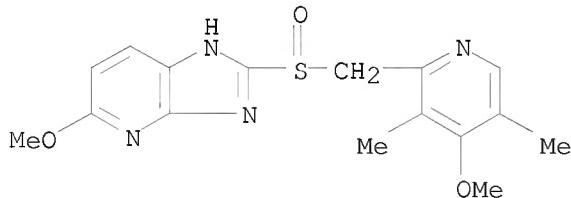
RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

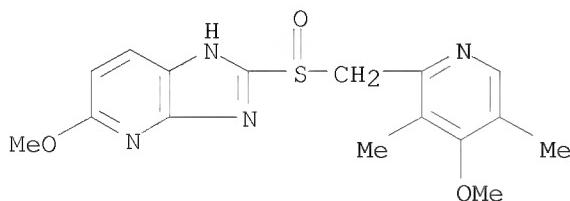


L3 ANSWER 59 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:522777 CAPLUS  
 DOCUMENT NUMBER: 145:369603  
 TITLE: Comparison of the effects of fasting morning, fasting evening and fed bedtime administration of tenatoprazole on intragastric pH in healthy volunteers: a randomized three-way crossover study  
 AUTHOR(S): Thomson, A. B. R.; Cohen, P.; Ficheux, H.; Fiorentini, P.; Domagala, F.; Homerin, M.; Taccone, A.  
 CORPORATE SOURCE: Department of Medicine, Division of Gastroenterology, University of Alberta, Edmonton, Can.  
 SOURCE: Alimentary Pharmacology and Therapeutics (2006), 23(8), 1179-1187  
 CODEN: APTHEN; ISSN: 0269-2813  
 PUBLISHER: Blackwell Publishing Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

**AB** Background: The effectiveness of proton pump inhibitors is influenced by meals and administration time. Aim: To compare the effects on intragastric acidity of times of dosing of tenatoprazole, a novel imidazopyridine-based proton pump inhibitor with a prolonged plasma half-life. Methods: This randomized three-period crossover study included 12 *Helicobacter pylori*-neg. healthy subjects, who received tenatoprazole 40 mg either fasting at 7.00 am, fasting at 7.00 pm or fed at 9.30 pm for 7 days, with a 2-wk washout between periods. Twenty-four hour intragastric pH was monitored on day 7 of each period. Results: On day 7, median 24-h pH was 4.7, 5.1 and 4.7 after breakfast, dinner and bedtime dosing, resp. ( $P = 0.11$ ), whereas night-time pH was 4.2, 5.0 and 4.4 ( $P = 0.13$ ). The mean 24-h percentage of time over pH 4 was 62, 72 and 64 after breakfast, dinner and bedtime dosing, resp. (N.S.), and 54, 68 and 56 during night-time ( $P = 0.06$ ). Nocturnal acid breakthrough incidence decreased from 100% at baseline to 83%, 55% and 75% after 7.00 am, 7.00 pm and 9.30 pm dosing, resp. ( $P = 0.18$ ), and its mean duration dropped from 6.2 to 2.8, 1.0 and 2.2 h, resp. ( $P < 0.05$ ). Conclusion: Seven-day administration of tenatoprazole provides a prolonged duration of acid suppression, especially during the night-time, with little effect of food or time of dosing.

**IT** 113712-98-4, Tenatoprazole  
**RL:** PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (imidazopyridine-based proton pump inhibitor tenatoprazole inhibited intragastric acidity during fasting morning, fasting evening and fed bedtime in healthy Caucasian, Asian and African-American volunteer)

**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 60 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:388649 CAPLUS  
 DOCUMENT NUMBER: 144:412513  
 TITLE: Process for the preparation of tenatoprazole salts  
 INVENTOR(S): Joshi, Ramesh Anna; Joshi, Rohini Ramesh; Wakchaure, Vijay Naryan; Gurjar, Mukund Keshav  
 PATENT ASSIGNEE(S): India  
 SOURCE: U.S. Pat. Appl. Publ., 5 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060089376	A1	20060427	US 2004-973983	20041027
US 20060089377	A1	20060427	US 2005-175027	20050706
US 20060270711	A1	20061130	US 2006-490247	20060721
PRIORITY APPLN. INFO.:			US 2004-973983	A1 20041027
			US 2005-175027	A3 20050706

OTHER SOURCE(S): CASREACT 144:412513

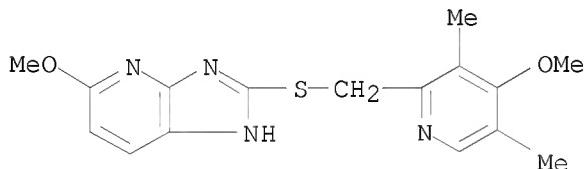
AB Li, Na, Ca, K, or Mg salts of 5-methoxy-2-(4-methoxy-3,5dimethylpyridin-2-ylmethylsulfinyl)imidazo[4,5-b]pyridine (i.e., tenatoprazole) are prepared in high yield and selectivity by oxidizing the corresponding tenatoprazole sulfide with an oxidant (e.g., m-chloroperbenzoic acid) and isolating the salt (Li, Na) by treatment with an alkali (e.g., sodium hydroxide) or exchanging the sodium salt of tenatoprazole with a Mg<sup>2+</sup> or Ca<sup>2+</sup> cation (e.g., by treatment of the sodium salt of tenatoprazole with calcium chloride).

IT 113713-24-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (in a process for the preparation of tenatoprazole salts)

RN 113713-24-9 CAPLUS

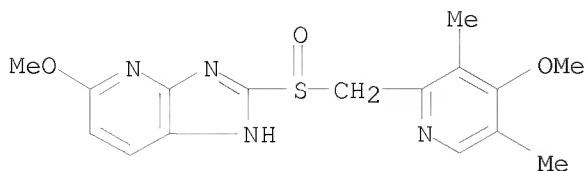
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



IT 335299-59-7P, Tenatoprazole sodium 335299-60-0P,  
 Tenatoprazole potassium 884304-67-0P, Tenatoprazole lithium  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (process for the preparation of tenatoprazole salts)

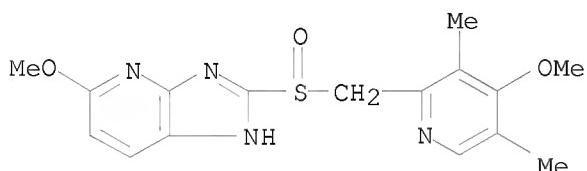
RN 335299-59-7 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



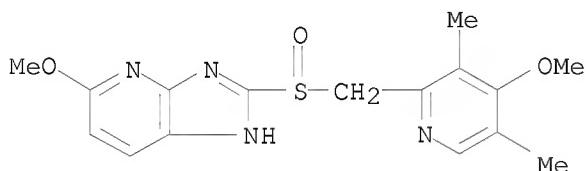
● Na

RN 335299-60-0 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)



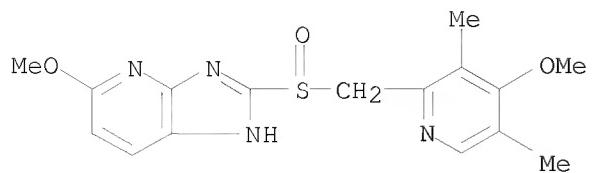
● K

RN 884304-67-0 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, lithium salt (9CI) (CA INDEX NAME)



● Li

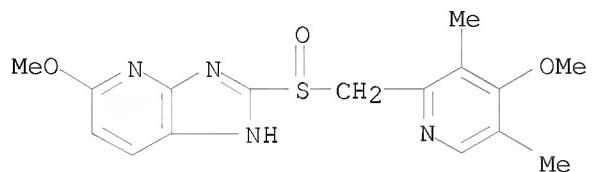
IT 884304-68-1P, Tenatoprazole magnesium 884304-69-2P,  
 Tenatoprazole calcium  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (process for the preparation of tenatoprazole salts)  
 RN 884304-68-1 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (2:1) (CA INDEX NAME)



●1/2 Mg

RN 884304-69-2 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)



●1/2 Ca

L3 ANSWER 61 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:381291 CAPLUS  
 DOCUMENT NUMBER: 144:412510  
 TITLE: Process for the preparation of tenatoprazole salts  
 INVENTOR(S): Joshi, Ramesh Anna; Joshi, Rohini Ramesh; Wakchaure, Vijay Naryan; Gurjar, Mukund Keshav  
 PATENT ASSIGNEE(S): Council of Scientific and Industrial Research, India  
 SOURCE: PCT Int. Appl., 13 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006043280	A1	20060427	WO 2004-IN328	20041019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2005DN01291	A	20080201	IN 2005-DN1291	20050331
PRIORITY APPLN. INFO.:			WO 2004-IN328	W 20041019

OTHER SOURCE(S): CASREACT 144:412510

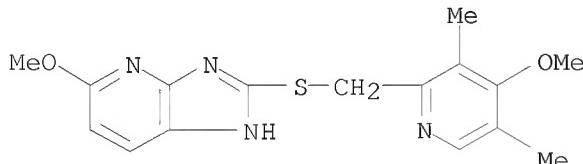
AB Li, Na, Ca, K, or Mg salts of 5-methoxy-2-(4-methoxy-3,5dimethylpyridin-2-ylmethylsulfinyl)imidazo[4,5-b]pyridine (i.e., tenatoprazole) are prepared in high yield and selectivity by oxidizing the corresponding tenatoprazole sulfide with an oxidant (e.g., m-chloroperbenzoic acid) and isolating the salt (Li, Na) by treatment with an alkali (e.g., sodium hydroxide) or exchanging the sodium salt of tenatoprazole with a Mg<sup>2+</sup> or Ca<sup>2+</sup> cation (e.g., by treatment of the sodium salt of tenatoprazole with calcium chloride).

IT 113713-24-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(in a process for the preparation of tenatoprazole salts)

RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio- (CA INDEX NAME)

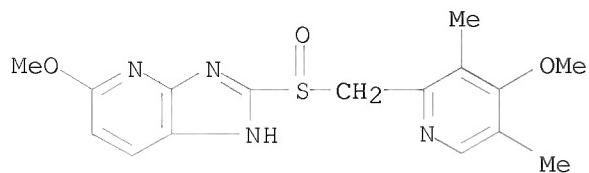


IT 335299-59-7P, Tenatoprazole sodium 335299-60-0P,  
Tenatoprazole potassium 884304-67-0P, Tenatoprazole lithium  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(process for the preparation of tenatoprazole salts)

RN 335299-59-7 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-

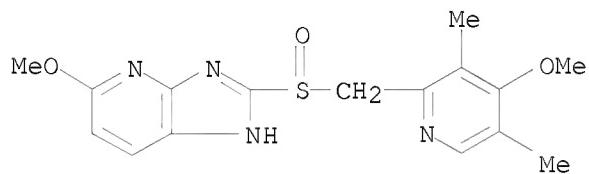
pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 335299-60-0 CAPLUS

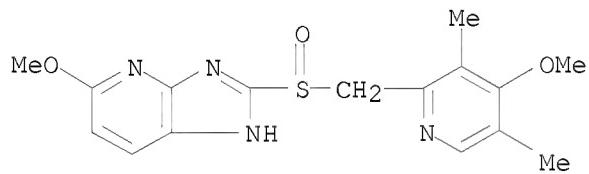
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)



● K

RN 884304-67-0 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, lithium salt (9CI) (CA INDEX NAME)



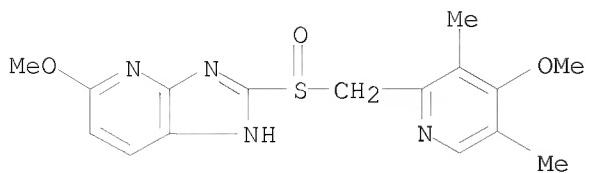
● Li

IT 884304-68-1P, Tenatoprazole magnesium 884304-69-2P,  
Tenatoprazole calcium

RL: SPN (Synthetic preparation); PREP (Preparation)  
(process for the preparation of tenatoprazole salts)

RN 884304-68-1 CAPLUS

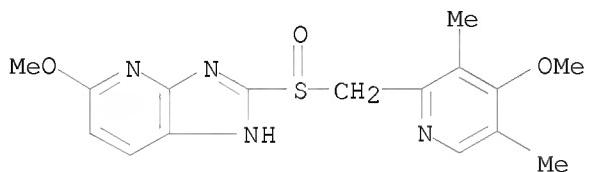
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (2:1) (CA INDEX NAME)



● 1/2 Mg

RN 884304-69-2 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)



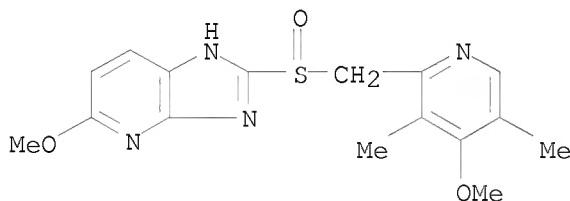
● 1/2 Ca

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 62 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:376645 CAPLUS  
 DOCUMENT NUMBER: 145:373396  
 TITLE: Mechanism of gastric acid secretion  
 AUTHOR(S): Shimatani, Tomohiko; Inoue, Masanori  
 CORPORATE SOURCE: Dept. of General Consultation, Hiroshima University Hospital, Japan  
 SOURCE: Annual Review Shokaki (2006) 93-98  
 CODEN: ARSNAC  
 PUBLISHER: Chugai Igakusha  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: Japanese  
 AB A review discusses roles of different factors such as Helicobacter pylori infection, aging, gender, histamine H<sub>2</sub> receptor antagonist, genetic polymorphism of genes including MDR1 and gastric acid inhibitors including tenatoprazole and AZD0865 in regulation of gastric acid secretion.  
 IT 113712-98-4, Tenatoprazole  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (mechanism of gastric acid secretion)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 63 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:365327 CAPLUS  
 DOCUMENT NUMBER: 144:398349  
 TITLE: Extended-release compositions of proton pump  
       inhibitors  
 INVENTOR(S): Carter, John Paul  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006042277	A2	20060420	WO 2005-US36672	20051012
WO 2006042277	A3	20060810		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2004-617165P P 20041012

OTHER SOURCE(S): MARPAT 144:398349

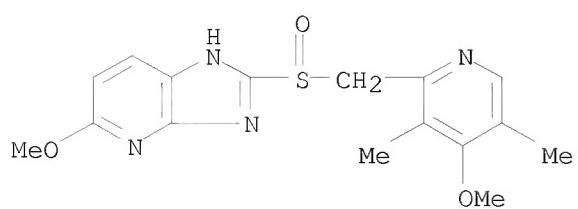
**AB** The invention provides extended release compns. comprising at least one proton pump inhibitor, a polymer and a hydrogel. A composition comprises (i) a core which comprises a therapeutically effective amount of a proton pump inhibitor and a carrier, (ii) a first coat that surrounds the core comprising at least one polymer, (iii) a second coat that surrounds the first coat permeable to the passage of fluid and impermeable to the passage of proton pump inhibitor, and (iv) a passageway in the first and second coats for releasing the proton pump inhibitor from the core. The invention also provides methods for treating gastrointestinal disorders by administering the compns. of the invention to patients in need of gastrointestinal therapy. For example, extended-release tablets were prepared comprising a core containing rabeprazole sodium, mannitol and polyethylene glycol (PEG), a first coat containing Et cellulose and hydroxypropyl cellulose, and a sec. coat containing cellulose acetate and PEG.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (extended-release compns. of proton pump inhibitors for treatment of gastrointestinal disorders)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 64 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:324375 CAPLUS

DOCUMENT NUMBER: 144:370094

TITLE: Process for preparation of sulfoxides, particularly tenatoprazole enantiomers and its analogs, by enantioselective oxidation using titanium(IV)-based catalyst and chiral  $\alpha$ - or  $\beta$ -amino alcohol ligand

INVENTOR(S): Cohen, Avraham; Schutze, Francois; Charbit, Suzy; Martinet, Frederic; Gizecki, Patricia

PATENT ASSIGNEE(S): Sidem Pharma SA, Luxembourg

SOURCE: Fr. Demande, 22 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2876101	A1	20060407	FR 2004-10483	20041005
FR 2876101	B1	20070302		
AU 2005291156	A1	20060413	AU 2005-291156	20051005
CA 2580446	A1	20060413	CA 2005-2580446	20051005
WO 2006037894	A1	20060413	WO 2005-FR2447	20051005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1802620	A1	20070704	EP 2005-804208	20051005
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101035787	A	20070912	CN 2005-80033860	20051005
IN 2007DN02060	A	20070803	IN 2007-DN2060	20070316
NO 2007001524	A	20070427	NO 2007-1524	20070323
MX 200703953	A	20070614	MX 2007-3953	20070402
KR 2007102660	A	20071019	KR 2007-708091	20070409
US 20070299261	A1	20071227	US 2007-663647	20070904
PRIORITY APPLN. INFO.:			FR 2004-10483	A 20041005
			WO 2005-FR2447	W 20051005

OTHER SOURCE(S): CASREACT 144:370094; MARPAT 144:370094

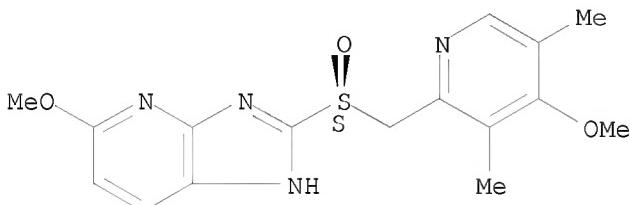
AB The invention is related to the preparation of enantiomeric sulfoxide derivs., and their salts, particularly tenatoprazole enantiomers and its analogs, by enantioselective oxidation of sulfides of formula A-CH<sub>2</sub>-S-B [A = substituted pyridinyl; B = (un)substituted imidazo-pyridinyl] with an oxidation agent in the presence of a Ti(IV)-based catalyst and a chiral cyclic  $\alpha$ - or  $\beta$ -amino alc. ligand, followed by optional salt formation. The advantages include high enantiomeric excess (e.e.), reduced amts. of undesired sulfones, high product purity and yield. Thus, addition of Ti(IV) isopropylate, followed by cumene hydroperoxide to a solution of 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfanyl]imidazo[4,5-b]pyridine and (1R,2S)-(+)-1-amino-2-indanol in anhydrous Py, and stirring the resulting mixture at 22° for 5 h gave (S)-(-)-tenatoprazole in 97% e.e. with 4% sulfone in the crude product.

IT 705968-86-1P, (S)-(-)-Tenatoprazole 705969-00-2P,  
(R)-(+)-Tenatoprazole  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(product; preparation of enantiomeric sulfoxides, particularly tenatoprazole  
and its analogs, by enantioselective oxidation in the presence of  
titanium(IV)-based catalyst and chiral amino alc. ligand)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

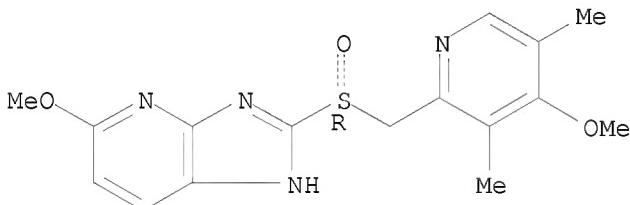
Absolute stereochemistry. Rotation (-).



RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

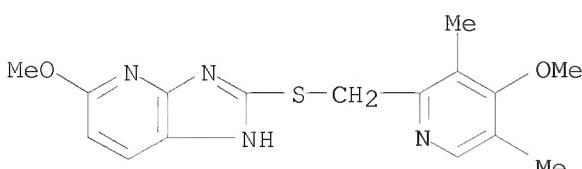


IT 113713-24-9, 5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]imidazo[4,5-b]pyridine

RL: RCT (Reactant); RACT (Reactant or reagent)  
(sulfide starting material; preparation of enantiomeric sulfoxides,  
particularly tenatoprazole and its analogs, by enantioselective oxidation  
in the presence of titanium(IV)-based catalyst and chiral amino alc.  
ligand)

RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



REFERENCE COUNT:

3

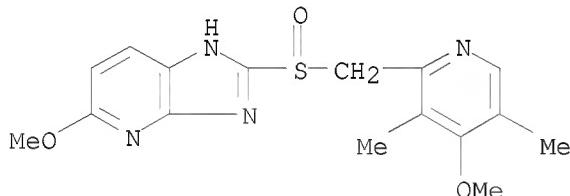
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



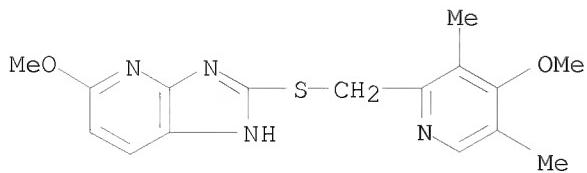
L3 ANSWER 65 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:151614 CAPLUS  
 DOCUMENT NUMBER: 144:324114  
 TITLE: Pharmacokinetics of tenatoprazole, a newly synthesized proton pump inhibitor, in healthy male caucasian volunteers  
 AUTHOR(S): Domagala, Florence; Ficheux, Herve; Houin, Georges; Barre, Jerome  
 CORPORATE SOURCE: NEGMA-GILD, Magny les Hameaux, Fr.  
 SOURCE: Arzneimittel Forschung (2006), 56(1), 33-39  
 CODEN: ARZNAD; ISSN: 0004-4172  
 PUBLISHER: Editio Cantor Verlag  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The pharmacokinetics of tenatoprazole, a newly synthesized proton pump inhibitor, and its metabolites TU-501 (sulfide form) and TU-502 (sulfone form) were investigated in an ascending-dose parallel-group study at the dose levels of 10, 20, 40, 80 and 120 mg. A total of 30 healthy Caucasian male volunteers (6 in each dose group) received a single dose at Day 1 (fasted state) and repeated doses from Day 14 to Day 20. CYP2C19 genotype status was determined in all subjects. Concns. of tenatoprazole, TU-501 and TU-502 in plasma and urine were measured by a validated HPLC/MS/MS method. The single and multiple-dose study provided reliable tolerance. After the single administrations, plasma concns. reached a maximum between 2.5 and 4.3 h post dose, and thereafter decreased according to a terminal half live ( $T_{1/2}$ ) ranging from 4.8 to 7.7 h. Similar  $T_{1/2}$  were obtained on first and the last administration, and the steady state was reached after 5 days. Cmax and AUC increased linearly between 10 to 80 mg. However, with the 120 mg dose, the observed Cmax was higher than expected, especially at steady state. For TU-501 and TU-502 metabolites, Cmax and AUC increased linearly after repeated administration between 40 and 120 mg.

IT 113712-98-4, Tenatoprazole  
 RL: PKT (Pharmacokinetics); BIOL (Biological study)  
 (TU-199; pharmacokinetics of tenatoprazole, a newly synthesized proton pump inhibitor, in healthy male caucasian volunteers)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

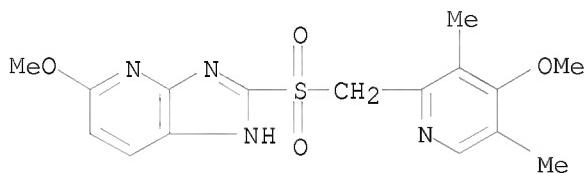


IT 113713-24-9, TU 501 223713-77-7, TU 502  
 223713-85-7, TU 505  
 RL: ANT (Analyte); ANST (Analytical study)  
 (pharmacokinetics of tenatoprazole, a newly synthesized proton pump inhibitor, in healthy male caucasian volunteers)  
 RN 113713-24-9 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



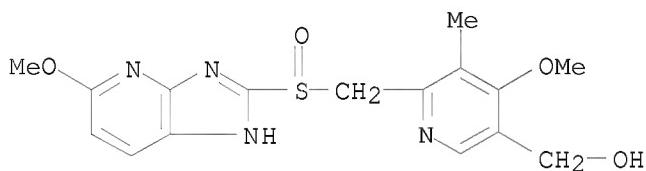
RN 223713-77-7 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfonyl- (9CI) (CA INDEX NAME)



RN 223713-85-7 CAPLUS

CN 3-Pyridinemethanol, 4-methoxy-6-[(5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)sulfinyl]methyl-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 66 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:136566 CAPLUS  
 DOCUMENT NUMBER: 144:357280  
 TITLE: Characterization of the inhibitory activity of tenatoprazole on the gastric H<sup>+</sup>,K<sup>+</sup>-ATPase in vitro and in vivo  
 AUTHOR(S): Shin, Jai Moo; Homerin, Michel; Domagala, Florence;  
 Ficheux, Herve; Sachs, George  
 CORPORATE SOURCE: Department of Physiology and Medicine, David Geffen School of Medicine, University of California at Los Angeles, Los Angeles, CA, USA  
 SOURCE: Biochemical Pharmacology (2006), 71(6), 837-849  
 CODEN: BCPCA6; ISSN: 0006-2952  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

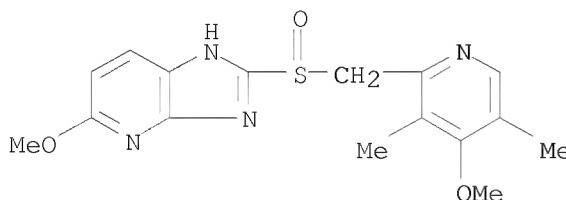
**AB** Tenatoprazole is a prodrug of the proton pump inhibitor (PPI) class, which is converted to the active sulfenamide or sulfenic acid by acid in the secretory canalculus of the stimulated parietal cell of the stomach. This active species binds to luminally accessible cysteines of the gastric H<sup>+</sup>,K<sup>+</sup>-ATPase resulting in disulfide formation and acid secretion inhibition. Tenatoprazole binds at the catalytic subunit of the gastric acid pump with a stoichiometry of 2.6 nmol mg<sup>-1</sup> of the enzyme in vitro. In vivo, maximum binding of tenatoprazole was 2.9 nmol mg<sup>-1</sup> of the enzyme at 2 h after IV administration. The binding sites of tenatoprazole were in the TM5/6 region at Cys813 and Cys822 as shown by tryptic and thermolysin digestion of the ATPase labeled by tenatoprazole. Decay of tenatoprazole binding on the gastric H<sup>+</sup>,K<sup>+</sup>-ATPase consisted of two components. One was relatively fast, with a half-life 3.9 h due to reversal of binding at cysteine 813, and the other was a plateau phase corresponding to ATPase turnover reflecting binding at cysteine 822 that also results in sustained inhibition in the presence of reducing agents in vitro. The stability of inhibition and the long plasma half-life of tenatoprazole should result in prolonged inhibition of acid secretion as compared to omeprazole. Further, the bioavailability of tenatoprazole was two-fold greater in the (S)-tenatoprazole sodium salt hydrate form as compared to the free form in dogs which is due to differences in the crystal structure and hydrophobic nature of the two forms.

**IT** 113712-98-4 705968-86-1, (S)-Tenatoprazole  
 705968-89-4 871567-50-9  
**RL:** PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(characterization of inhibitory activity of tenatoprazole on gastric H<sup>+</sup>,K<sup>+</sup>-ATPase in vitro and in vivo)

**RN** 113712-98-4 CAPLUS

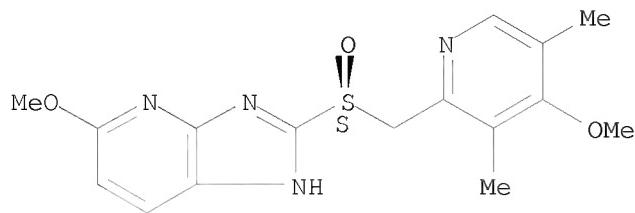
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



**RN** 705968-86-1 CAPLUS

**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

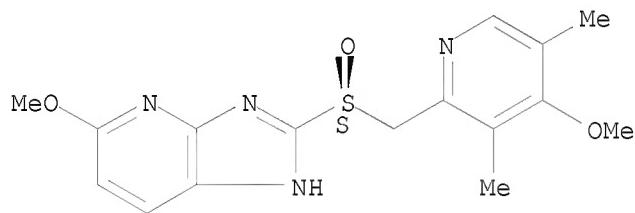
Absolute stereochemistry. Rotation (-).



RN 705968-89-4 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfanyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

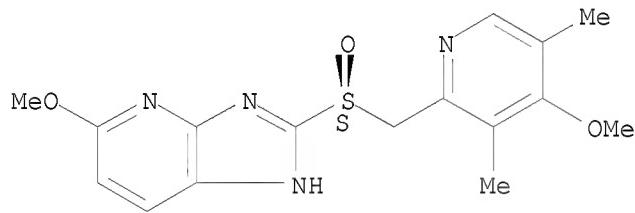


● Na

RN 871567-50-9 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfanyl]-, sodium salt, monohydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● Na

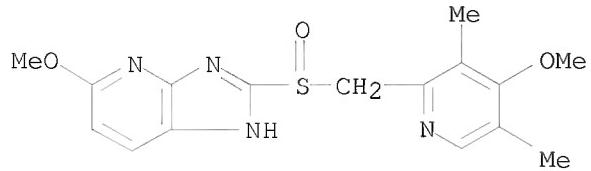
● H<sub>2</sub>O

IT 881235-03-6

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(characterization of inhibitory activity of tenatoprazole on gastric

H<sub>+</sub>, K<sub>+</sub>-ATPase in vitro and in vivo)  
RN 881235-03-6 CAPLUS  
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt, monohydrate (9CI) (CA INDEX NAME)



● Na

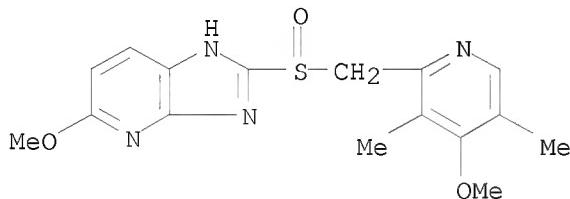
● H<sub>2</sub>O

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 67 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:42810 CAPLUS  
 DOCUMENT NUMBER: 144:239896  
 TITLE: Enteric formulations containing tenatoprazole and basic materials  
 INVENTOR(S): Zhang, Aiming; Shi, Baojun; Zhang, Xiquan  
 PATENT ASSIGNEE(S): Jiangsu Chia-Tai Tianqing Pharmaceutical Co., Ltd.,  
 Peop. Rep. China  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1628664	A	20050622	CN 2004-10054062	20040827
PRIORITY APPLN. INFO.:			CN 2004-10054062	20040827

- AB The title medicine comprises core material containing tenatoprazole (or its basic salt), one or more isolation layers, and enteric incrustation. The title medicine can be used to produce capsules or tablets. The structure of the medicine can keep tenatoprazole in alkali microenvironment, thereby inhibit its degradation. The isolation layers are made of non-acidic or inert materials to isolate tenatoprazole with acidic enteric incrustation, thereby the medicine can store for long time.
- IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (enteric formulations containing tenatoprazole and basic materials for improved stability)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

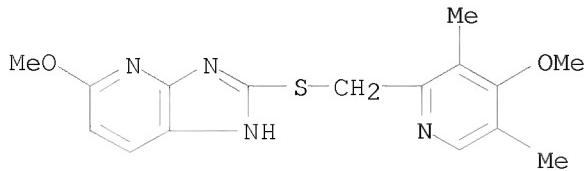


L3 ANSWER 68 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1335598 CAPLUS  
 DOCUMENT NUMBER: 144:57370  
 TITLE: Preparation of sodium salt of S-tenatoprazole monohydrate for therapeutic application  
 INVENTOR(S): Cohen, Avraham; Schutze, Francois; Charbit, Suzy;  
 Martinet, Frederic; Ficheux, Herve; Homerin, Michel  
 PATENT ASSIGNEE(S): Sidem Pharma S.A., Luxembourg  
 SOURCE: Fr. Demande, 19 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2871800	A1	20051223	FR 2004-6617	20040617
FR 2871800	B1	20060825		
AU 2005261580	A1	20060119	AU 2005-261580	20050617
CA 2568993	A1	20060119	CA 2005-2568993	20050617
WO 2006005853	A1	20060119	WO 2005-FR1528	20050617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1664044	A1	20060607	EP 2005-778749	20050617
EP 1664044	B1	20070808		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 101001858	A	20070718	CN 2005-80019739	20050617
AT 369366	T	20070815	AT 2005-778749	20050617
JP 2008502665	T	20080131	JP 2007-516006	20050617
BR 2005012151	A	20080212	BR 2005-12151	20050617
ES 2290921	T3	20080216	ES 2005-778749	20050617
IN 2006DN07498	A	20070817	IN 2006-DN7498	20061212
MX 2006PA14849	A	20070323	MX 2006-PA14849	20061215
US 20070179176	A1	20070802	US 2007-561844	20070105
NO 2007000250	A	20070314	NO 2007-250	20070115
KR 2007045194	A	20070502	KR 2007-701203	20070117
PRIORITY APPLN. INFO.:			FR 2004-6617	A 20040617
			WO 2005-FR1528	W 20050617

- AB Sodium salt monohydrate of s-tenatoprazole is prepared for the treatment of digestive disorders. S-(-)-tenatoprazole (preparation given) was reacted with sodium hydroxide at 60° and the oil thus obtained was separated and purified to obtained sodium salt of S-(-)-tenatoprazole monohydrate, yield >90%.
- IT 113713-24-9 871567-50-9, S-(-)-Tenatoprazole sodium monohydrate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of sodium salt of S-tenatoprazole monohydrate for therapeutic application)
- RN 113713-24-9 CAPLUS

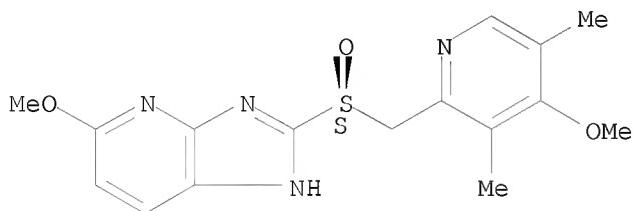
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



RN 871567-50-9 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt, monohydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● Na

● H<sub>2</sub>O

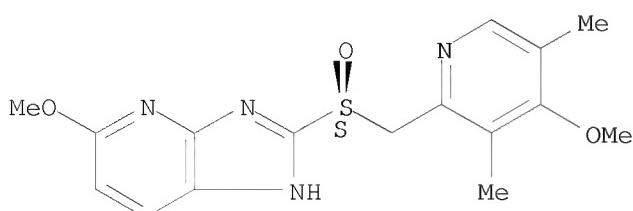
IT 705968-86-1P, S-(-)-Tenatoprazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of sodium salt of S-tenatoprazole monohydrate for therapeutic application)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L3 ANSWER 69 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1314518 CAPLUS  
 DOCUMENT NUMBER: 144:51582  
 TITLE: Process for the preparation of pyridin-2-ylmethylsulfinyl-1H-benzimidazoles via oxidation of the corresponding sulfides in the presence of zirconium or hafnium complexes.  
 INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen  
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118569	A1	20051215	WO 2005-EP52471	20050531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005250175	A1	20051215	AU 2005-250175	20050531
CA 2568652	A1	20051215	CA 2005-2568652	20050531
EP 1758889	A1	20070307	EP 2005-752651	20050531
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1960987	A	20070509	CN 2005-80017526	20050531
BR 2005011515	A	20071226	BR 2005-11515	20050531
US 20070225500	A1	20070927	US 2006-597373	20061122
MX 2006PA13623	A	20070228	MX 2006-PA13623	20061124
KR 2007031945	A	20070320	KR 2006-726831	20061220
IN 2006MN01589	A	20070615	IN 2006-MN1589	20061220
NO 2006006003	A	20061222	NO 2006-6003	20061222
PRIORITY APPLN. INFO.:			EP 2004-102467	A 20040602
			WO 2005-EP52471	W 20050531

OTHER SOURCE(S): CASREACT 144:51582

AB A process for preparing mixts. of enantiomers of proton pump inhibitors (PPIs) having a sulfinyl structure comprises oxidation of the corresponding sulfides in the presence of a mixture of enantiomers of chiral zirconium or hafnium complexes. Thus, 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole was heated with DL-tartaric acid bis(N-pyrrolidinamide) and zirconium tetra-n-propoxide in Me iso-Bu ketone at 40° for 1 h followed by addition of diisopropylethylamine and slow addition of cumene hydroperoxide to give 75% 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylsulfinyl]-1H-benzimidazole.

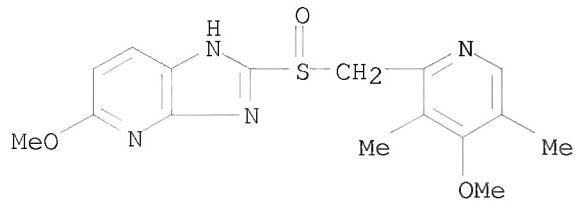
IT 113712-98-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(claimed compound; preparation of pyridinylmethylsulfinylbenzimidazoles via oxidation of the corresponding sulfides in the presence of zirconium or hafnium complexes)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

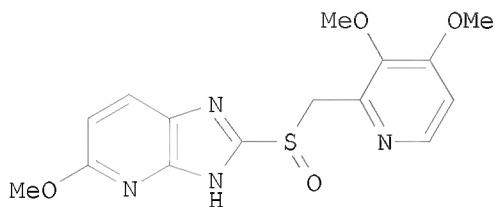
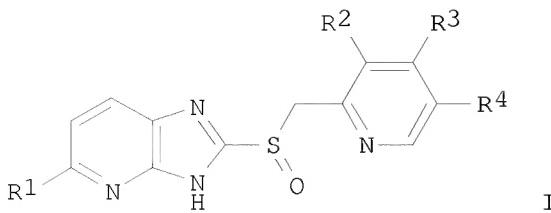
6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 70 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1193219 CAPLUS  
 DOCUMENT NUMBER: 143:440411  
 TITLE: Preparation of dialkoxy imidazopyridine derivatives  
 for treatment of gastrointestinal disorders  
 INVENTOR(S): Zimmermann, Peter Jan; Buhr, Wilm  
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105799	A1	20051110	WO 2005-EP51851	20050426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005238215	A1	20051110	AU 2005-238215	20050426
CA 2563808	A1	20051110	CA 2005-2563808	20050426
EP 1742946	A1	20070117	EP 2005-740172	20050426
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1946722	A	20070411	CN 2005-80012903	20050426
BR 2005010251	A	20071023	BR 2005-10251	20050426
JP 2007534723	T	20071129	JP 2007-510030	20050426
US 20070219236	A1	20070920	US 2006-578844	20061019
NO 2006005200	A	20061113	NO 2006-5200	20061113
IN 2006MN01407	A	20070608	IN 2006-MN1407	20061120
PRIORITY APPLN. INFO.:			EP 2004-10042	A 20040428
			WO 2005-EP51851	W 20050426

OTHER SOURCE(S): CASREACT 143:440411; MARPAT 143:440411  
 GI



AB Title compds. I [R1 = alkoxy or cycloalkylalkoxy; R2 = alkoxy or alkoxymethyl; R3 = alkoxy or alkoxymethyl; R4 = H or alkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as treatment for gastrointestinal disorders. Thus, e.g., II was prepared by coupling of 5-methoxy-3H-imidazo[4,5-b]pyridine-2-thiol with 2-chloromethyl-3,4-dimethoxy pyridinium chloride and subsequent oxidation. The ability of I to inhibit acid secretion on the perfused rat stomach was evaluated and it was revealed that selected compds. of the invention displayed inhibitory activity above 50%. Pharmaceutical compns. comprising I are disclosed.

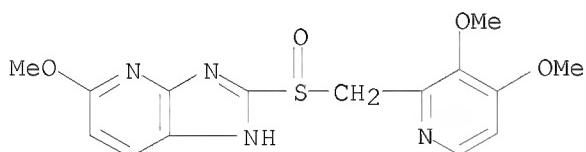
IT 868700-03-2P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of dialkoxy imidazopyridine derivs. for treatment of gastrointestinal disorders)

RN 868700-03-2 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)



IT 868700-05-4P 868700-07-6P

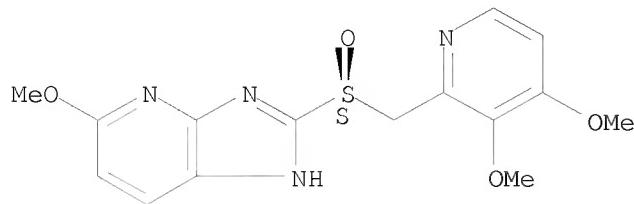
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dialkoxy imidazopyridine derivs. for treatment of gastrointestinal disorders)

RN 868700-05-4 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)

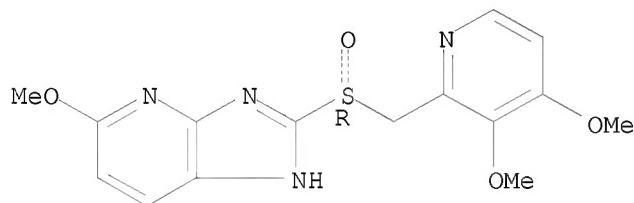
Absolute stereochemistry. Rotation (-).



RN 868700-07-6 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 868752-75-4P 868752-77-6P 868752-79-8P  
868752-82-3P

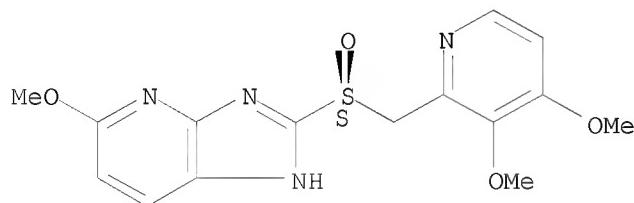
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dialkoxy imidazopyridine derivs. for treatment of gastrointestinal disorders)

RN 868752-75-4 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

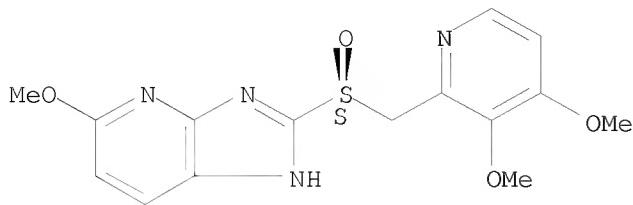


● Na

RN 868752-77-6 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy-, magnesium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

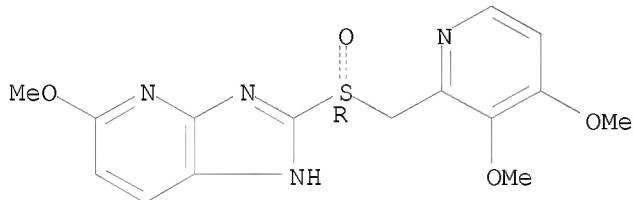


● 1/2 Mg

RN 868752-79-8 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

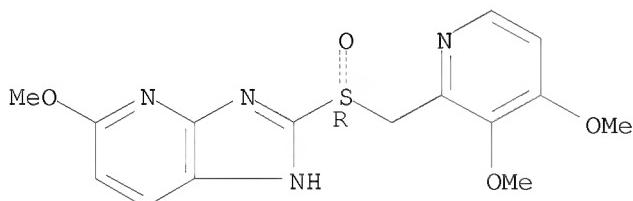


● Na

RN 868752-82-3 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy-, magnesium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● 1/2 Mg

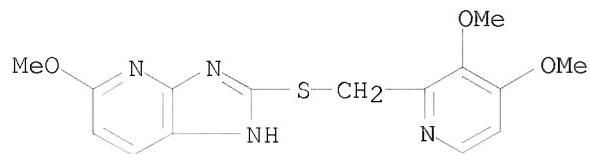
IT 868700-13-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dialkoxy imidazopyridine derivs. for treatment of gastrointestinal disorders)

RN 868700-13-4 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[[(3,4-dimethoxy-2-pyridinyl)methyl]thio]-5-methoxy- (9CI) (CA INDEX NAME)

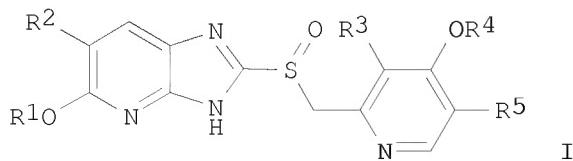


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 71 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1170588 CAPLUS  
 DOCUMENT NUMBER: 143:440408  
 TITLE: Preparation of imidazo[4,5-b]pyridine derivatives for treatment of diseases caused by gastric acid  
 INVENTOR(S): Miyazawa, Shuhei; Harada, Hitoshi; Fujisaki, Hideaki; Kubota, Atsuhiko; Kodama, Kotaro; Nagakawa, Junichi; Watanabe, Nobuhisa; Oketani, Kiyoshi  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 120 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005103049	A1	20051103	WO 2005-JP8311	20050421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005235906	A1	20051103	AU 2005-235906	20050421
CA 2562812	A1	20051103	CA 2005-2562812	20050421
US 20050272764	A1	20051208	US 2005-110756	20050421
EP 1737862	A1	20070103	EP 2005-737039	20050421
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1976929	A	20070606	CN 2005-80011558	20050421
BR 2005009986	A	20071016	BR 2005-9986	20050421
JP 2007533630	T	20071122	JP 2006-532581	20050421
US 20060167041	A1	20060727	US 2006-385786	20060322
MX 2006PA11993	A	20070424	MX 2006-PA11993	20061017
IN 2006DN06112	A	20070831	IN 2006-DN6112	20061019
KR 2007007149	A	20070112	KR 2006-721873	20061020
NO 2006004902	A	20061204	NO 2006-4902	20061026
PRIORITY APPLN. INFO.:			JP 2004-126533	A 20040422
			US 2005-110756	A1 20050421
			WO 2005-JP8311	W 20050421

OTHER SOURCE(S): CASREACT 143:440408; MARPAT 143:440408  
 GI



AB Title compds. represented by the formula I [wherein R1 = (un)substituted (cyclo)alkyl, alkenyl, alkynyl or phenyl; R2 = H or alkyl; R3 = Me or Et; R4 = alkyl; R5 = H; and their salts or hydrates thereof] were prepared. For example, II (I: R1-R4 = Me, R5 = H) was provided in a multi-step synthesis starting from 2-fluoro-3-methylpyridine. II showed inhibition of gastric acid secretion in rat with 79% inhibition rate, and were tested for cytochrome P 450 gene induction in human cryopreserved hepatocytes. Thus, I and their pharmaceutical compns. are useful for the treatment of the disease caused by gastric acid, such as gastric ulcer.

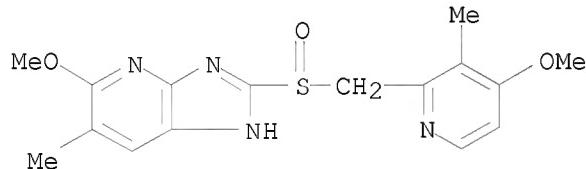
IT 868539-24-6P, 5-Methoxy-2-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl-3H-imidazo[4,5-b]pyridine  
868539-55-3P 868539-56-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazo[4,5-b]pyridine derivs. for treatment of diseases caused by gastric acid)

RN 868539-24-6 CAPLUS

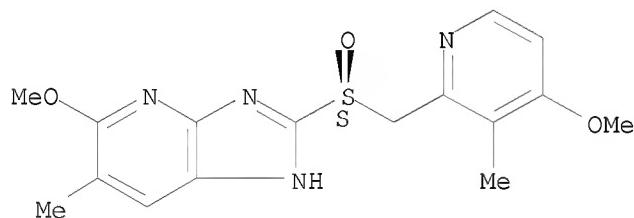
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 868539-55-3 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl- (9CI) (CA INDEX NAME)

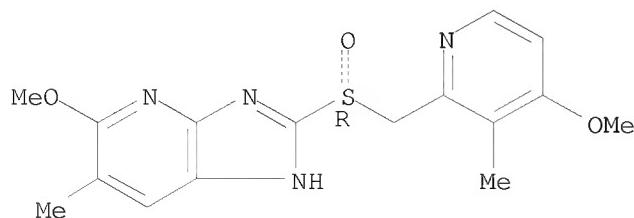
Absolute stereochemistry.



RN 868539-56-4 CAPLUS

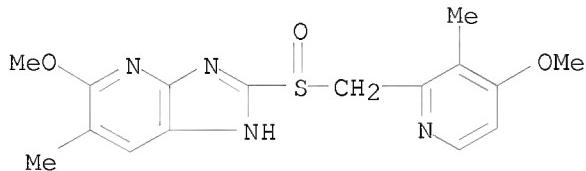
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



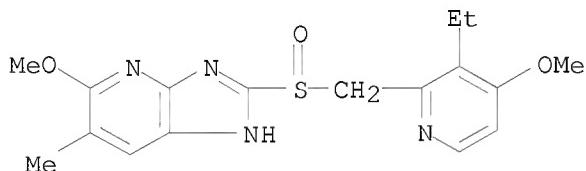
IT 868539-19-9P, 5-Methoxy-2-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl-3H-imidazo[4,5-b]pyridine Sodium salt  
 868539-43-9P, 2-[(3-Ethyl-4-methoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy-6-methyl-3H-imidazo[4,5-b]pyridine sodium salt  
 868539-59-7P 868539-60-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of imidazo[4,5-b]pyridine derivs. for treatment of diseases caused by gastric acid)

RN 868539-19-9 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

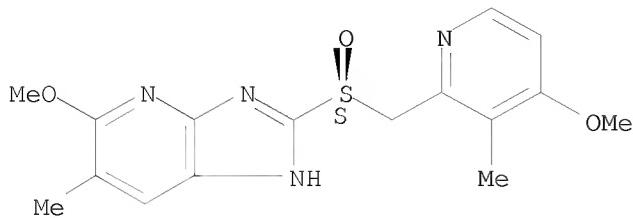
RN 868539-43-9 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 2-[(3-ethyl-4-methoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy-6-methyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 868539-59-7 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

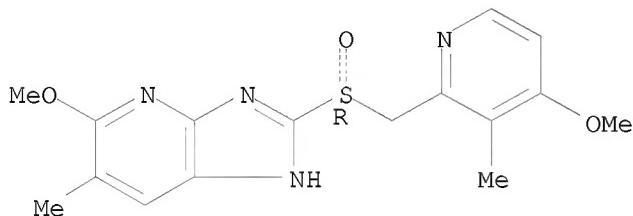


● Na

RN 868539-60-0 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3-methyl-2-pyridinyl)methyl]sulfinyl]-6-methyl-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

IT 868539-23-5P, 5-Methoxy-2-[(4-methoxy-3-methyl-2-

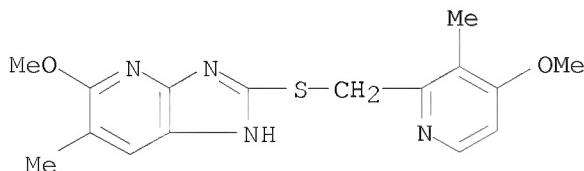
pyridinyl)methyl]thio]-6-methyl-3H-imidazo[4,5-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazo[4,5-b]pyridine derivs. for treatment of diseases caused by gastric acid)

RN 868539-23-5 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3-methyl-2-pyridinyl)methyl]thio]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

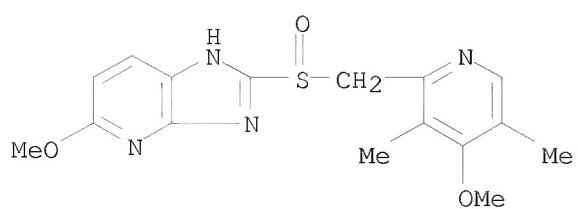
10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 72 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1155541 CAPLUS  
 DOCUMENT NUMBER: 143:416253  
 TITLE: Combination of proton pump inhibitor, buffering agent,  
       and prokinetic agent for treatment of gastric diseases  
 INVENTOR(S): Proehl, Gerald T.; Hall, Warren; Olmstead, Kay;  
               Hepburn, Bonnie  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 34 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050239845	A1	20051027	US 2005-107349	20050415
AU 2005249367	A1	20051215	AU 2005-249367	20050415
CA 2561700	A1	20051215	CA 2005-2561700	20050415
WO 2005117870	A2	20051215	WO 2005-US12863	20050415
WO 2005117870	A3	20060427		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1742630	A2	20070117	EP 2005-804774	20050415
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007532677	T	20071115	JP 2007-508570	20050415
MX 2006PA11820	A	20061215	MX 2006-PA11820	20061012
PRIORITY APPLN. INFO.:			US 2004-562820P	P 20040416
			WO 2005-US12863	W 20050415

- AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent and a prokinetic agent are described. Methods are described for treating gastric acid related disorders, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a prokinetic agent.  
 IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (combination of proton pump inhibitor, buffering agent, and prokinetic agent)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



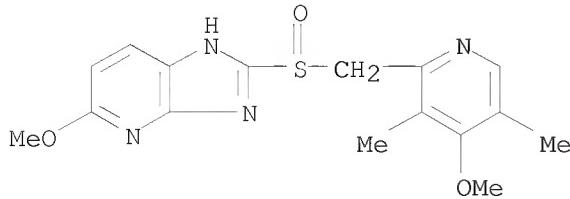
L3 ANSWER 73 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1115210 CAPLUS  
 DOCUMENT NUMBER: 144:141930  
 TITLE: Effect on intragastric pH of a PPI with a prolonged plasma half-life: comparison between tenatoprazole and esomeprazole on the duration of acid suppression in healthy male volunteers  
 AUTHOR(S): Hunt, Richard H.; Armstrong, David; James, Cindy; Chowdhury, Sadat K.; Yuan, Yuhong; Fiorentini, Paola; Taccone, Alain; Cohen, Patrick  
 CORPORATE SOURCE: Division of Gastroenterology, McMaster University Medical Centre, Hamilton, ON, Can.  
 SOURCE: American Journal of Gastroenterology (2005), 100(9), 1949-1956  
 CODEN: AJGAAR; ISSN: 0002-9270  
 PUBLISHER: Blackwell Publishing, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

**AB** OBJECTIVE: To compare the inhibitory effect of a novel proton pump inhibitor (PPI), tenatoprazole 40 mg once daily, with esomeprazole 40 mg once daily on intragastric acidity. METHODS: A randomized, investigator-blind, two-way, crossover study was conducted in 30 healthy *Helicobacter pylori* neg. male volunteers. Tenatoprazole 40 mg or esomeprazole 40 mg was administered once daily for 7 consecutive days with a 4-wk washout period between treatments. Ambulatory 24-h intragastric pH was recorded at baseline, after 7 days' treatment, and 3 and 5 days after treatment was stopped. RESULTS: At presumed steady-state (day 7), median 24-h pH values were 5.02 and 4.79 for tenatoprazole and esomeprazole, resp. There was a significant difference between tenatoprazole and esomeprazole during the nocturnal period when mean pH was  $4.64 \pm 0.67$  vs.  $3.61 \pm 0.90$ , resp. ( $p < 0.0001$ ), as well as a significantly higher mean percentage of time with pH  $>4$  on tenatoprazole ( $72.5 \pm 14.9$  vs  $62.2 \pm 13.6$ ,  $p < 0.0001$ ). The effect of tenatoprazole was still present 5 days after treatment withdrawal especially during the night-time.

The mean area under the plasma concentration-time curve and elimination half-time was significantly higher in the tenatoprazole group as compared with the esomeprazole group. CONCLUSION: Tenatoprazole 40 mg daily provides a prolonged duration of acid suppression and a shorter nocturnal acid breakthrough in healthy volunteers, even after stopping the drug. Thus, tenatoprazole may provide greater clin. efficacy for patients in whom a once daily PPI is ineffective. Further studies are indicated.

**IT** 113712-98-4, Tenatoprazole  
 RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (proton pump inhibitor tenatoprazole 40 mg daily provide prolonged duration of acid suppression and shorter nocturnal acid breakthrough compared to esomeprazole in healthy male volunteers)

**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 74 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1066889 CAPLUS  
 DOCUMENT NUMBER: 143:411014  
 TITLE: Composite medicine for treating digestive system ulcer  
 INVENTOR(S): Kong, Qingzhong; Liu, Enxiang; Zhang, Jie  
 PATENT ASSIGNEE(S): Peop. Rep. China  
 SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 12 pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

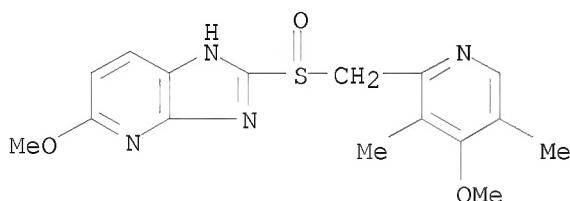
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1559612	A	20050105	CN 2004-10023583	20040218
PRIORITY APPLN. INFO.:			CN 2004-10023583	20040218

AB The title medicine contains at least one histamine receptor antagonist selected from cimetidine, ranitidine, lafutidine, famotidine and roxatidine, and at least one H<sup>+</sup>/K<sup>+</sup>-ATPase (proton pump) inhibitor selected from tenatoprazole, omeprazole, lansoprazole, rabeprazole, pantoprazole, esomeprazole, leminoprazole, dosmalfate and sofalcone. The medicine can be made into various dosage forms such as granules, tablets, capsules, gels and injections, and is applied in the prevention and treatment of gastric ulcer and duodenal ulcer by effectively inhibiting gastric acid secretion.

IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (composite medicine for treating digestive system ulcer)

RN 113712-98-4 CAPLUS

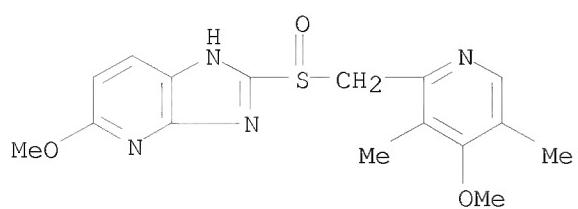
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 75 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1050500 CAPLUS  
 DOCUMENT NUMBER: 143:332598  
 TITLE: Stable pharmaceutical composition comprising an acid labile pharmaceutically active substituted benzimidazole compound and methods for preparation  
 INVENTOR(S): Di Capua, Simona; Shterman, Nava; Ari-Pardo, Limor; Itah, Esther  
 PATENT ASSIGNEE(S): Israel  
 SOURCE: U.S. Pat. Appl. Publ., 12 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050214371	A1	20050929	US 2005-68881	20050302
US 20050214372	A1	20050929	US 2005-68889	20050302
CA 2558535	A1	20051006	CA 2005-2558535	20050302
WO 2005092297	A2	20051006	WO 2005-US6589	20050302
WO 2005092297	A3	20061012		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1720527	A2	20061115	EP 2005-724184	20050302
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1964704	A	20070516	CN 2005-80013417	20050302
JP 2007526319	T	20070913	JP 2007-501900	20050302
MX 2006PA09991	A	20070410	MX 2006-PA9991	20060831
IN 2006DN05096	A	20070803	IN 2006-DN5096	20060904
PRIORITY APPLN. INFO.:			US 2004-549653P	P 20040303
			WO 2005-US6589	W 20050302

- AB The present invention provides a stable pharmaceutical composition of an acid labile drug such as a pharmaceutically active substituted benzimidazole compound, comprising: (a) an inner core coated with the acid labile drug; (b) a first intermediate coating devoid of an alkaline stabilizing agent and the benzimidazole compound; (c) a second intermediate coating comprising an alkaline stabilizing agent; and, (d) an outer enteric layer. The present invention also provides a method of preparing the same.
- IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable pharmaceutical composition comprising acid labile pharmaceutically active substituted benzimidazole compound and methods for preparation)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 76 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1004546 CAPLUS  
 DOCUMENT NUMBER: 143:272594  
 TITLE: Stable capsule preparations containing unstable drugs  
 INVENTOR(S): Nagahara, Naoki; Ito, Hiroki; Nonomura, Muneo  
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005084649	A1	20050915	WO 2005-JP3621	20050303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2557634	A1	20050915	CA 2005-2557634	20050303
EP 1721604	A1	20061115	EP 2005-719925	20050303
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20070141137	A1	20070621	US 2006-591164	20060830
PRIORITY APPLN. INFO.:			JP 2004-60613	A 20040304
			WO 2005-JP3621	W 20050303

OTHER SOURCE(S): MARPAT 143:272594

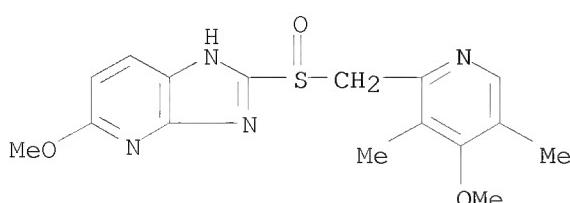
AB A capsule containing an active ingredient unstable to the moisture, such as an imidazole compound as proton pump inhibitor, is stabilized by lowering the moisture content of a solid preparation (granules, microgranules, tablets, etc.) and then filling in a capsule comprising a water-soluble polysaccharide such as pullulan as the main component or a PEG-containing gelatin in shells. For the further stabilization, the capsule may be dried. For example, capsules containing (R)-lansoprazole were formulated.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (manufacture of stable capsule prepns. containing unstable drugs to moisture)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

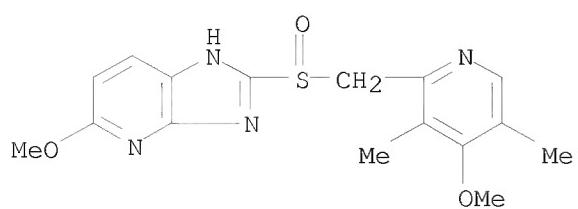


L3 ANSWER 77 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:902714 CAPLUS  
 DOCUMENT NUMBER: 143:235463  
 TITLE: Combination of proton pump inhibitor, buffering agent,  
       and nonsteroidal anti-inflammatory agent  
 INVENTOR(S): Proehl, Gerald T.; Olmstead, Kay; Hall, Warren  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005076987	A2	20050825	WO 2005-US3791	20050204
WO 2005076987	A3	20060608		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005213472	A1	20050825	AU 2005-213472	20050204
CA 2554271	A1	20050825	CA 2005-2554271	20050204
US 20050249806	A1	20051110	US 2005-51260	20050204
EP 1718303	A2	20061108	EP 2005-722791	20050204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
JP 2007522217	T	20070809	JP 2006-553174	20050204
MX 2006PA09036	A	20061019	MX 2006-PA9036	20060809
PRIORITY APPLN. INFO.:			US 2004-543636P	P 20040210
			WO 2005-US3791	W 20050204

AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent and a nonsteroidal anti-inflammatory drug are described. Methods are described for treating gastric acid-related disorders and treating inflammatory disorders, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a nonsteroidal anti-inflammatory drug. For example, a powder for suspension formulation contained omeprazole 20 mg, ibuprofen 400 mg, sodium bicarbonate 1895 mg, Xylitol 300 (sweetener) 2000 mg, sucrose (sweetener) 1750 mg, sucralose (sweetener) 125 mg, xanthan gum 17 mg, peach flavor 47 mg, and peppermint 26 mg.

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (combination of proton pump inhibitor, buffering agent, and NSAID agent for treatment of gastric acid-related disorders and inflammation)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 78 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:492425 CAPLUS  
 DOCUMENT NUMBER: 143:13406  
 TITLE: Solid pharmaceutical formulations containing proton pump inhibitors and nonsteroidal antiinflammatory agents  
 INVENTOR(S): Takada, Shigeyuki; Koyama, Hiroyoshi; Hamaguchi, Tadashi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005145894	A	20050609	JP 2003-386548	20031117
PRIORITY APPLN. INFO.:			JP 2003-386548	20031117

OTHER SOURCE(S): MARPAT 143:13406

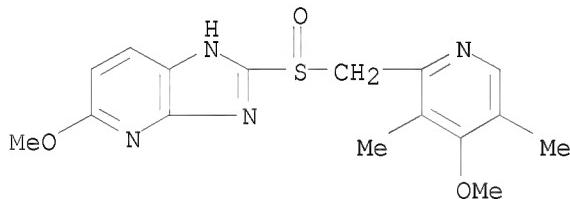
AB The invention relates to a solid pharmaceutical formulation characterized by containing granules or tablet of a proton pump inhibitor (PPI), and granules of a nonsteroidal antiinflammatory agent (NSAID), wherein the addition of the PPIN in the formulation prevents gastrointestinal injury due to NSAID. For example, a capsule containing lansoprazole granules (lansoprazole 30 mg) and diclofenac sodium sustained-release granules (diclofenac sodium 100 mg) was formulated.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (solid pharmaceutical formulations containing proton pump inhibitors and nonsteroidal antiinflammatory agents)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

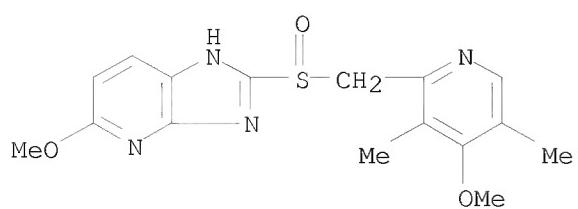


L3 ANSWER 79 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:470233 CAPLUS  
 DOCUMENT NUMBER: 143:13313  
 TITLE: Methods and compositions for the treatment of Helicobacter pylori-associated diseases using endoperoxide bridge-containing compounds  
 INVENTOR(S): Marash, Michael; Kluev, Elena  
 PATENT ASSIGNEE(S): Vecta Ltd., Israel  
 SOURCE: PCT Int. Appl., 37 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005048912	A2	20050602	WO 2004-IB3759	20041117
WO 2005048912	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004290983	A1	20050602	AU 2004-290983	20041117
CA 2546210	A1	20050602	CA 2004-2546210	20041117
EP 1686982	A2	20060809	EP 2004-798887	20041117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1882328	A	20061220	CN 2004-80034004	20041117
JP 2007511600	T	20070510	JP 2006-540651	20041117
US 20060258716	A1	20061116	US 2006-435451	20060516
IN 2006KN01560	A	20070504	IN 2006-KN1560	20060607
PRIORITY APPLN. INFO.:			US 2003-523114P	P 20031119
			WO 2004-IB3759	W 20041117

OTHER SOURCE(S): MARPAT 143:13313

- AB The present invention relates to methods and compns. for treating pathol. conditions associated with ferrous-dependent bacteria such as *H. pylori* in which high intracellular ferrous iron concentration is required for their survival and pathogenesis. The compns. of the invention comprise endoperoxide bridge-containing compds. that specifically inhibit the growth of the ferrous-dependent bacteria and preferably promote the eradication of the bacteria. The compns., typically also include at least one active agent for treating *Helicobacter* species-related gastrointestinal disorders, such as a proton pump inhibitor, an H<sub>2</sub> blocker or a bismuth-containing compound. Thus, each capsule contains the following ingredients: omeprazole as enteric-coated beads 40, artesunate granules 250, calcium carbonate 550, HPMC and Polox WSR-N60.
- IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. for treatment of *Helicobacter pylori*-associated diseases using endoperoxide bridge-containing compds.)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

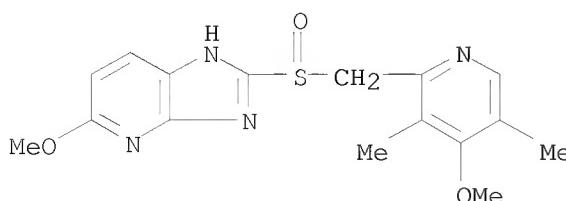


L3 ANSWER 80 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:423727 CAPLUS  
 DOCUMENT NUMBER: 142:469277  
 TITLE: Chewable tablet containing an acid-labile active ingredient  
 INVENTOR(S): Sugaya, Masae; Koyama, Hiroyoshi; Hamaguchi, Naoru  
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044223	A1	20050519	WO 2004-JP16701	20041104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2544843	A1	20050519	CA 2004-2544843	20041104
JP 2005154431	A	20050616	JP 2004-320057	20041104
EP 1682087	A1	20060726	EP 2004-799595	20041104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
US 20070082047	A1	20070412	US 2006-578136	20060503
PRIORITY APPLN. INFO.:			JP 2003-378470	A 20031107
			WO 2004-JP16701	W 20041104

OTHER SOURCE(S): MARPAT 142:469277

- AB A chewable tablet comprises a group which contains an acid-labile active ingredient and at least one basic substance selected from alkaline earth metal carbonate, metal oxide and metal hydroxide, and a group which does not contain an acid-labile active ingredient and contains at least one ingredient selected from alkaline earth metal carbonate, metal oxide and metal hydroxide, wherein said chewable tablet is capable of rapidly neutralizing gastric acid and is preferably not enteric-coated, is provided. Tablets were prepared from granules containing lansoprazole, CaCO<sub>3</sub>, D-mannitol, and hydropropyl cellulose.
- IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (chewable tablet containing an acid-labile active ingredient)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

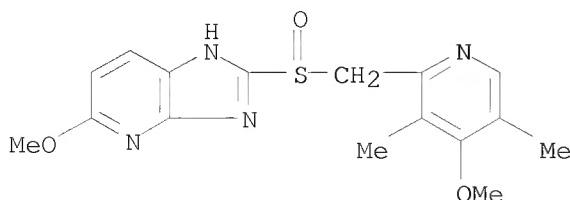


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 81 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:423720 CAPLUS  
 DOCUMENT NUMBER: 142:469276  
 TITLE: Combination of proton pump inhibitor and sleep aid  
 INVENTOR(S): Hall, Warren; Olmstead, Kay; Proehl, Gerald T.  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044199	A2	20050519	WO 2004-US36989	20041105
WO 2005044199	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004287485	A1	20050519	AU 2004-287485	20041105
CA 2543164	A1	20050519	CA 2004-2543164	20041105
EP 1686976	A2	20060809	EP 2004-818347	20041105
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JP 2007510733	T	20070426	JP 2006-539677	20041105
MX 2006PA05084	A	20060714	MX 2006-PA5084	20060504
PRIORITY APPLN. INFO.:			US 2003-517743P	P 20031105
			WO 2004-US36989	W 20041105

- AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent and a sleep aid are described. Methods are described for treating gastric acid related disorders and inducing sleep, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a sleep aid. Capsules were prepared containing omeprazole, buffers, triazolam sleep aid and excipients.
- IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination of proton pump inhibitor and sleep aid)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

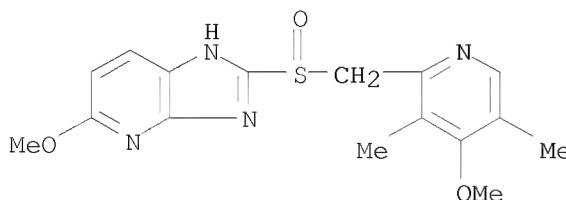


L3 ANSWER 82 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:329705 CAPLUS  
 DOCUMENT NUMBER: 142:441631  
 TITLE: A comparative study of the early effects of tenatoprazole 40 mg and esomeprazole 40 mg on intragastric pH in healthy volunteers  
 AUTHOR(S): Galmiche, J. P.; Sacher-Huvelin, S.; Des Varannes, S.; Bruley; Vavasseur, F.; Taccoen, A.; Fiorentini, P.; Homerin, M.  
 CORPORATE SOURCE: CIC-INSERM-CHU de Nantes, Toussus-le-Noble, Fr.  
 SOURCE: Alimentary Pharmacology and Therapeutics (2005), 21(5), 575-582  
 CODEN: APTHEN; ISSN: 0269-2813  
 PUBLISHER: Blackwell Publishing Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

**AB** Background: Tenatoprazole is a novel proton pump inhibitor with a seven-hour plasma half-life. Aim: To compare the effects of tenatoprazole 40 mg and esomeprazole 40 mg on intragastric acidity during the first 48 h in healthy volunteers. Methods: This randomized two-period crossover study included 24 *Helicobacter Pylori*-neg. subjects; tenatoprazole 40 mg or esomeprazole 40 mg daily were given before breakfast for two consecutive days, with a 2-wk wash-out between the administration periods. Intragastic pH was monitored for 48 h. Results: Over 48 h, tenatoprazole 40 mg exerted a more potent acid inhibition than esomeprazole 40 mg (median pH: 4.3 vs. 3.9,  $P < 0.08$ ; per cent of time above pH 4: 57% vs. 49%,  $P < 0.03$ ; proportion of subjects with at least half of the time above pH 4: 71% vs. 46%). These differences resulted from better night-time acid control with tenatoprazole 40 mg than esomeprazole 40 mg (first night median pH: 4.2 vs. 2.9,  $P < 0.0001$ ; second night: 4.5 vs. 3.2,  $P < 0.0001$ ). The duration of nocturnal acid breakthroughs was significantly reduced during both nights. In contrast, no significant difference was detected during the daytime periods between both regimens. Conclusion: Over the first 48 h, tenatoprazole 40 mg achieves a better overall and night-time control of gastric pH than esomeprazole 40 mg. The translation of better early control of acidity into clin. benefits deserves further studies.

**IT** 113712-98-4, Tenatoprazole  
**RL:** ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tenatoprazole 40 mg and esomeprazole 40 mg was well tolerated, suppressed acid production, where T40 was more potent than E40 in better overall night-time control with reduced nocturnal acid break through in *H.pylori* neg. healthy human)

**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

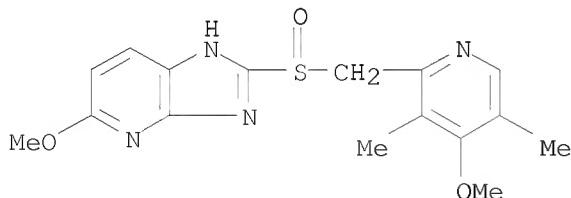


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 83 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:259878 CAPLUS  
 DOCUMENT NUMBER: 142:291467  
 TITLE: Use of known active ingredients as radical scavengers  
 INVENTOR(S): Simon, Wolfgang-Alexander; Sturm, Ernst  
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany  
 SOURCE: PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005025569	A1	20050324	WO 2004-EP52233	20040917
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004271747	A1	20050324	AU 2004-271747	20040917
CA 2538910	A1	20050324	CA 2004-2538910	20040917
EP 1670469	A1	20060621	EP 2004-766822	20040917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 20070027189	A1	20070201	US 2006-571570	20060310
PRIORITY APPLN. INFO.:			EP 2003-21094	A 20030918
			WO 2004-EP52233	W 20040917

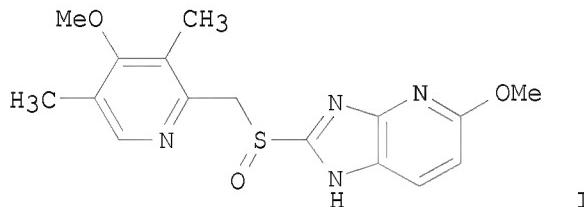
- AB The invention relates to the use of certain proton pump inhibitors in the treatment of pathol. manifestations induced or influenced by free radicals.
- IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (use of known active ingredients as radical scavengers)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 84 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:227058 CAPLUS  
 DOCUMENT NUMBER: 142:430268  
 TITLE: Preparation of (S)- and (R)-enantiomers of tenatoprazole as H<sup>+</sup>/K<sup>+</sup> ATPase inhibitors  
 INVENTOR(S): Li, Shuxin; Zhao, Yanjin; Guo, Jinhua  
 PATENT ASSIGNEE(S): Institute of Radiomedicine, Academy of Military Medical Science of PLA, Peop. Rep. China  
 SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 9 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1453278	A	20031105	CN 2002-117637	20020510
PRIORITY APPLN. INFO.:			CN 2002-117289	A 20020423
OTHER SOURCE(S):	CASREACT	142:430268		
GI				

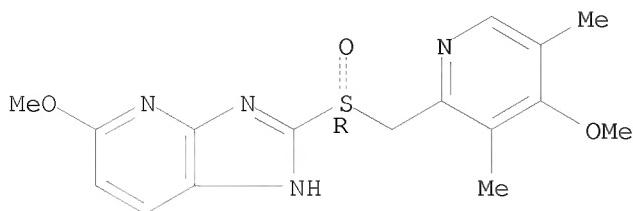


AB The invention is related to (S)- and (R)-enantiomers of tenatoprazole I and pharmaceutically acceptable salts thereof, their preparation and uses. (S)-I was synthesized by enantioselective oxidation of the corresponding sulfide with dicumyl peroxide in the presence of (i-PrO)<sub>4</sub>Ti and D-tartaric acid di-Et ester in 71.5% yield. (R)-I was obtained via oxidation of the corresponding sulfide with m-chloroperbenzoic acid followed by chiral HPLC resolution (37.5% yield). The two enantiomers showed stronger activity than omeprazole and comparable activity to tenatoprazole both in an inhibition assay against H<sup>+</sup>/K<sup>+</sup> ATPase and in a gastric acid secretion-inhibition test in rat. Therefore, the invented compds. are useful for the treatment of gastric acid secretion disorders.

IT 705969-00-2P  
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of (S)- and (R)-enantiomers of tenatoprazole as H<sup>+</sup>/K<sup>+</sup> ATPase inhibitors)

RN 705969-00-2 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[ (R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



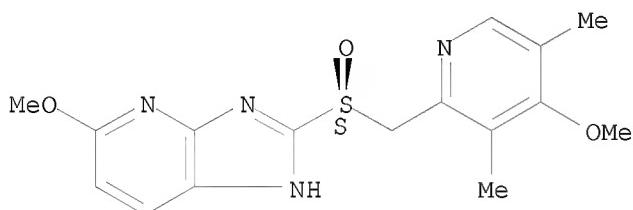
IT 705968-86-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (S)- and (R)-enantiomers of tenatoprazole as H<sup>+</sup>/K<sup>+</sup> ATPase inhibitors)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfhydryl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

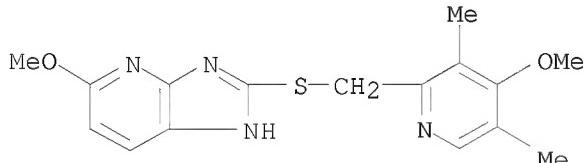


IT 113713-24-9P, 5-Methoxy-2-((4-methoxy-3,5-dimethylpyridin-2-yl)methyl)imidazo[4,5-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of (S)- and (R)-enantiomers of tenatoprazole as H<sup>+</sup>/K<sup>+</sup> ATPase inhibitors)

RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



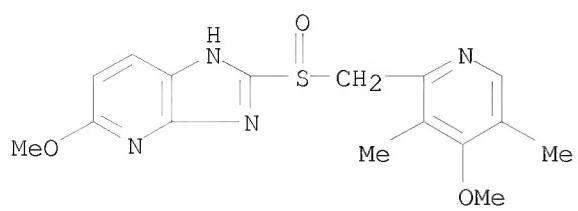
IT 113712-98-4P, Tenatoprazole

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
(reference; preparation of (S)- and (R)-enantiomers of tenatoprazole as H<sup>+</sup>/K<sup>+</sup>

ATPase inhibitors)

RN 113712-98-4 CAPLUS

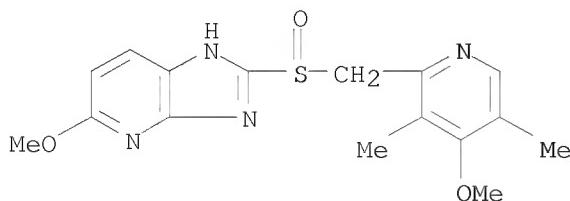
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfhydryl]- (CA INDEX NAME)



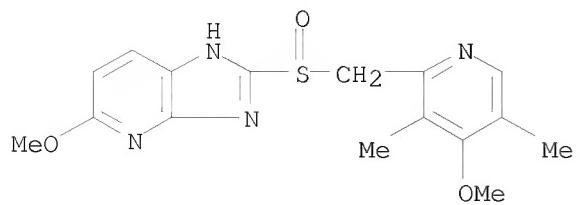
L3 ANSWER 85 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:220143 CAPLUS  
 DOCUMENT NUMBER: 142:285224  
 TITLE: Pharmaceutical compositions comprising substituted benzimidazole proton pump inhibitors and buffering agents, and methods of use  
 INVENTOR(S): Phillips, Jeffrey O.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 56 pp., Cont.-in-part of U.S. Ser. No. 722,184.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050054682	A1	20050310	US 2004-898135	20040723
US 5840737	A	19981124	US 1996-680376	19960715
US 6489346	B1	20021203	US 2000-481207	20000111
US 20020045646	A1	20020418	US 2001-901942	20010709
US 6645988	B2	20031111		
US 20030191159	A1	20031009	US 2002-54350	20020119
US 6699885	B2	20040302		
US 20040171646	A1	20040902	US 2003-722184	20031125
PRIORITY APPLN. INFO.:			US 1996-9608P	P 19960104
			US 1996-680376	A2 19960715
			US 1998-183422	B2 19981030
			US 2000-481207	A2 20000111
			US 2001-901942	A2 20010709
			US 2002-54350	A1 20020119
			US 2003-722184	A2 20031125

- AB The invention discloses, inter alia, pharmaceutical compns. comprising at least one proton pump inhibitor and at least one buffering agent. Compns. of the invention are useful in treating, inter alia, gastric acid related disorders.  
 IT 113712-98-4, Tenatoprazole 113712-98-4D, Tenatoprazole,  
 derivs. and isomers  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (pharmaceutical compns. comprising substituted benzimidazole proton pump inhibitors and buffering agents, and methods of use)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



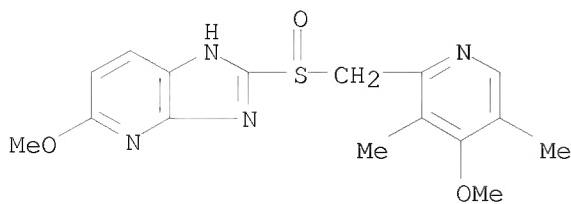
RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 86 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:122883 CAPLUS  
 DOCUMENT NUMBER: 142:191277  
 TITLE: Alkaline salts of proton pump inhibitors  
 INVENTOR(S): Sturm, Ernst; Hummel, Rolf-Peter; Kohl, Bernhard;  
                   Mueller, Bernd  
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011692	A1	20050210	WO 2004-EP51578	20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004260832	A1	20050210	AU 2004-260832	20040722
CA 2532774	A1	20050210	CA 2004-2532774	20040722
EP 1651217	A1	20060503	EP 2004-742008	20040722
EP 1651217	B1	20080220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 18222835	A	20060823	CN 2004-80020260	20040722
JP 2006528158	T	20061214	JP 2006-520838	20040722
AT 386522	T	20080315	AT 2004-742008	20040722
MX 2006PA00652	A	20060330	MX 2006-PA652	20060117
US 20060189590	A1	20060824	US 2006-564768	20060117
IN 2006MN00166	A	20070622	IN 2006-MN166	20060213
PRIORITY APPLN. INFO.:				
		EP 2003-16759	A	20030723
		EP 2003-16760	A	20030723
		WO 2004-EP51578	W	20040722

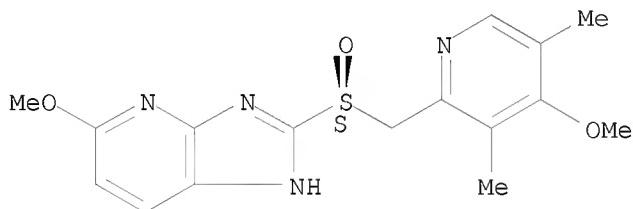
- AB The invention relates to alkaline salts of proton pump inhibitors and to medicaments comprising these compds. Accordingly, the invention provides in a general aspect alkaline reacting salts of pyridin-2-ylmethylsulfinyl-1H-benzimidazoles with H<sup>+</sup>/K<sup>+</sup>-ATPase-inhibitory activity.
- IT 113712-98-4D, Tenatoprazole, metal salts 705968-86-1D,  
 (S)-Tenatoprazole, metal salts 705969-00-2D, (+)-Tenatoprazole,  
 metal salts  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (alkaline salts of proton pump inhibitors such as pyridin-2-ylmethylsulfinyl-1H-benzimidazoles with H<sup>+</sup>/K<sup>+</sup>-ATPase-inhibitory activity for treatment of gastrointestinal disorders)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[ (S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

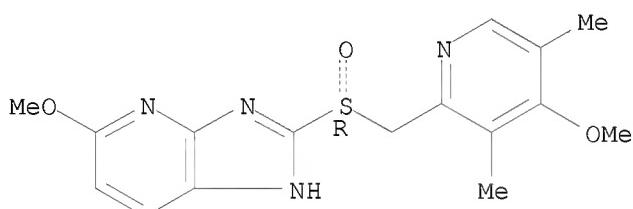
Absolute stereochemistry. Rotation (-).



RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[ (R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 87 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:99328 CAPLUS  
 DOCUMENT NUMBER: 142:183479  
 TITLE: Immediate-release formulation of acid-labile drugs  
 INVENTOR(S): Phillips, Jeffrey O.; Widder, Ken J.  
 PATENT ASSIGNEE(S): The Curators of the University of Missouri, USA;  
 Santarus, Inc.  
 SOURCE: PCT Int. Appl., 90 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009381	A2	20050203	WO 2004-US23558	20040722
WO 2005009381	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004258984	A1	20050203	AU 2004-258984	20040722
CA 2533588	A1	20050203	CA 2004-2533588	20040722
US 20050112193	A1	20050526	US 2004-896682	20040722
EP 1660043	A2	20060531	EP 2004-778879	20040722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006528198	T	20061214	JP 2006-521232	20040722
MX 2006PA00873	A	20070409	MX 2006-PA873	20060123
PRIORITY APPLN. INFO.:			US 2003-489363P	P 20030723
			WO 2004-US23558	W 20040722

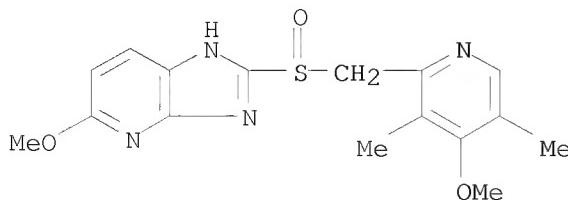
AB The present invention provides, inter alia, compns. comprising a pH buffering agent and a controlled-release component containing an acid-labile pharmaceutical. Methods of using such compns. are also provided. Microgranules of omeprazole were coated with Eudragit L30D-55.

IT 113712-98-4, Tenatoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (immediate-release formulation of acid-labile drugs)

RN 113712-98-4 CAPLUS

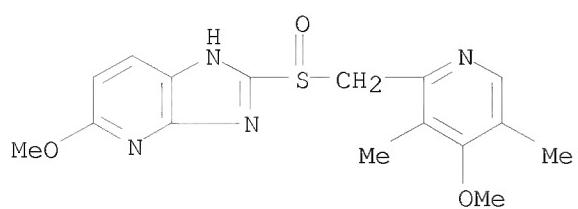
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 88 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:76252 CAPLUS  
 DOCUMENT NUMBER: 142:183427  
 TITLE: Pharmaceutical formulation and method for treating acid-caused gastrointestinal disorders  
 INVENTOR(S): Hall, Warren; Olmstead, Kay; Weston, Laura  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007117	A2	20050127	WO 2004-US23044	20040716
WO 2005007117	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004257864	A1	20050127	AU 2004-257864	20040716
CA 2531566	A1	20050127	CA 2004-2531566	20040716
US 20050031700	A1	20050210	US 2004-893092	20040716
EP 1648417	A2	20060426	EP 2004-778512	20040716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006528182	T	20061214	JP 2006-521149	20040716
MX 2006PA00524	A	20060811	MX 2006-PA524	20060113
PRIORITY APPLN. INFO.:			US 2003-488324P	P 20030718
			WO 2004-US23044	W 20040716

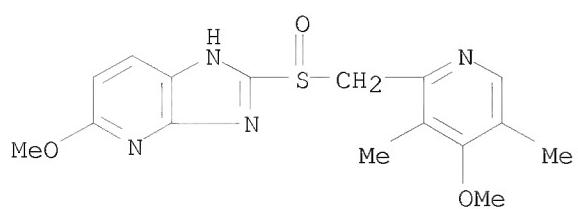
- AB Oral pharmaceutical formulations in the form of a powder for suspension comprising (i) at least one proton pump inhibitor in micronized form; (ii) at least one antacid; and (iii) at least one suspending agents are provided. Also provided are methods for making and using pharmaceutical formulations comprising at least one proton pump inhibitor and at least one antacid. For example, an omeprazole powder for suspension was prepared containing sodium bicarbonate for protecting omeprazole from acid degradation in vivo. The powder comprised omeprazole 20 mg, sodium bicarbonate 1895 mg, xylitol 300 (sweetener) 2000 mg, sucrose powder (sweetener) 1750 mg, sucralose (sweetener) 125 mg, xanthan gum 17 mg, peach flavor 47 mg, and peppermint 26 mg.
- IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral formulations containing antacid and proton pump inhibitor for treating acid-caused gastrointestinal disorders)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 89 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:76250 CAPLUS  
 DOCUMENT NUMBER: 142:183426  
 TITLE: Pharmaceutical formulations useful for inhibiting acid secretion  
 INVENTOR(S): Hall, Warren; Olmstead, Kay; Weston, Laura  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007115	A2	20050127	WO 2004-US22914	20040716
WO 2005007115	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004257779	A1	20050127	AU 2004-257779	20040716
CA 2531564	A1	20050127	CA 2004-2531564	20040716
EP 1648416	A2	20060426	EP 2004-778425	20040716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006528181	T	20061214	JP 2006-521143	20040716
MX 2006PA00529	A	20060811	MX 2006-PA529	20060113
PRIORITY APPLN. INFO.:			US 2003-488321P	P 20030718
			WO 2004-US22914	W 20040716

- AB In one general aspect of the present invention, oral pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated with a material that enhances the shelf-life of the pharmaceutical composition and one or more antacid are described. In another general aspect of the present invention, pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated with a taste-masking material and one or more antacid are described. For example, omeprazole was microencapsulated by spray drying of an aqueous mixture of Kollicoat IR, PEG 3350 and BHT at 10% of the encapsulated material. Encapsulated omeprazole (40 mg potency), sodium bicarbonate (1260 mg), calcium carbonate (790 mg), croscarmellose sodium (64 mg), Klucel (160 mg), Xylitab 100 (380 mg), microcryst. cellulose (128 mg), sucralose (162 mg), peppermint durarome (34 mg), peach flavor (100 mg), masking powder (60 mg), FD&C Lake Number 40 Red (3 mg), and magnesium stearate (32 mg) were pressed into chewable tablets with diams. of about 10 mm and average weight of approx. 600 mg per tablet.
- IT 113712-98-4, Tenatoprazole  
 RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral formulations containing antacid and microencapsulated proton pump inhibitor for inhibition of gastric acid secretion)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



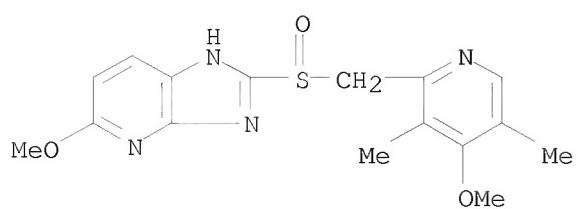
L3 ANSWER 90 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:55100 CAPLUS  
 DOCUMENT NUMBER: 142:141266  
 TITLE: Solid composition comprising a proton pump inhibitor  
       and therapeutic uses for gastrointestinal disorders  
 INVENTOR(S): Blychert, Eva; Janssen, Marjo  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 30 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004921	A1	20050120	WO 2004-SE1113	20040708
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1646404	A1	20060419	EP 2004-749149	20040708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007522086	T	20070809	JP 2006-518594	20040708
US 20070053981	A1	20070308	US 2006-564229	20061002
PRIORITY APPLN. INFO.:			US 2003-486795P	P 20030711
			WO 2004-SE1113	W 20040708

AB The present invention related to a method for oral administration of a solid composition comprising an acid labile proton pump inhibitor compound in the form of a multiple of enteric coating layered pellets, wherein the pellets are in admixt. with one or more pharmaceutically acceptable thickeners and an aqueous carrier, and the thickener is capable of forming a viscous medium when dispersed in the aqueous carrier. Alternatively, the enteric coated pellets are in admixt. with a viscous aqueous medium. The formed aqueous viscous suspension is to be administered via a gastric tube. The method and composition are especially aimed for treatment of patients in need of a proton pump inhibitor, i.e. in the treatment of gastrointestinal disorders and having difficulties to swallow or for pediatric patients.

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (solid composition comprising proton pump inhibitor and therapeutic uses for gastrointestinal disorders)

RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

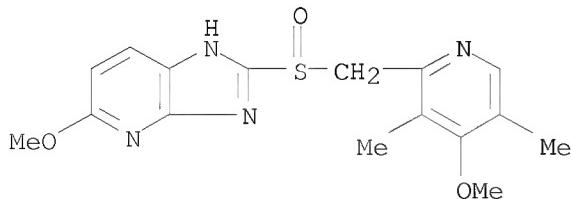
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THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

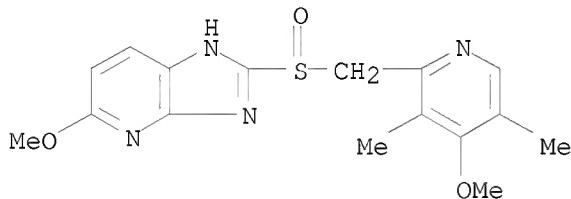
L3 ANSWER 91 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:1033563 CAPLUS  
 DOCUMENT NUMBER: 142:28146  
 TITLE: Extended release compositions of proton pump  
 inhibitors  
 INVENTOR(S): Wood, Ray  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 100 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103291	A2	20041202	WO 2004-US15076	20040513
WO 2004103291	A3	20050324		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-470876P	P 20030516
			US 2003-485744P	P 20030710

OTHER SOURCE(S): MARPAT 142:28146  
 AB The invention provides extended release compns. comprising at least one proton pump inhibitor. The invention also provides methods for treating gastrointestinal disorders by administering the compns. of the invention to patients in need of gastrointestinal therapy.  
 IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (extended release compns. of proton pump inhibitors)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 92 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:968547 CAPLUS  
 DOCUMENT NUMBER: 142:28328  
 TITLE: Detection of related substances by RP-HPLC in tenatoprazole tablets  
 AUTHOR(S): Xu, Song-lin; Wang, Dong-kai; Liu, Lai; Gao, Fei;  
 Cheng, Mao-sheng; Li, Hong-bin  
 CORPORATE SOURCE: Department of Pharmaceutics, Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China  
 SOURCE: Zhongguo Xinyao Zazhi (2004), 13(9), 823-825  
 CODEN: ZXZHA6; ISSN: 1003-3734  
 PUBLISHER: Zhongguo Xinyao Zazhishe  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 AB A method to determine the related substances in tenatoprazole tablets by RP-HPLC was established. The following assay conditions were established: C18 column (250 mm x 4.6mm, 5 μm) as stationary phase; acetonitrile-phosphate buffers solution (30:70) as the mobile phase, and the detection wavelength at 306 nm. Separation of tenatoprazole from the related substances was attained. Three batches of samples were tested for the related substances. The result was 0.63%, 0.71%, 0.76%, resp. The simple and accurate method can be used to detect the related substances in tenatoprazole tablets.  
 IT 113712-98-4, Tenatoprazole  
 RL: ANT (Analyte); ANST (Analytical study)  
 (determination of tenatoprazole in tablets by RP-HPLC)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 93 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:857598 CAPLUS

DOCUMENT NUMBER: 141:332197

TITLE: Method for the enantioselective preparation of sulfoxide derivatives by asymmetric oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands, and its application to the enantioselective preparation of tenatoprazole and omeprazole enantiomers

INVENTOR(S): Cohen, Avraham; Charbit, Suzy; Schutze, Francois; Martinet, Frederic

PATENT ASSIGNEE(S): Sidem Pharma, Luxembourg

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

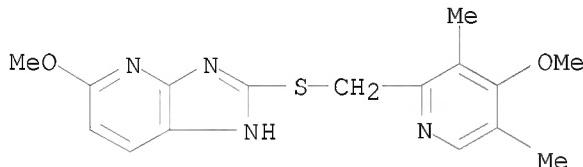
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087702	A2	20041014	WO 2004-FR778	20040326
WO 2004087702	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2852956	A1	20041001	FR 2003-3914	20030328
FR 2852956	B1	20060804		
FR 2863611	A1	20050617	FR 2003-14679	20031215
FR 2863611	B1	20060324		
CA 2520157	A1	20041014	CA 2004-2520157	20040326
EP 1608649	A2	20051228	EP 2004-742382	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1823065	A	20060823	CN 2004-80008537	20040326
JP 2006523201	T	20061012	JP 2006-505762	20040326
IN 2005DN03962	A	20070824	IN 2005-DN3962	20050905
MX 2005PA10250	A	20061012	MX 2005-PA10250	20050923
US 20060281782	A1	20061214	US 2006-551037	20060726
PRIORITY APPLN. INFO.:			FR 2003-3914	A 20030328
			FR 2003-14679	A 20031215
			WO 2004-FR778	W 20040326

OTHER SOURCE(S): MARPAT 141:332197

AB The invention relates to a method for the enantioselective preparation of substituted sulfoxide derivs. by asym. oxidation of corresponding sulfides. The method comprises enantioselective oxidation of a sulfide A-CH<sub>2</sub>-S-B, where A is a variably substituted pyridyl nucleus and B is a heterocyclic group with a benzimidazole or imidazopyridyl nucleus, by an oxidizing agent in the presence of a W- or V-based catalyst and a chiral ligand, followed, where necessary, by salt formation with a base, to give a sulfoxide: A-CH<sub>2</sub>-SO-B. The method is applicable to the enantioselective preparation of compds. such as the enantiomers of tenatoprazole and other comparable sulfoxides. Oxidants include H<sub>2</sub>O<sub>2</sub>, urea-H<sub>2</sub>O<sub>2</sub>, cumene hydroperoxide, and tert-BuOOH. Catalysts include WO<sub>3</sub>, vanadium acetylacetone, and vanadium

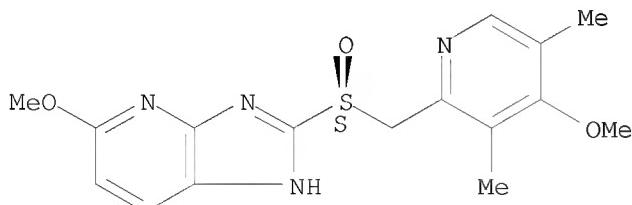
sulfate. Chiral ligands include amino alcs., amino ethers, amino acids and esters, and salicylaldehyde imine derivs. of these. For instance, the sulfide 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine was oxidized by 30% H<sub>2</sub>O<sub>2</sub> using WO<sub>3</sub> and the chiral amino ether (DHQD)2-PYR (a cinchonan alkaloid) in THF at 4-5° to give (S)-(-)-tenatoprazole in 70% yield and > 90% enantiomeric excess (ee). Recrystn. from MeOH/H<sub>2</sub>O or DMF/EtOAc increased the ee to > 99%. A similar run using (DHQ)<sub>2</sub>-PYR as the chiral ligand gave (R)-(+)-tenatoprazole in 99% ee after recrystn. from DMF/EtOAc. Likewise, using (DHQD)2-PYR, (S)-(-)-omeprazole was obtained in a yield of 72% and approx. 90% initial ee.

- IT 113713-24-9, 5-Methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; enantioselective preparation of sulfoxides by asym.  
 oxidation of sulfides with vanadium or tungsten catalysts and chiral  
 ligands and application to tenatoprazole and omeprazole enantiomers)
- RN 113713-24-9 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



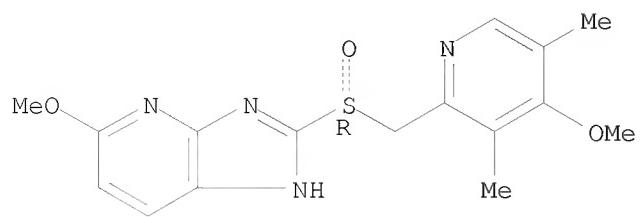
- IT 705968-86-1P, (S)-(-)-Tenatoprazole 705969-00-2P,  
 (R)-(+)-Tenatoprazole  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
 (Preparation)  
 (target compound; enantioselective preparation of sulfoxides by asym.  
 oxidation  
 of sulfides with vanadium or tungsten catalysts and chiral ligands and  
 application to tenatoprazole and omeprazole enantiomers)
- RN 705968-86-1 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



- RN 705969-00-2 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 94 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:800852 CAPLUS  
 DOCUMENT NUMBER: 141:314327  
 TITLE: Process for preparation of sulfoxides, in particular enantiomers of tenatoprazole and its related derivatives by enantioselective oxidation of sulfides  
 INVENTOR(S): Schutze, Francois; Charbit, Suzy; Cohen, Avraham; Martinet, Frederic  
 PATENT ASSIGNEE(S): Negma Gild, Fr.  
 SOURCE: Fr. Demande, 21 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2852956	A1	20041001	FR 2003-3914	20030328
FR 2852956	B1	20060804		
CA 2520157	A1	20041014	CA 2004-2520157	20040326
WO 2004087702	A2	20041014	WO 2004-FR778	20040326
WO 2004087702	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1608649	A2	20051228	EP 2004-742382	20040326
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CN 1823065	A	20060823	CN 2004-80008537	20040326
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IN 2005DN03962	A	20070824	IN 2005-DN3962	20050905
MX 2005PA10250	A	20061012	MX 2005-PA10250	20050923
US 20060281782	A1	20061214	US 2006-551037	20060726
PRIORITY APPLN. INFO.:			FR 2003-3914	A 20030328
			FR 2003-14679	A 20031215
			WO 2004-FR778	W 20040326

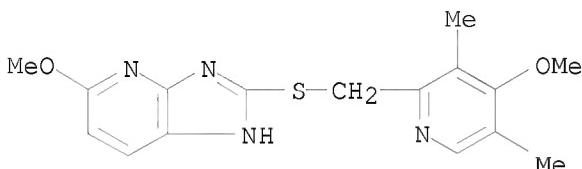
OTHER SOURCE(S): MARPAT 141:314327  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention is related to a method of preparation of sulfoxides and their basic salts, of formula A-CH<sub>2</sub>-S-B, in particular enantiomers of tenatoprazole (I) and derivs., by enantioselective oxidation of a sulfide of formula A-CH<sub>2</sub>-SO-B with an oxidation agent in the presence of a catalyst containing tungsten or of vanadium and of a chiral ligand, of formula RO-CR<sub>1</sub>R<sub>2</sub>-CR<sub>3</sub>R<sub>4</sub>-NR<sub>5</sub>R<sub>6</sub>, followed if necessary by base treatment [wherein A = substituted pyridinyl; B = benzimidazolyl, imidazopyridyl; R = H, alkyl, hetero/aryl; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> = independently alkyl, hetero/aryl with provisos; R<sub>5</sub>, R<sub>6</sub> = alkyl; or NR<sub>5</sub>R<sub>6</sub> = heterocyclyl, -N:CHAR; Ar =

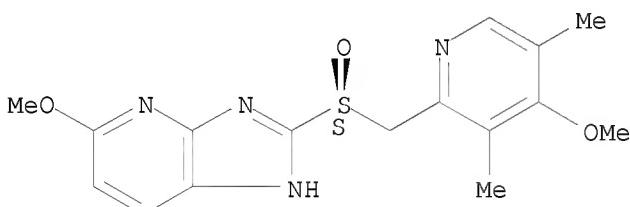
substituted aryl]. The method provides high enantiomeric excess (e.e.) values (> 90%). Thus, oxidation of sulfide II with H<sub>2</sub>O<sub>2</sub> in the presence of WO<sub>3</sub>, ligand III in THF gave (S)-(-)-I in > 99% e.e.

- IT 113713-24-9, 5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(sulfide starting material; preparation of sulfoxides, in particular enantiomers of tenatoprazole and its related derivs., by enantioselective oxidation of sulfides)
- RN 113713-24-9 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



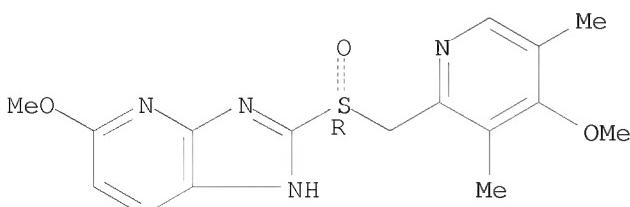
- IT 705968-86-1P, (-)-5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl]imidazo[4,5-b]pyridine 705969-00-2P  
RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation)  
(sulfoxide product; preparation of sulfoxides, in particular enantiomers of tenatoprazole and its related derivs., by enantioselective oxidation of sulfides)
- RN 705968-86-1 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



- RN 705969-00-2 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L3 ANSWER 95 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:799468 CAPLUS  
 DOCUMENT NUMBER: 141:320050  
 TITLE: Controlled-release compositions containing proton pump  
       inhibitors  
 INVENTOR(S): Nagahara, Naoki; Miyamoto, Keiko; Akiyama, Yohko  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 243 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082665	A1	20040930	WO 2004-JP3483	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2519208	A1	20040930	CA 2004-2519208	20040316
JP 2004300149	A	20041028	JP 2004-75037	20040316
EP 1607088	A1	20051221	EP 2004-720975	20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 20060177509	A1	20060810	US 2005-549150	20050915
PRIORITY APPLN. INFO.:			JP 2003-72858	A 20030317
			WO 2004-JP3483	W 20040316

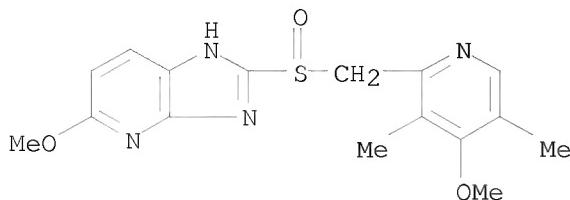
AB It is intended to provide a controlled release composition in which the release of its active ingredient (a proton pump inhibitor) is controlled in two or more steps with different release speeds. This composition, which comprises (1) a release-controlling part A capable of controlling the release speed of the active ingredient at a definite level, and (2) a release-controlling part B capable of controlling the release speed of the active ingredient at a definite level which is lower than the release speed in the release-controlling part A, optionally together with (3) a release-controlling part C capable of controlling the release speed of the active ingredient at a definite level which is higher than the release speed in the release-controlling part B, if necessary, is characterized in that the release of the active ingredient in the release-controlling part B is first made followed by the release of the active ingredient in the release-controlling part A (in the case of having the release-controlling part C, the release of the active ingredient in the release-controlling part C is first made followed by the release of the active ingredient in the release-controlling part B). Thus, a core tablet prepared from R-lansoprazole 113, lactose 303, corn starch 50, low-substituted hydroxypropyl cellulose (L-HPC) 35 mg was layered with an outer layer material coating R-lansoprazole 33.8, hydroxypropyl Me cellulose (Metolose 65SH-4000) 116.3 mg to obtain a controlled-release tablet.

IT 113712-98-4, 5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine 705968-86-1  
 705969-00-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of proton pump inhibitors for controlled-release compns.)

RN 113712-98-4 CAPLUS

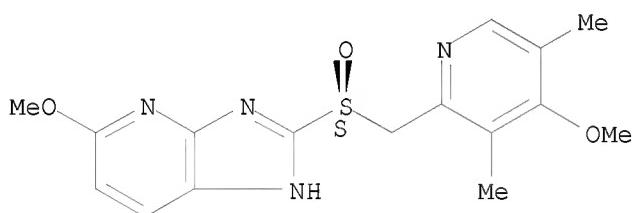
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

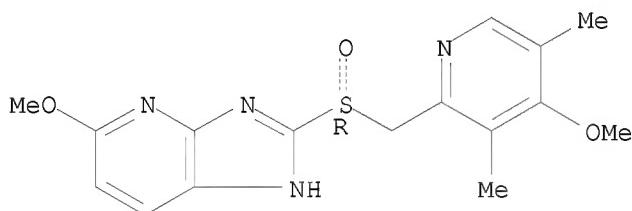
Absolute stereochemistry. Rotation (-).



RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 96 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:780561 CAPLUS  
 DOCUMENT NUMBER: 141:254601  
 TITLE: Preventive or remedy for teeth grinding containing  
 gastric acid inhibitors  
 INVENTOR(S): Miyawaki, Shouichi; Yamamoto, Teruko  
 PATENT ASSIGNEE(S): Eisai Co. Ltd., Japan  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080487	A1	20040923	WO 2004-JP939	20040130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1611901	A1	20060104	EP 2004-706869	20040130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060173045	A1	20060803	US 2005-547796	20050906
PRIORITY APPLN. INFO.:			JP 2003-68755	A 20030313
			WO 2004-JP939	W 20040130

AB It is intended to provide a preventive or a remedy for teeth grinding and diseases relating thereto which contains as the active ingredient at least one member selected from among proton pump inhibitors, histamine H2 receptors and acid pump antagonists. Examples of the proton pump inhibitors include rabeprazole, omeprazole, esomeprazole, lansoprazole, pantoprazole, tenatoprazole, salts thereof and hydrates of the same. The effect of rabeprazole sodium salt tablet (Pariet) in patients with teeth grinding was examined

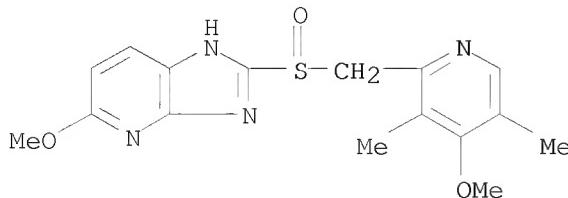
IT 113712-98-4, Tenatoprazole

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preventive or remedy for teeth grinding and teeth grinding-related disease containing gastric acid inhibitors)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L3 ANSWER 97 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:780521 CAPLUS  
 DOCUMENT NUMBER: 141:282815  
 TITLE: Drug composition having active ingredient adhered at high concentration to spherical core  
 INVENTOR(S): Yoneyama, Shuji; Bando, Hiroto  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 237 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080439	A1	20040923	WO 2004-JP3075	20040310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2518780	A1	20040923	CA 2004-2518780	20040310
JP 2004292442	A	20041021	JP 2004-66456	20040310
EP 1602362	A1	20051207	EP 2004-719076	20040310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 20060159760	A1	20060720	US 2005-548504	20050909
PRIORITY APPLN. INFO.:			JP 2003-66344	A 20030312
			WO 2004-JP3075	W 20040310

OTHER SOURCE(S): MARPAT 141:282815

AB Granule, fine particle or tablet of excellent leaching property, comprising a drug active ingredient in high content realized by forming a layer containing drug active ingredient on core particles through a combination of a method of dispersing and adhering an active ingredient while spraying or adding a binder with a method of spraying or adding a solution or suspension wherein an active ingredient and a binder are contained so as to effect adhesion. Further, there are provided a drug composition containing such a granule, fine particle or tablet and a process

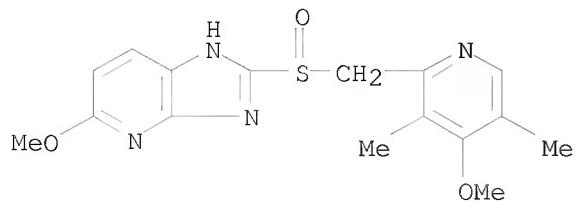
for

producing the same. Thus, original granules of crystalline cellulose were prepared by spraying a composition (R)-lansoprazole (I), crystalline cellulose, magnesium carbonate, and hydroxypropyl cellulose to crystalline cellulose. The obtained granules were further coated with a 1st coating material containing I, magnesium carbonate, sucrose, and hydroxypropyl cellulose, a 2nd coating material containing hydroxypropyl Me cellulose, talc, and titanium oxide, and then an enteric coating material containing methacrylic acid copolymer, talc, macrogol, titanium oxide, and polysorbate 80, or another enteric coating material containing different methacrylic acid copolymers, talc, and tri-Et citrate. The granules with different enteric coatings were mixed and filled in capsules.

IT 113712-98-4, 5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(preparation of drug composition containing proton pump inhibitors adhered at high

concentration to spherical core)  
RN 113712-98-4 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

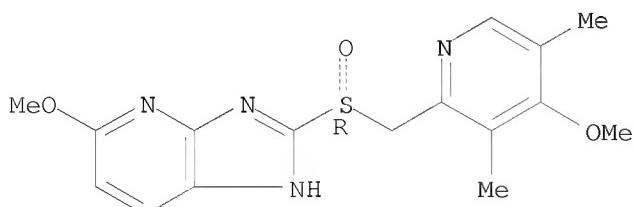
L3 ANSWER 98 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:718538 CAPLUS  
 DOCUMENT NUMBER: 141:248724  
 TITLE: The enantiomers of tenatoprazole for therapeutic uses  
 INVENTOR(S): Yamashita, Setsuo; Ebina, Kengo  
 PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan  
 SOURCE: PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074285	A1	20040902	WO 2004-JP2087	20040223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2512928	A1	20040902	CA 2004-2512928	20040223
CN 1753893	A	20060329	CN 2004-80004946	20040223
JP 2006519224	T	20060824	JP 2006-502682	20040223
US 20060122216	A1	20060608	US 2005-546485	20051007
PRIORITY APPLN. INFO.:			JP 2003-46335	A 20030224
			WO 2004-JP2087	W 20040223

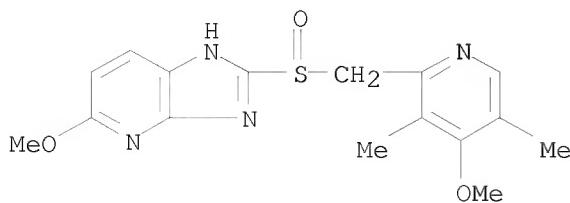
AB This invention relates to (+)- and (-)- enantiomers of tenatoprazole. The compds. and pharmaceutical compns. are useful as antiulcer agents. Thus, tablets contained (-)-tenatoprazole 30.0, lactose 40.0, aluminum hydroxide 17.5, hydroxypropyl cellulose 8.0, talc 4.5, TiO<sub>2</sub> 5.0, Mg stearate 20, and usual excipients 160.0 mg.

IT 705969-00-2P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 ((+)-tenatoprazole; enantiomers of tenatoprazole for therapeutic uses)  
 RN 705969-00-2 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 113712-98-4, Racemic-Tenatoprazole  
 RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PROC (Process)  
 (enantiomers of tenatoprazole for therapeutic uses)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

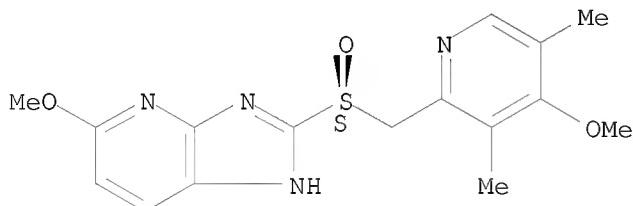


IT 705968-86-1, (-)-Tenatoprazole  
 RL: FMU (Formation, unclassified); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)  
 (enantiomers of tenatoprazole for therapeutic uses)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

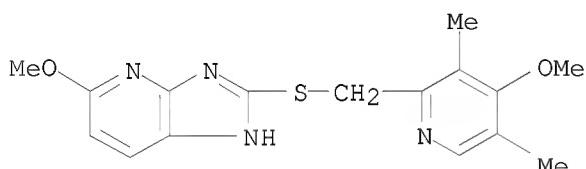
Absolute stereochemistry. Rotation (-).



IT 113713-24-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (enantiomers of tenatoprazole for therapeutic uses)

RN 113713-24-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)

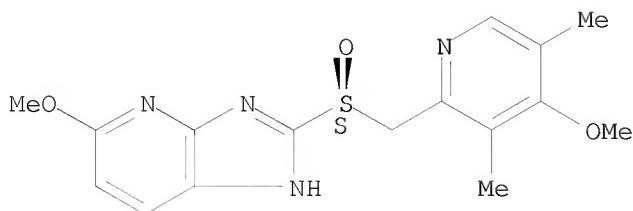


IT 705968-89-4, (-)-Tenatoprazole sodium salt 705968-92-9,  
 (-)-Tenatoprazole potassium salt 705968-95-2, (-)-Tenatoprazole lithium salt 705968-98-5, (-)-Tenatoprazole magnesium salt 705968-99-6, (-)-Tenatoprazole calcium salt 705969-00-2D, magnesium complex 749250-96-2, (+)-Tenatoprazole sodium salt 749250-97-3, (+)-Tenatoprazole potassium salt 749250-98-4, (+)-Tenatoprazole lithium salt 749250-99-5, (+)-Tenatoprazole calcium salt  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (enantiomers of tenatoprazole for therapeutic uses)

RN 705968-89-4 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

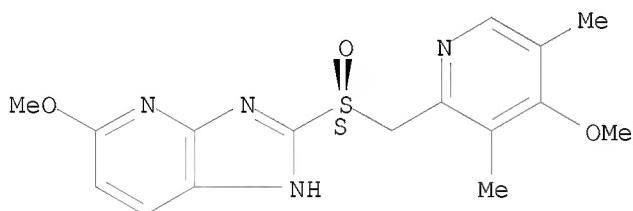


● Na

RN 705968-92-9 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

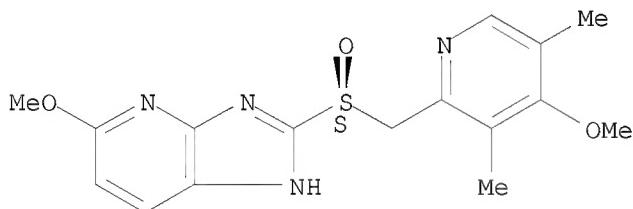


● K

RN 705968-95-2 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, lithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

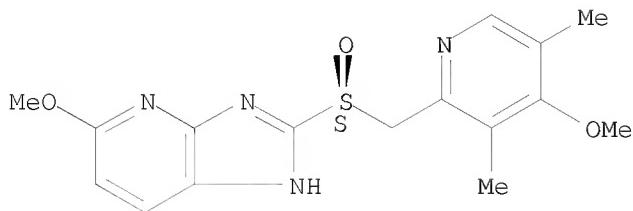


● Li

RN 705968-98-5 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

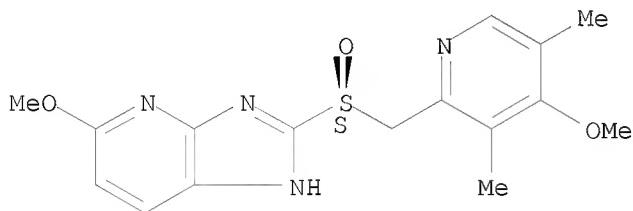


● 1/2 Mg

RN 705968-99-6 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

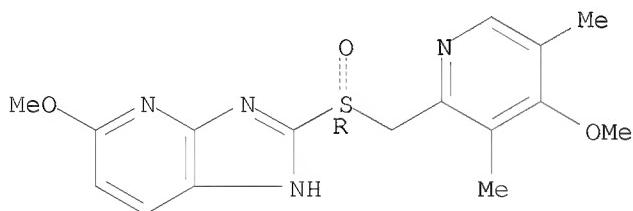


● 1/2 Ca

RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

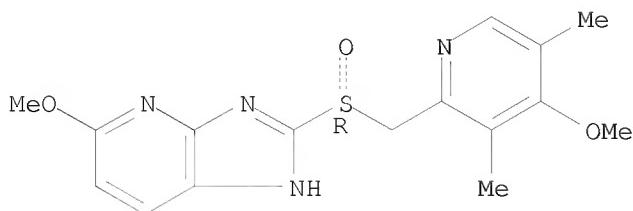
Absolute stereochemistry. Rotation (+).



RN 749250-96-2 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

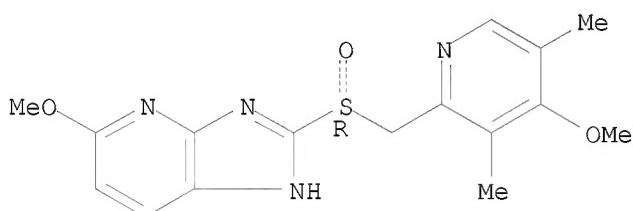


● Na

RN 749250-97-3 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

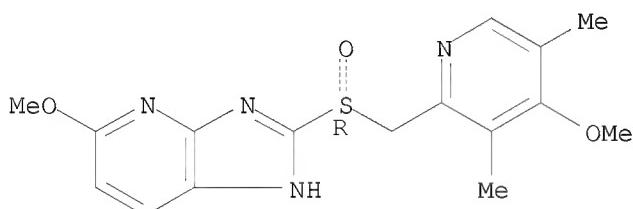


● K

RN 749250-98-4 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, lithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

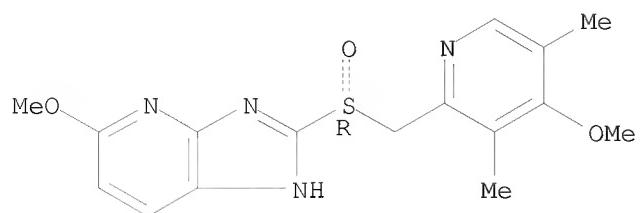


● Li

RN 749250-99-5 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● 1/2 Ca

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 99 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:718322 CAPLUS  
 DOCUMENT NUMBER: 141:230698  
 TITLE: Omeprazole antacid complex-immediate release for rapid and sustained suppression of gastric acid  
 INVENTOR(S): Hepburn, Bonnie; Goldlust, Barry  
 PATENT ASSIGNEE(S): Santarus, Inc., USA  
 SOURCE: PCT Int. Appl., 121 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073654	A2	20040902	WO 2004-US5170	20040220
WO 2004073654	A3	20050113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2517005	A1	20040902	CA 2004-2517005	20040220
EP 1603537	A2	20051214	EP 2004-713382	20040220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006518751	T	20060817	JP 2006-503768	20040220
MX 2005PA08804	A	20060525	MX 2005-PA8804	20050818
AU 2005204242	A1	20050929	AU 2005-204242	20050825
PRIORITY APPLN. INFO.:				
		US 2003-448627P	P	20030220
		AU 2004-213046	A3	20040220
		WO 2004-US5170	W	20040220
		US 2004-938766	A	20040910

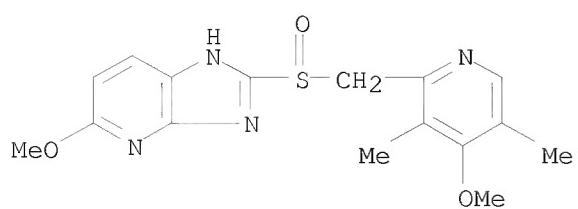
AB The present invention is directed to methods, kits, combinations, and compns. for treating, preventing or reducing the risk of developing a gastrointestinal disorder or disease, or the symptoms associated with, or related to a gastrointestinal disorder or disease in a subject in need thereof. In one aspect, the present invention provides a pharmaceutical composition comprising a proton pump inhibiting agent and a buffering agent for oral administration and ingestion by a subject. Upon administration, the composition contacts the gastric fluid of the stomach and increases the gastric fluid pH of the stomach to a pH that substantially prevents or inhibits acid degradation of the proton pump inhibiting agent in the gastric fluid and allows a measurable serum concentration of the proton pump inhibiting agent to

be absorbed into the blood serum of the subject. Omeprazole powder plus a chewable tablet of NaHCO<sub>3</sub> and CaCO<sub>3</sub> resulted in more rapid absorption in humans when compared to a marketed omeprazole delayed-release formulation.

IT 113712-98-4, Tenatoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (omeprazole antacid complex-immediate release for rapid and sustained suppression of gastric acid)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 100 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:609743 CAPLUS  
 DOCUMENT NUMBER: 141:145707  
 TITLE: Method for the administration of acid-labile drugs  
 using basic salts with calcium, magnesium or aluminum  
 INVENTOR(S): Sharma, Virender K.; Howden, Colin W.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S.  
 Ser. No. 824,847.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040146554	A1	20040729	US 2004-755656	20040112
US 20020146451	A1	20021010	US 2001-824847	20010404
PRIORITY APPLN. INFO.:			US 2000-218509P	P 20000715
			US 2001-824847	A2 20010404

AB A method for the formulation and delivery for administration of acid-labile drugs to human beings and other animals achieved by mixing the active pharmaceutical compound with a basic salt as one of calcium, magnesium and aluminum in a solution or suspension of any kind, where the basic salt solution or suspension protects the pharmaceutical compound from the adverse effects of gastric acid by neutralizing gastric acid. When calcium is used, it has the advantage of no obvious contraindications and is generally usable by all patients, especially those patients who have diseases

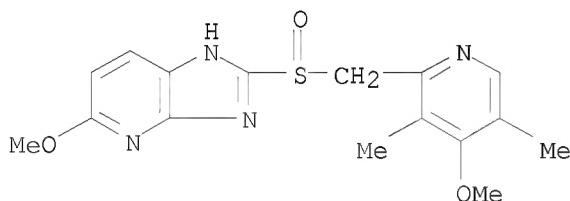
in which sodium is contraindicated.

IT 113712-98-4, Tenatoprazole 705968-86-1,  
(S)-Tenatoprazole

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(as acid-labile drug; acid-labile drug formulations as basic salts with calcium, magnesium or aluminum)

RN 113712-98-4 CAPLUS

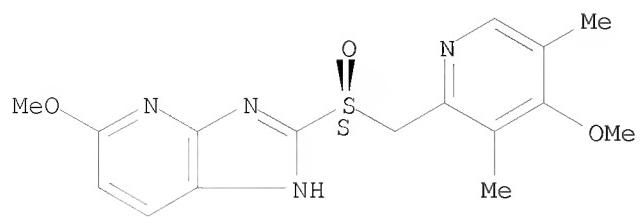
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L3 ANSWER 101 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:515505 CAPLUS  
 DOCUMENT NUMBER: 141:71546  
 TITLE: Process for preparing optically pure  
       2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and  
       2-(2-pyridylmethylsulfinyl)-1H-imidazo[4,5-b]pyridine  
       as proton pump inhibitors (PPI)  
 INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen  
 PATENT ASSIGNEE(S): Altana Pharma Ag, Germany  
 SOURCE: PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052882	A1	20040624	WO 2003-EP13605	20031203
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2507807	A1	20040624	CA 2003-2507807	20031203
AU 2003289948	A1	20040630	AU 2003-289948	20031203
EP 1578742	A1	20050928	EP 2003-782288	20031203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017005	A	20051025	BR 2003-17005	20031203
CN 1717403	A	20060104	CN 2003-80104410	20031203
JP 2006516261	T	20060629	JP 2005-502310	20031203
ZA 2005003543	A	20060830	ZA 2005-3543	20050504
US 20050288334	A1	20051229	US 2005-536766	20050527
MX 2005PA05762	A	20050816	MX 2005-PA5762	20050530
NO 2005003099	A	20050624	NO 2005-3099	20050624
IN 2005MN00674	A	20051021	IN 2005-MN674	20050627
PRIORITY APPLN. INFO.:			EP 2002-27273	A 20021206
			DE 2003-10340255	A 20030829
			WO 2003-EP13605	W 20031203

- AB Described is a process for preparing optically pure PPI having a sulfinyl structure in enantiomerically pure or enantiomerically enriched form by oxidation of the corresponding sulfides in the presence of a chiral zirconium or hafnium complex. Thus, 20.2 g 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole together with 17.9 g di-Et (+)-tartrate, 13.4 g zirconium(IV) isopropoxide/isopropanol complex and 0.1 mL H<sub>2</sub>O were suspended in 100 mL Me iso-Bu ketone and heated at 40° for one hour to give an almost clear solution. After cooling to room temperature, 4.1 mL N-ethyldiisopropylamine was added, followed by slowly metering 11 mL cumene hydroperoxide, and the mixture was stirred at room temperature until the oxidation process to give, after workup, (-)-pantoprazole as a beige powder of m.p. 145° (decomposition) and an optical purity of >95%. After recrystn. from isopropanol, a clear crystal of m.p. 147-149° (decomposition) with an optical rotation of a D<sub>20</sub> = -140° (c = 0.5, MeOH) was obtained.
- IT 705968-86-1P, (S)-5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridylmethyl)sulfinyl]-1H-imidazo[4,5-b]pyridine 705969-00-2P, (R)-5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridylmethyl)sulfinyl]-1H-imidazo[4,5-b]pyridine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

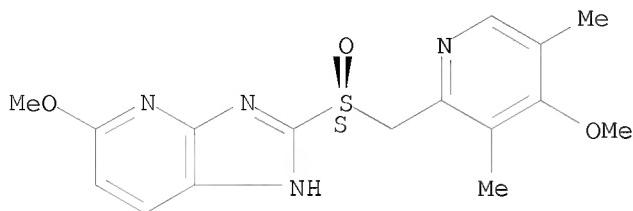
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[ (S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

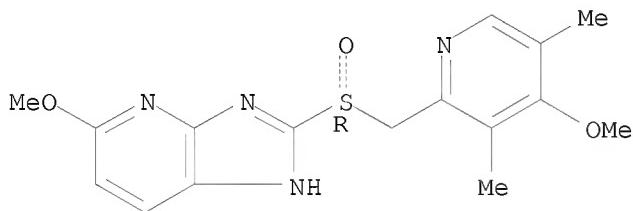
Absolute stereochemistry. Rotation (-).



RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[ (R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

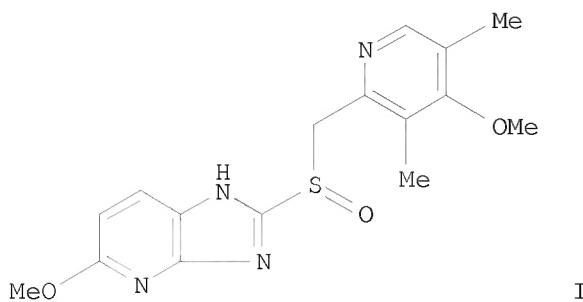
Absolute stereochemistry. Rotation (+).



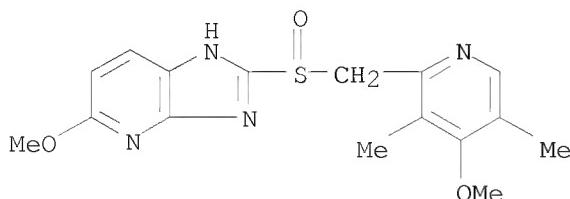
L3 ANSWER 102 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:492326 CAPLUS  
 DOCUMENT NUMBER: 141:54339  
 TITLE: Tenatoprazole enantiomer with improved pharmacokinetic behavior, and its therapeutic application in the treatment of digestive pathologies  
 INVENTOR(S): Schutze, Francois; Charbit, Suzy; Ficheux, Herve; Homerin, Michel; Taccoen, Alain; Cohen, Avraham  
 PATENT ASSIGNEE(S): Negma Gild, Fr.  
 SOURCE: Fr. Demande, 15 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2848555	A1	20040618	FR 2002-15949	20021216
FR 2848555	B1	20060728		
CA 2509899	A1	20040722	CA 2003-2509899	20031216
WO 2004060891	A1	20040722	WO 2003-FR3746	20031216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003300627	A1	20040729	AU 2003-300627	20031216
EP 1572692	A1	20050914	EP 2003-814481	20031216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017328	A	20051108	BR 2003-17328	20031216
CN 1726214	A	20060125	CN 2003-80106267	20031216
JP 2006513230	T	20060420	JP 2004-564280	20031216
RU 2310652	C2	20071120	RU 2005-122465	20031216
NZ 540663	A	20071221	NZ 2003-540663	20031216
US 20050119298	A1	20050602	US 2004-507485	20040913
US 7034038	B2	20060425		
IN 2005DN02472	A	20070105	IN 2005-DN2472	20050608
NO 2005002798	A	20050704	NO 2005-2798	20050609
MX 2005PA06419	A	20060308	MX 2005-PA6419	20050615
US 20060194832	A1	20060831	US 2006-344212	20060201
PRIORITY APPLN. INFO.:			FR 2002-15949	A 20021216
			WO 2003-FR3746	W 20031216
			US 2004-507485	A3 20040913

GI



- AB The invention relates to the (-)-enantiomer of tenatoprazole, i.e., (-)-I, or (-)-5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl]imidazo[4,5-b]pyridine. This enantiomer has improved pharmacokinetic properties relative to racemic I, allowing a posol. of only one dose of drug per day in indicated usages. (-)-I is applicable to treatment of digestive pathologies. Claims cover (-)-I and salts, preparation of (-)-I by chiral chromatog. of the racemate, compns. containing (-)-I and salts, particularly the Na, K, Li, Mg, and Ca salts, and the use of these compds. for treatment of a variety of specific conditions, or for inhibition of acid secretion. For instance, separation of 2 g ( $\pm$ )-I on a 265+110 mm ChiralPak column containing an amylose tris[(S)- $\alpha$ -methylbenzylcarbamate] stationary phase at ambient temperature gave (-)-I. Pharmacokinetic studies in Caucasians show that a mutation of cytochrome 2C19 gives rise to fast and slow metabolizers of I, which leads to plasma accumulation of (+)-I in CYP2C19\*2/\*2-homozygous slow metabolizers, and a higher proportion of (-)-I in CYP2C19\*1/\*1-homozygous fast metabolizers. It appears that (+)-I is metabolized predominantly by CYP2C19, whereas (-)-I is metabolized by 2 routes, CYP2C19 and CYP3A4. Thus, therapy with (-)-I offers the advantages of reduced variability between patients, better utilization, longer mean residence time, and reduced potential for drug interaction by compensation for potential CYP2C19 blockage. (-)-I has a plasmatic half-life of 10-12 h at 20-80 mg doses, whereas ( $\pm$ )-I has a half-life of 7 h at 20 mg and 9 h at 80 mg.
- IT 113712-98-4, ( $\pm$ )-Tenatoprazole  
 RL: PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); BIOL (Biological study); PROC (Process)  
 (chromatog. resolution; preparation of tenatoprazole enantiomer with improved pharmacokinetic behavior, for treatment of digestive disorders)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methylsulfinyl]- (CA INDEX NAME)



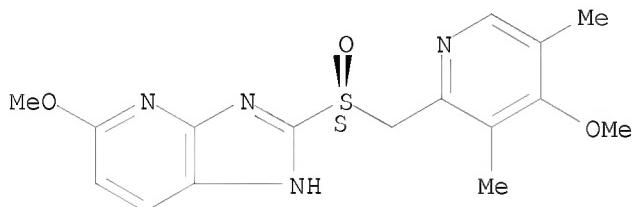
- IT 705968-86-1P 705968-89-4P, (-)-Tenatoprazole sodium salt  
 705968-92-9P, (-)-Tenatoprazole potassium salt  
 705968-95-2P, (-)-Tenatoprazole lithium salt 705968-98-5P

, (-)-Tenatoprazole magnesium salt 705968-99-6P,  
(-)-Tenatoprazole calcium salt  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of tenatoprazole enantiomer with improved pharmacokinetic behavior, for treatment of digestive disorders)

RN 705968-86-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

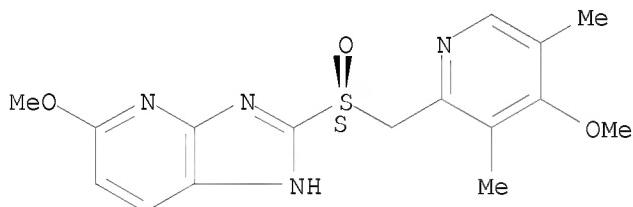
Absolute stereochemistry. Rotation (-).



RN 705968-89-4 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

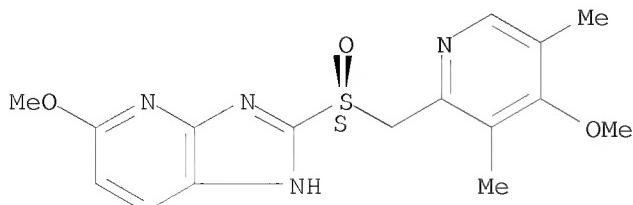


● Na

RN 705968-92-9 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

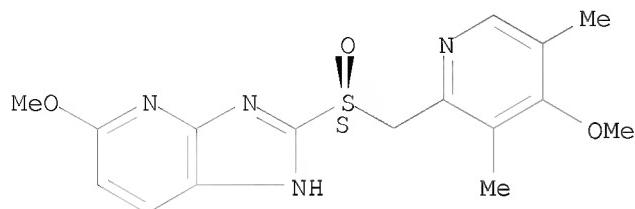
Absolute stereochemistry. Rotation (-).



● K

RN 705968-95-2 CAPLUS  
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, lithium salt (9CI) (CA INDEX NAME)

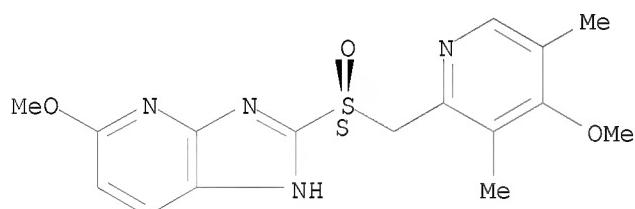
Absolute stereochemistry. Rotation (-).



● Li

RN 705968-98-5 CAPLUS  
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (9CI) (CA INDEX NAME)

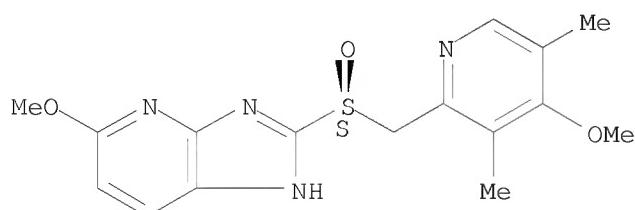
Absolute stereochemistry. Rotation (-).



● 1/2 Mg

RN 705968-99-6 CAPLUS  
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● 1/2 Ca

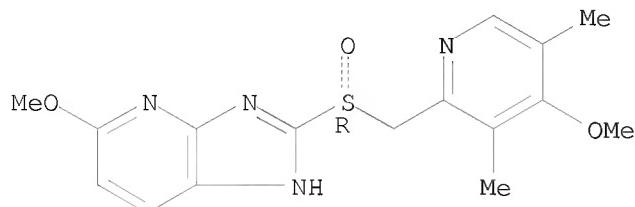
IT 705969-00-2

RL: PKT (Pharmacokinetics); BIOL (Biological study)  
(preparation of tenatoprazole enantiomer with improved pharmacokinetic behavior, for treatment of digestive disorders)

RN 705969-00-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

1

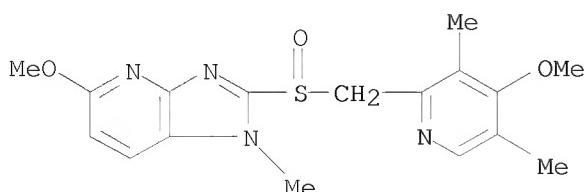
THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 103 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:453656 CAPLUS  
DOCUMENT NUMBER: 141:116452  
TITLE: Chemistry of Covalent Inhibition of the Gastric ( $H^+$ ,  $K^+$ )-ATPase by Proton Pump Inhibitors  
AUTHOR(S): Shin, Jai Moo; Cho, Young Moon; Sachs, George  
CORPORATE SOURCE: Department of Physiology and Medicine, University of California, Los Angeles, CA, 90073, USA  
SOURCE: Journal of the American Chemical Society (2004), 126(25), 7800-7811  
CODEN: JACSAT; ISSN: 0002-7863  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 141:116452

AB Proton pump inhibitors (PPIs), drugs that are widely used for treatment of acid related diseases, are either substituted pyridylmethylsulfinyl benzimidazole or imidazopyridine derivs. They are all prodrugs that inhibit the acid-secreting gastric ( $H^+$ ,  $K^+$ )-ATPase by acid activation to reactive thiophiles that form disulfide bonds with one or more cysteines accessible from the exoplasmic surface of the enzyme. This unique acid-catalysis mechanism had been ascribed to the nucleophilicity of the pyridine ring. However, the data obtained here show that their conversion to the reactive cationic thiophilic sulfenic acid or sulfenamide depends mainly not on pyridine protonation but on a second protonation of the imidazole component that increases the electrophilicity of the C-2 position on the imidazole. This protonation results in reaction of the C-2 with the unprotonated fraction of the pyridine ring to form the reactive derivs. The relevant PPI pKa's were determined by UV spectroscopy of the benzimidazole or imidazopyridine sulfinylmethyl moieties at different medium pH. Synthesis of a relatively acid stable analog, N1-Me lansoprazole, allowed direct determination of both pKa values of this intact

PPI allowing calcn. of the two pKa values for all the PPIs. These values predict their relative acid stability and thus the rate of reaction with cysteines of the active proton pump at the pH of the secreting parietal cell. The PPI accumulates in the secretory canalculus of the parietal cell due to pyridine protonation then binds to the pump and is activated by the second protonation on the surface of the protein to allow disulfide formation.

IT 721924-07-8P  
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(chemical of covalent inhibition of gastric ( $H^+$ ,  $K^+$ )-ATPase by proton pump inhibitors)  
RN 721924-07-8 CAPLUS  
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-methyl- (CA INDEX NAME)



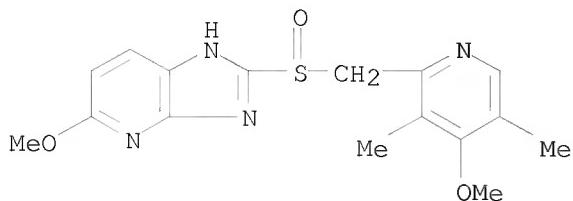
IT 113712-98-4P  
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(chemical of covalent inhibition of gastric (H+, K+)-ATPase by proton pump  
inhibitors)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

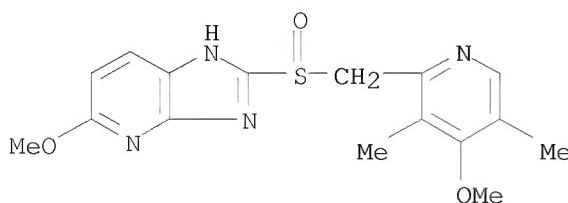


REFERENCE COUNT:

20

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 104 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:378286 CAPLUS  
 DOCUMENT NUMBER: 141:360444  
 TITLE: Tenatoprazole, a novel proton pump inhibitor with a prolonged plasma half-life: effects on intragastric pH and comparison with esomeprazole in healthy volunteers  
 AUTHOR(S): Galmiche, J. P.; des Varannes, S. Bruley; Ducrotte, P.; Sacher-Huvelin, S.; Vavasseur, F.; Taccoen, A.; Fiorentini, P.; Homerin, M.  
 CORPORATE SOURCE: CIC-INSERM, CHU de Nantes, Nantes, Fr.  
 SOURCE: Alimentary Pharmacology and Therapeutics (2004), 19(6), 655-662  
 CODEN: APTHEN; ISSN: 0269-2813  
 PUBLISHER: Blackwell Publishing Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Background: Proton pump inhibitors control gastric acidity better during the day than at night, when nocturnal acid breakthrough can occur. Tenatoprazole is a novel proton pump inhibitor with a seven-fold longer plasma half-life. Aim: To compare the effects of tenatoprazole 20 mg (T20), tenatoprazole 40 mg (T40) and esomeprazole 40 mg (E40) on intragastric acidity in healthy volunteers. Methods: This randomized, three-period, cross-over study enrolled 18 Helicobacter pylori-neg. volunteers, who received E40, T20 and T40 once daily for 7 days with a 14-day washout between periods. Twenty-four-hour gastric pH monitoring was performed on day 7. Serum gastrin was assessed on day 8. Results: T40 induced a more potent acid inhibition than T20 (24-h median pH: 4.6 vs. 4.0,  $P < 0.01$ ; daytime: 4.5 vs. 3.9,  $P < 0.01$ ; night-time: 4.7 vs. 4.1,  $P < 0.05$ ). T40 was more potent than E40 (24-h median pH: 4.6 vs. 4.2,  $P < 0.05$ ; night-time: 4.7 vs. 3.6,  $P < 0.01$ ); the pH  $> 4$  holding time was higher during the night for T40 than for E40: 64.3% vs. 46.8%,  $P < 0.01$ ; the nocturnal acid breakthrough duration was significantly shorter for T40 than for E40. No significant gastrin increase was observed and all drugs were well tolerated. Conclusion: T40 is significantly more potent than T20 and E40 during the night. The therapeutic relevance of this pharmacol. advantage deserves further study.  
 IT 113712-98-4, Tenatoprazole  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tenatoprazole with prolonged plasma half-life and esomeprazole were well tolerated, highly effective in controlling intragastric pH with no significant gastrin increase, but T40 was more potent than T20, E40 during night in healthy human)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

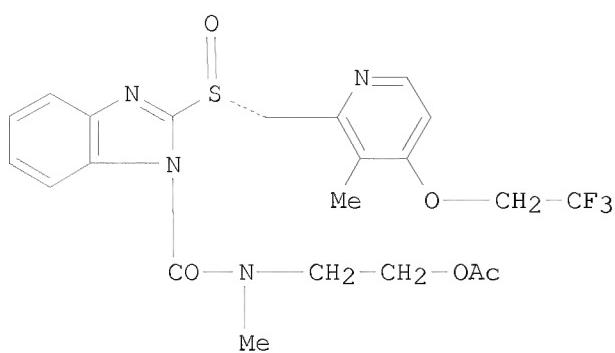


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

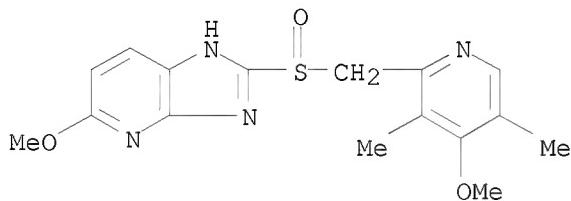
L3 ANSWER 105 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:354765 CAPLUS  
 DOCUMENT NUMBER: 140:380603  
 TITLE: Controlled release preparation containing proton pump  
       inhibitors  
 INVENTOR(S): Akiyama, Yohko; Kurasawa, Takashi; Bando, Hiroto;  
               Nagahara, Naoki  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 371 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035020	A2	20040429	WO 2003-JP13155	20031015
WO 2004035020	A3	20040624		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499574	A1	20040429	CA 2003-2499574	20031015
AU 2003272098	A1	20040504	AU 2003-272098	20031015
JP 2004292427	A	20041021	JP 2003-354900	20031015
EP 1553929	A2	20050720	EP 2003-754116	20031015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015142	A	20050809	BR 2003-15142	20031015
CN 1713897	A	20051228	CN 2003-80103935	20031015
NZ 552592	A	20070629	NZ 1992-5525	20031015
NZ 539353	A	20070727	NZ 2003-539353	20031015
IN 2005KN00604	A	20060616	IN 2005-KN604	20050408
US 20060013868	A1	20060119	US 2005-531069	20050411
MX 2005PA03902	A	20050622	MX 2005-PA3902	20050412
NO 2005002400	A	20050513	NO 2005-2400	20050513
PRIORITY APPLN. INFO.:			JP 2002-301876	A 20021016
			JP 2003-66336	A 20030312
			NZ 2003-552592	T0 20031015
			WO 2003-JP13155	W 20031015

OTHER SOURCE(S): MARPAT 140:380603  
 GI



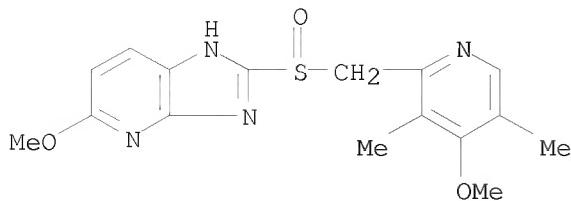
- AB A controlled release preparation wherein the release of active ingredient is controlled, which releases an active ingredient for an extended period of time by staying or slowly migrating in the gastrointestinal tract, is provided by means such as capsulating a tablet, granule or fine granule wherein the release of active ingredient is controlled and a gel-forming polymer. Said tablet, granule or fine granule has a release-controlled coating-layer formed on a core particle containing an active ingredient. Many compds. such as I were prepared and formulations given, e.g., granules containing sucrose-starch spheres, R-lansoprazole, Mg carbonate, purified sucrose, corn starch, low-substituted hydroxypropyl cellulose, and hydroxpropyl cellulose.
- IT 113712-98-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (controlled release preparation containing proton pump inhibitors)
- RN 113712-98-4 CAPLUS
- CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



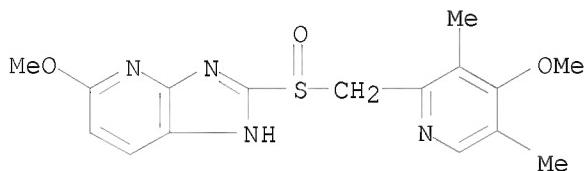
L3 ANSWER 106 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:329905 CAPLUS  
 DOCUMENT NUMBER: 140:344896  
 TITLE: Pharmaceutical composition comprising tenatoprazole  
       and an anti-inflammatory drug  
 INVENTOR(S): Schutze, Francois; Charbit, Suzy; Ficheux, Herve;  
               Homerin, Michel; Taccone, Alain; Inaba, Yoshio  
 PATENT ASSIGNEE(S): Negma Gild, Fr.; Mitsubishi Pharma Corporation  
 SOURCE: Fr. Demande, 15 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2845917	A1	20040423	FR 2002-13115	20021021
FR 2845917	B1	20060707		
CA 2503211	A1	20040506	CA 2003-2503211	20031021
WO 2004037254	A1	20040506	WO 2003-FR3120	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003285424	A1	20040513	AU 2003-285424	20031021
EP 1553942	A1	20050720	EP 2003-778425	20031021
EP 1553942	B1	20060524		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015455	A	20050823	BR 2003-15455	20031021
JP 2006506376	T	20060223	JP 2004-546112	20031021
CN 1744897	A	20060308	CN 2003-80107201	20031021
AT 326968	T	20060615	AT 2003-778425	20031021
PT 1553942	T	20061031	PT 2003-778425	20031021
ES 2265594	T3	20070216	ES 2003-778425	20031021
US 20060287284	A1	20061221	US 2006-532041	20060623
PRIORITY APPLN. INFO.:			FR 2002-13115	A 20021021
			WO 2003-FR3120	W 20031021

- AB A pharmaceutical composition comprises a combination of tenatoprazole and one or more NSAID and the inhibitors of cyclooxygenase-2 inhibitors for the treatment of the painful and inflammatory symptoms. A tablet contained tenatoprazole 20, diclofenac 100, and excipients q.s. 300 mg. Efficacy of the tablet in the treatment of patients with inflammation and pain is shown.
- IT 113712-98-4, Tenatoprazole 335299-59-7  
 335299-60-0 884304-68-1 884304-69-2  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (pharmaceutical composition comprising tenatoprazole and anti-inflammatory drugs)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

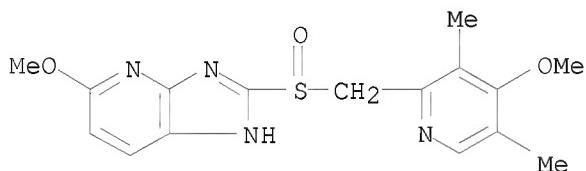


RN 335299-59-7 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



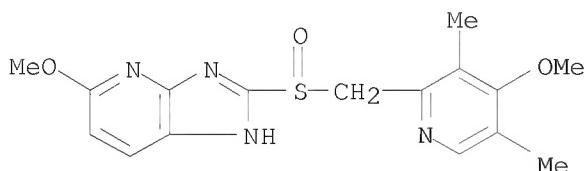
● Na

RN 335299-60-0 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)



● K

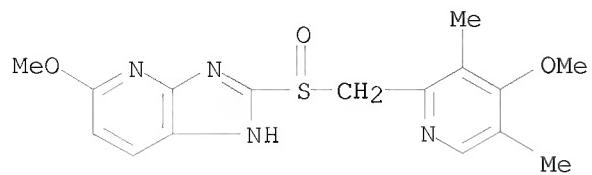
RN 884304-68-1 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (2:1) (CA INDEX NAME)



● 1/2 Mg

RN 884304-69-2 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-

pyridinyl)methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)



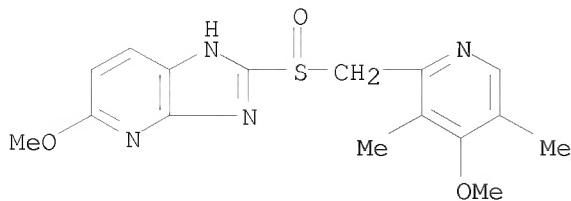
●1/2 Ca

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

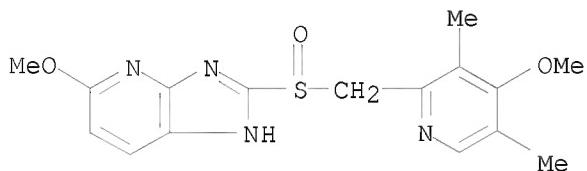
L3 ANSWER 107 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:329904 CAPLUS  
 DOCUMENT NUMBER: 140:344895  
 TITLE: Pharmaceutical composition comprising tenatoprazole  
       and an H2histamine receptor antagonist  
 INVENTOR(S): Schutze, Francois; Charbit, Suzy; Ficheux, Herve;  
       Homerin, Michel; Taccone, Alain; Inaba, Yoshio  
 PATENT ASSIGNEE(S): Negma Gild, Fr.; Mitsubishi Pharma Corporation  
 SOURCE: Fr. Demande, 13 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2845916	A1	20040423	FR 2002-13114	20021021
FR 2845916	B1	20060707		
CA 2503215	A1	20040506	CA 2003-2503215	20031021
WO 2004037256	A1	20040506	WO 2003-FR3124	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003285428	A1	20040513	AU 2003-285428	20031021
EP 1553944	A1	20050720	EP 2003-778429	20031021
EP 1553944	B1	20080227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015445	A	20050816	BR 2003-15445	20031021
JP 2006506377	T	20060223	JP 2004-546116	20031021
CN 1744896	A	20060308	CN 2003-80107200	20031021
AT 387201	T	20080315	AT 2003-778429	20031021
US 20060241136	A1	20061026	US 2005-532114	20050421
PRIORITY APPLN. INFO.:			FR 2002-13114	A 20021021
			WO 2003-FR3124	W 20031021

- AB A new pharmaceutical composition for the treatment of gastric hyperacidity comprises tenatoprazole and one or more antagonists of H2-histamine receptors such as cimetidine, ranitidine, famotidine, and nizatidine. The composition is used for the treatment of the gastric and duodenal ulcers, and the symptoms and lesions of the gastro-esophagus reflux. A tablet contained tenatoprazole 20, ranitidine 200, and excipients q.s. 300 mg. Efficacy of the tablet in the treatment of patients with gastro-esophagus reflux is shown.
- IT 113712-98-4, Tenatoprazole 335299-59-7  
 335299-60-0 884304-68-1 884304-69-2  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (pharmaceutical composition comprising tenatoprazole and H2-histamine receptor antagonist)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

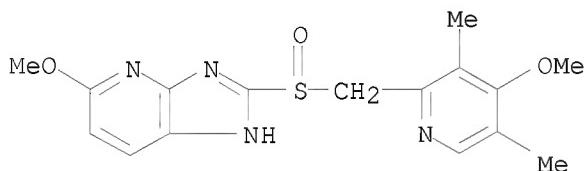


RN 335299-59-7 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



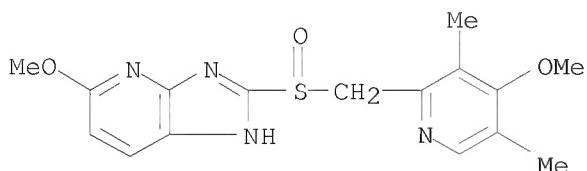
● Na

RN 335299-60-0 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)



● K

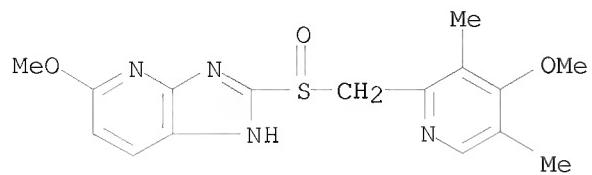
RN 884304-68-1 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (2:1) (CA INDEX NAME)



● 1/2 Mg

RN 884304-69-2 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-

pyridinyl)methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)



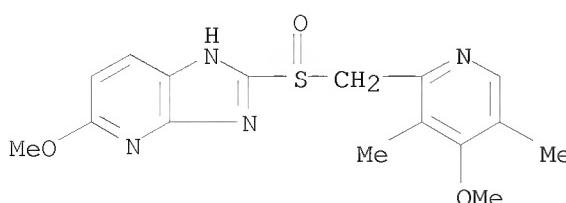
● 1/2 Ca

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 108 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:329903 CAPLUS  
 DOCUMENT NUMBER: 140:315073  
 TITLE: Use of tenatoprazole for the treatment of the  
       gastroesophageal reflux  
 INVENTOR(S): Schutze, Francois; Charbit, Suzy; Ficheux, Herve;  
               Homerin, Michel; Taccone, Alain; Inaba, Yoshio  
 PATENT ASSIGNEE(S): Negma Gild, Fr.; Mitsubishi Pharma Corporation  
 SOURCE: Fr. Demande, 21 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2845915	A1	20040423	FR 2002-13113	20021021
FR 2845915	B1	20060623		
CA 2503212	A1	20040506	CA 2003-2503212	20031021
WO 2004037255	A1	20040506	WO 2003-FR3122	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003285426	A1	20040513	AU 2003-285426	20031021
EP 1553943	A1	20050720	EP 2003-778427	20031021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015458	A	20050823	BR 2003-15458	20031021
JP 2006508083	T	20060309	JP 2004-546114	20031021
CN 1753674	A	20060329	CN 2003-80107199	20031021
US 20070066659	A1	20070322	US 2006-531900	20060623
PRIORITY APPLN. INFO.:			FR 2002-13113	A 20021021
			WO 2003-FR3122	W 20031021

- AB The invention relates to a new therapeutic indication of tenatoprazole. Tenatoprazole, like its salts, can be used in the manufacture of a drug for the treatment of the atypical symptoms of gastroesophageal reflux, Gastrointestinal bleedings, and dyspepsias.
- IT 113712-98-4, Tenatoprazole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (use of tenatoprazole for treatment of gastroesophageal reflux)
- RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

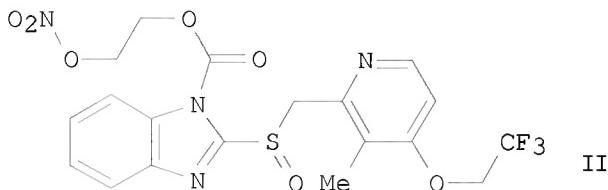
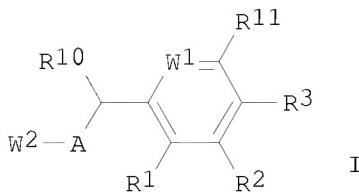


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 109 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:100820 CAPLUS  
 DOCUMENT NUMBER: 140:163865  
 TITLE: Preparation of nitrosated  
       (pyridylmethylsulfinyl)benzimidazolecarboxylate  
       derivatives as proton pump inhibitors  
 INVENTOR(S): Fang, Xinqin; Garvey, David S.; Letts, L. Gordon  
 PATENT ASSIGNEE(S): Nitromed, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 47 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040024014	A1	20040205	US 2003-631782	20030801
US 7211590	B2	20070501		
CA 2493618	A1	20040212	CA 2003-2493618	20030801
WO 2004012659	A2	20040212	WO 2003-US23963	20030801
WO 2004012659	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003254282	A1	20040223	AU 2003-254282	20030801
EP 1534278	A2	20050601	EP 2003-767016	20030801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005539013	T	20051222	JP 2004-526261	20030801
US 20070179150	A1	20070802	US 2007-689568	20070322
PRIORITY APPLN. INFO.:			US 2002-399715P	P 20020801
			US 2003-631782	A3 20030801
			WO 2003-US23963	W 20030801

OTHER SOURCE(S): MARPAT 140:163865  
 GI



AB Title compds. I (12 addnl. Markush structures), [wherein R<sub>1</sub> = H, alkoxy, alkyl, alkylthio; R<sub>2</sub> = H, halogen, (halo)alkoxy, (alkoxy)alkyl, alkylthio, amino, or R<sub>2</sub> and R<sub>3</sub> taken together with the carbon atoms to which they are attached form a cycloalkyl ring, aryl, or heterocyclic ring; R<sub>3</sub>, R<sub>11</sub> = independently H, alkoxy, alkyl, alkylthio, or R<sub>3</sub> and R<sub>11</sub> taken together with the carbon chain to which they are attached form cycloalkyl ring, aryl, or heterocyclic ring; R<sub>10</sub> = H or R<sub>10</sub> and R<sub>1</sub> taken together with the carbon chain to which they are attached form cycloalkyl ring; A = SOn, n = 0-2; W<sub>1</sub> = CH, N, amino-substituted carbon; W<sub>2</sub> = (un)substituted (aza)benzimidazole, 1-phenylimidazolyl, 1-(2-pyridinyl)imidazolyl, thieno[3,4-d]imidazolyl; and pharmaceutically acceptable salts thereof], were prepared as proton pump inhibitors. For example, reaction of lansoprazole with 2-(nitrooxy)ethyl chloroformate in the presence of NaH in THF at 0 °C gave II in 62%. Thus, I and their pharmaceutical compns. are useful as proton pump inhibitors, that donate, transfer or release nitric oxide, stimulate endogenous synthesis of nitric oxide, elevate endogenous levels of endothelium-derived relaxing factor or are the substrate for nitric oxide synthase. The invention also provide for novel kits comprising at least one nitrosated proton pump inhibitor compound, and, optionally, at least one nitric oxide donor and/or at least one therapeutic agent. Furthermore, I and their pharmaceutical compns. are also useful for the treatment of gastrointestinal disorders; facilitating ulcer healing; decreasing the recurrence of ulcers; improving gastroprotective properties, anti-Helicobacter pylori properties or antacid properties of proton pump inhibitors; decreasing or reducing the gastrointestinal toxicity associated with the use of nonsteroidal antiinflammatory compds.; and treating bacterial infections and/or viral infections (no data).

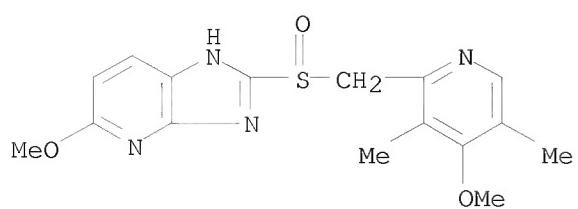
IT 113712-98-4DP, Tenatoprazole, nitrosated derivs.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrosated (pyridylmethylsulfinyl)benzimidazolecarboxylate derivs. as proton pump inhibitors)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

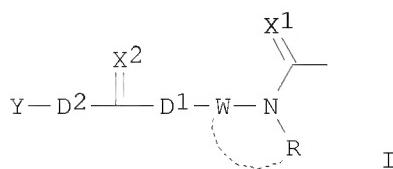
109

THERE ARE 109 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L3 ANSWER 110 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:1006959 CAPLUS  
 DOCUMENT NUMBER: 140:42180  
 TITLE: Preparation of nitrogenous heterocycle prodrugs having  
       N-(2-acyloxyethyl)-N-methylcarbamoyl groups  
 INVENTOR(S): Kamiyama, Keiji; Banno, Hiroshi; Sato, Fumihiko;  
                 Hasuoka, Atsushi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106429	A1	20031224	WO 2003-JP7545	20030613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489470	A1	20031224	CA 2003-2489470	20030613
AU 2003242388	A1	20031231	AU 2003-242388	20030613
JP 2004307457	A	20041104	JP 2003-169308	20030613
EP 1514870	A1	20050316	EP 2003-733425	20030613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1678315	A	20051005	CN 2003-818895	20030613
ZA 2005000090	A	20060726	ZA 2005-90	20050105
US 20060293371	A1	20061228	US 2005-517847	20050624
PRIORITY APPLN. INFO.:			JP 2002-175086	A 20020614
			JP 2003-41085	A 20030219
			WO 2003-JP7545	W 20030613

OTHER SOURCE(S): MARPAT 140:42180  
 GI



AB Disclosed is a compound having a group represented by the formula (I), [X1,  
 X2 = O, S; W = (un)substituted bivalent hydrocarbon chain, -W1-Z-W2-;  
 wherein W1, W2 = bivalent hydrocarbon chain, a bond; Z = (un)substituted  
 bivalent hydrocarbon ring or heterocyclic ring, O, S, SO, SO2,  
 (un)substituted NH; provided that when Z = O, S, SO, SO2, or  
 (un)substituted NH, then W1, W2 = bivalent hydrocarbon chain; R = H,  
 (un)substituted hydrocarbon group or heterocyclic ring; or R is not H, R

may be linked to W; D1, D2 = a bond, O, S, (un)substituted NH; Y = (un)substituted hydrocarbyl or heterocyclyl as a modifying group to be eliminated from a prodrug. It enables prodrug development based on the modification of a nitrogenous heterocycle, etc., with N-(2-acyloxyethyl)-N-methylcarbamoyl groups. For example, 3'-azido-3'-deoxythymidine (zidovudine), N-cyano-N'-methyl-N''-[2-((4-methyl-5-imidazolyl)-methylthio)ethyl]guanidine (cimetidine), (R)-2-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole [(R)-(+)-lansoprazole], 2-[[3,5-Dimethyl-4-methoxy-2-pyridyl)methyl]sulfinyl]-5-methoxy-1H-benzimidazole (omeprazole), 2-[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl)methyl]sulfinyl]benzimidazole (rabeprazole), 5-(difluoromethoxy)-2-[(3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-1H-benzimidazole (pantoprazole), or 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-Imidazo[4,5-b]pyridine (tenatoprazole) were modified by one of CONMeCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Et, CONMeCH<sub>2</sub>CH<sub>2</sub>OAc, and CONMeCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>-(tetrahydropyranyl-4-yl) groups.

IT

113712-98-4

RL: RCT (Reactant); RACT (Reactant or reagent)

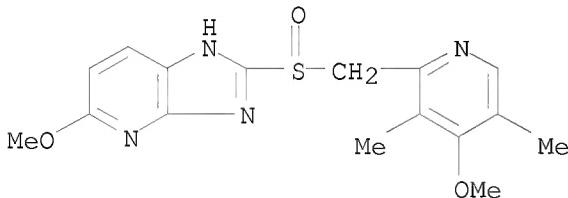
(preparation of nitrogenous heterocycle prodrugs having N-(acyloxyethyl)-N-methylcarbamoyl groups)

RN

113712-98-4 CAPLUS

CN

3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

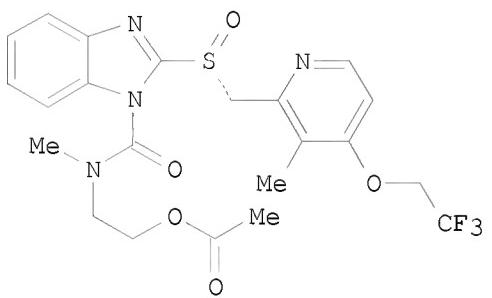
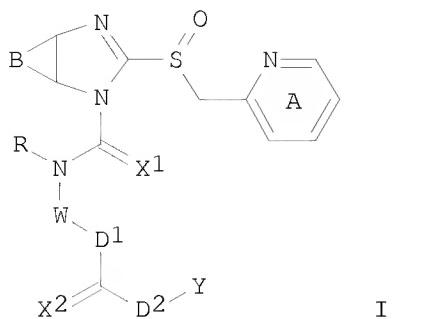
10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 111 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:1006770 CAPLUS  
 DOCUMENT NUMBER: 140:42178  
 TITLE: Preparation of prodrugs of benzimidazoles and analogs  
 as proton pump inhibitors for the treatment of peptic  
 ulcers  
 INVENTOR(S): Kamiyama, Keiji; Banno, Hiroshi; Sato, Fumihiko  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 216 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

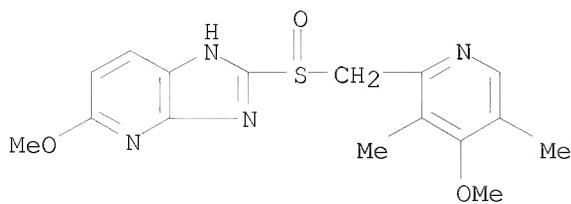
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105845	A1	20031224	WO 2003-JP7546	20030613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489361	A1	20031224	CA 2003-2489361	20030613
AU 2003242390	A1	20031231	AU 2003-242390	20030613
JP 2004307457	A	20041104	JP 2003-169308	20030613
EP 1513527	A1	20050316	EP 2003-733426	20030613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011801	A	20050412	BR 2003-11801	20030613
CN 1678315	A	20051005	CN 2003-818895	20030613
MX 2004PA12396	A	20050617	MX 2004-PA12396	20041209
US 20050222210	A1	20051006	US 2004-517633	20041213
IN 2005KN00033	A	20060526	IN 2005-KN33	20050103
ZA 2005000090	A	20060726	ZA 2005-90	20050105
NO 2005000141	A	20050127	NO 2005-141	20050111
PRIORITY APPLN. INFO.:			JP 2002-175086	A 20020614
			JP 2003-41085	A 20030219
			WO 2003-JP7546	W 20030613

OTHER SOURCE(S): MARPAT 140:42178  
 GI



AB Title compds. I [wherein A = (un)substituted pyridine ring; B = (un)substituted benzene or monocyclic aromatic heterocycle; X1 and X2 = O or S; W = W1ZW2; W1 and W2 = independently divalent hydrocarbon chain or a bond; Z = (un)substituted divalent hydrocarbon ring, divalent heterocyclic ring, O, SOO-2, or NE; E = H, alkanoyl, (ar)alkoxycarbonyl, thiocarbamoyl, alkylsulfinyl, alkylsulfonyl, (alkyl)sulfamoyl, arylsulfamoyl, arylsulfinyl, arylsulfonyl, arylcarbonyl, or (un)substituted hydrocarbon, heterocyclyl, or carbamoyl; R = (un)substituted hydrocarbon or heterocyclyl; R and W may be bonded to each other; D1 and D2 = independently a bond, O, S, or NR1; R1 = H or (un)substituted hydrocarbon; Y = (un)substituted hydrocarbon or heterocyclyl; with provisos; and salts thereof] were prepared. For example, reaction of bis(trichloromethyl)carbonate with 2-(methylamino)ethyl acetate•HCl in the presence of pyridine in THF, followed by coupling with (R)-2-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole using a catalytic amount of 4-dimethylaminopyridine and TEA in THF, gave II. Compds. of the invention are proton pump inhibitor prodrugs, which show superior antiulcer activity, gastric acid secretion inhibitory action, mucosa-protecting action, and anti-Helicobacter pylori action (no data).

IT 113712-98-4, 5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl]-1H-imidazo[4,5-b]pyridine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of prodrugs containing benzimidazoles and analogs as proton pump inhibitors for treatment of peptic ulcers)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methylsulfinyl]- (CA INDEX NAME)



IT 635751-89-2P

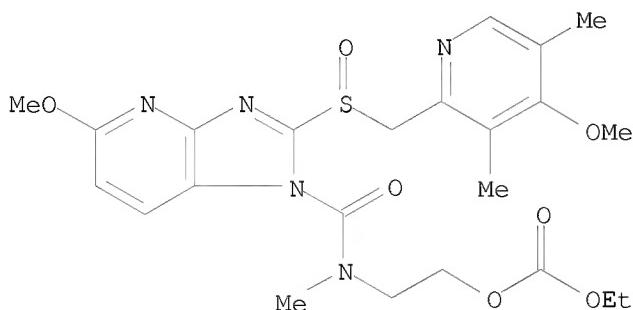
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of prodrugs containing benzimidazoles and analogs as proton pump

inhibitors for treatment of peptic ulcers)

RN 635751-89-2 CAPLUS

CN Carbonic acid, ethyl 2-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridin-1-yl]carbonyl]methylamino]ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 112 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:652131 CAPLUS

DOCUMENT NUMBER: 139:214237

TITLE: Preparation of nitrate prodrugs able to release nitric oxide in a controlled and selective way and their use for prevention and treatment of inflammatory, ischemic and proliferative diseases

INVENTOR(S): Scaramuzzino, Giovanni

PATENT ASSIGNEE(S): Italy

SOURCE: Eur. Pat. Appl., 313 pp.

CODEN: EPXXDW

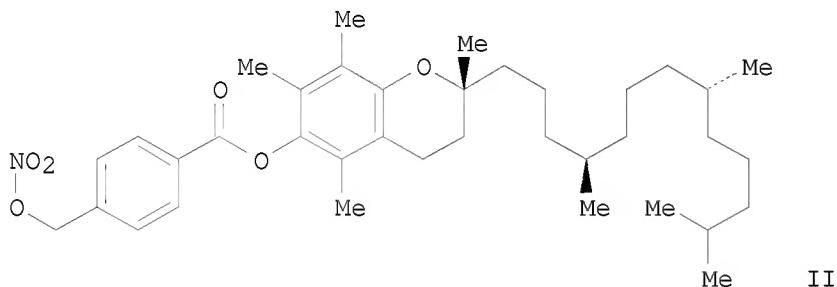
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1336602	A1	20030820	EP 2002-425075	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			EP 2002-425075	20020213
GI				



AB New pharmaceutical compds. of general formula F-(X)q (I) [q = 1-5, preferably 1; F is chosen among drugs such as  $\delta$ -tocopherol, clidanac, diethylhomospermine, glucosamine, thymocartin, vofopitant, etc.; X is chosen among 4 groups M, T, V, and Y where M = ONO<sub>2</sub>, nitrate salt, nitrite ester, ONO, thoinitrite, SNO, etc., T = OR<sub>1</sub>-M, OR<sub>1</sub>OR<sub>1</sub>-M, SR<sub>1</sub>NR<sub>2</sub>R<sub>1</sub>-M, NR<sub>2</sub>R<sub>1</sub>-M, NR<sub>2</sub>R<sub>1</sub>SR<sub>1</sub>-M, etc., R<sub>1</sub> = saturated or unsatd., linear or branched alkylene, having 1 to 21 carbon atoms or a saturated or unsatd., optionally heterosubstituted or branched cycloalkylene, having 3 to 7 carbon atoms or an optionally heterosubstituted arylene having 3 to 7 carbon atoms; R<sub>2</sub> = H, saturated or unsatd., linear or branched 1-21 carbon atom alkyl, saturated or unsatd. optionally heterosubstituted or branched 3-7 carbon cycloalkyl, optionally heterosubstituted 3-7 carbon aryl; R<sub>1</sub>, R<sub>2</sub> = OH, SH, F, Cl, Br, OPO<sub>3</sub>H<sub>2</sub>, CO<sub>2</sub>H, etc.; bond between F and T = carboxylic ester, carboxylic amide, glycoside, azo, thioester, sulfonic ester, etc.; V = Z-M<sub>2</sub>, OZ-M<sub>2</sub>, NR<sub>2</sub>Z-M<sub>2</sub>, R<sub>1</sub>Z-M<sub>2</sub>, OR<sub>1</sub>-M<sub>2</sub>, OR<sub>1</sub>Z-M<sub>2</sub>, M<sub>2</sub> = M, R<sub>1</sub>-M, OR<sub>1</sub>-M, SR<sub>1</sub>-M, NR<sub>2</sub>R<sub>1</sub>-M; ZM<sub>2</sub> = COCH<sub>2</sub>CH(M<sub>2</sub>)CH<sub>2</sub>N+Me<sub>3</sub>, COCH<sub>2</sub>CH<sub>2</sub>COM<sub>2</sub>, COCH(NHR<sub>2</sub>)CH<sub>2</sub>M<sub>2</sub>, etc.; Y = 4-COC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>ONO<sub>2</sub>, O(CH<sub>2</sub>)<sub>4</sub>ONO<sub>2</sub>, COCH(NH<sub>2</sub>)CH<sub>2</sub>ONO<sub>2</sub>, 3-OC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>ONO<sub>2</sub>, etc.] were prepared. For example,  $\alpha$ -tocopherol reacted with 4-HO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>ONO<sub>2</sub> to give the nitroxymethyl derivative II. The compds. of general formula I are nitrate prodrugs which can release nitric oxide in vivo in a controlled and selective way and without hypotensive side effects and for this reason they are useful for the preparation of medicines for prevention and treatment of inflammatory, ischemic, degenerative and proliferative diseases of musculoskeletal, tegumental, respiratory,

gastrointestinal, genito-urinary and central nervous systems.  
IT 586349-19-1P 586349-47-5P 586349-49-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of nitrate prodrugs for treating or preventing inflammatory, ischemic, degenerative, and proliferative diseases)

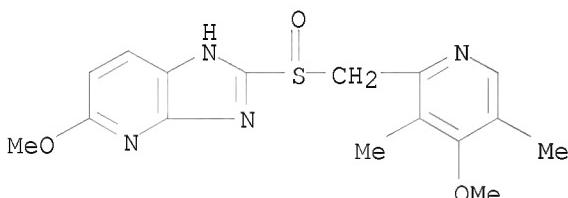
RN 586349-19-1 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 113712-98-4

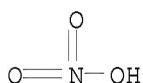
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CM 2

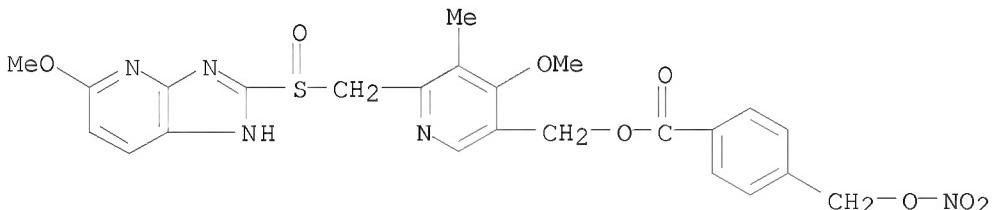
CRN 7697-37-2

CMF H N O3



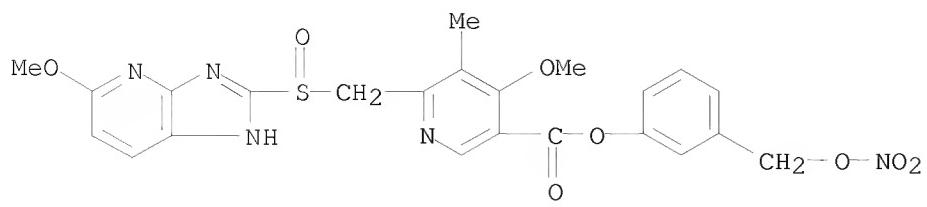
RN 586349-47-5 CAPLUS

CN Benzoic acid, 4-[(nitrooxy)methyl]-, [4-methoxy-6-[[[(5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)sulfinyl]methyl]-5-methyl-3-pyridinyl]methyl ester (9CI) (CA INDEX NAME)



RN 586349-49-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-methoxy-6-[[[(5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)sulfinyl]methyl]-5-methyl-, 3-[(nitrooxy)methyl]phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 113 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:319683 CAPLUS  
 DOCUMENT NUMBER: 138:326593  
 TITLE: Granules containing acid-unstable chemicals in large amount  
 INVENTOR(S): Shimizu, Toshihiro; Nakano, Yoshinori  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032953	A1	20030424	WO 2002-JP10720	20021016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463690	A1	20030424	CA 2002-2463690	20021016
AU 2002343991	A1	20030428	AU 2002-343991	20021016
JP 2003192579	A	20030709	JP 2002-301866	20021016
EP 1459737	A1	20040922	EP 2002-775358	20021016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1571659	A	20050126	CN 2002-820486	20021016
US 20050003005	A1	20050106	US 2004-492690	20040415
JP 2006282677	A	20061019	JP 2006-203539	20060726
PRIORITY APPLN. INFO.:				
			JP 2001-319444	A 20011017
			JP 2002-301866	A3 20021016
			WO 2002-JP10720	W 20021016

OTHER SOURCE(S): MARPAT 138:326593

AB It is intended to provide preps. such as capsules containing an acid-unstable chemical (in particular, a benzimidazole compound having an antiulcer effect, etc.) at a high concentration which are prepared by using about 12 % by weight or more

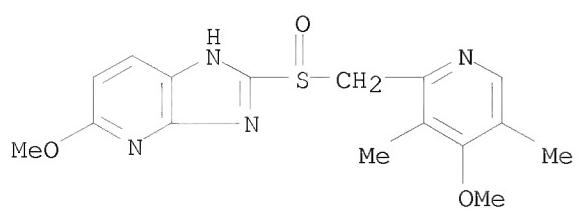
(based on the total granules) of the acid-unstable chemical and blending a basic inorg. salt therewith to give granules of about 600  $\mu\text{m}$  or more in the average grain size. Granules were prepared containing lansoprazole 30, sucrose/starch spherical particles 50, MgCO<sub>3</sub> 10, sucrose 30, starch 14, low-substituted hydroxypropyl cellulose 15, and hydroxypropyl cellulose 1 part. The granules were filled into capsules, which were then coated with enteric-soluble polymethacrylate compns.

IT 113712-98-4, TU 199

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(granules containing acid-unstable compds. and inorg. salts)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

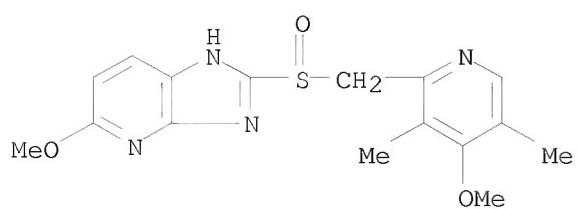
18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 114 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:221490 CAPLUS  
 DOCUMENT NUMBER: 138:260440  
 TITLE: Self emulsifying drug delivery system containing  
 NSAIDs  
 INVENTOR(S): Holmberg, Christina  
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022249	A1	20030320	WO 2002-SE1598	20020905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002329149	A1	20030324	AU 2002-329149	20020905
EP 1427392	A1	20040616	EP 2002-765747	20020905
EP 1427392	B1	20080220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504788	T	20050217	JP 2003-526379	20020905
AT 386503	T	20080315	AT 2002-765747	20020905
US 20040248974	A1	20041209	US 2004-488585	20040304
PRIORITY APPLN. INFO.:			SE 2001-2993	A 20010907
			WO 2002-SE1598	W 20020905

OTHER SOURCE(S): MARPAT 138:260440  
 AB A pharmaceutical composition suitable for oral administration, in form of an emulsion pre-concentrate, comprises 1 or more NO-releasing NSAID(s), 1 or more surfactants, of which at least one is phospholipid, the composition forming an in-situ oil-in-water emulsion upon contact with gastrointestinal fluids. The composition may optionally also comprise an addnl. oil or semi-solid fat. Further, 1 or more short-chain alcs. can optionally be included in the composition. Also within the scope of the invention is a combination with a proton pump inhibitor. The pharmaceutical composition is useful in the treatment of pain and inflammation. Further within the scope of the invention is kit comprising a pharmaceutical composition according to the invention in a unit dosage form, in combination with a proton pump inhibitor, and the proton pump inhibitor is enteric coated. Thus, a formulation contained Lipoid S100 0.30, propylene glycol 0.90, and a NO-releasing NSAID 4.00 g.  
 IT 113712-98-4  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (self emulsifying drug delivery system containing NSAIDs)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 115 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2002:733615 CAPLUS  
DOCUMENT NUMBER: 138:296876  
TITLE: Tenatoprazole: benatoprazole, TU 199  
AUTHOR(S): Anon.  
CORPORATE SOURCE: N. Z.  
SOURCE: Drugs in R&D (2002), 3(4), 276-277  
CODEN: DRDDFD; ISSN: 1174-5886  
PUBLISHER: Adis International Ltd.  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English

AB A review. Benatoprazole [TU 199; tenatoprazole] is an imidazopyridine derivative and a proton pump inhibitor. It is under development with Mitsubishi Pharma Corporation (Mitsubishi Chemical) and Hokuriku Seiyaku (BASF Pharma, now Abbott Labs.) in Japan as an oral antiulcer agent and for the treatment of reflux esophagitis and Zollinger-Ellison syndrome. An application for approval of benatoprazole (formerly tenatoprazole) has been registered in Japan. The pharmacodynamics and application in therapy for peptic ulcer disease are discussed.

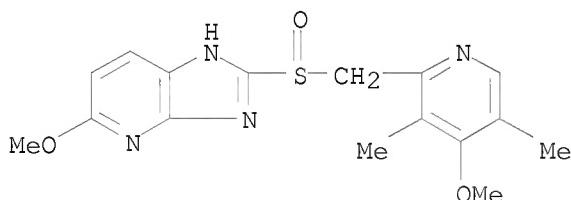
IT 113712-98-4, Tenatoprazole

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacodynamics and antiulcer application of proton pump inhibitor tenatoprazole (benatoprazole, TU 199))

RN 113712-98-4 CAPLUS

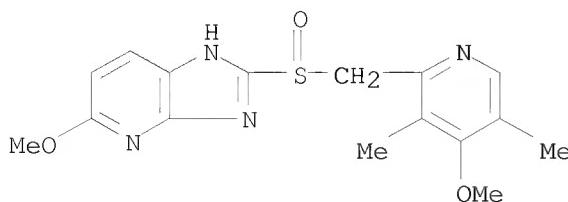
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 116 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:752824 CAPLUS  
 DOCUMENT NUMBER: 135:314438  
 TITLE: Proteolipid subunits of vacuolar H<sup>+</sup>-ATPase (ATP6F) as tumor antigens, application to cancer therapy, and use of proton pump inhibitor as anticancer agent  
 INVENTOR(S): Sato, Nobuo; Suzuki, Nobutaka; Yamaguchi, Masaaki; Yamaguchi, Nobuo; Okuma, Katsuji  
 PATENT ASSIGNEE(S): Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001286284	A	20011016	JP 2000-103966	20000405
PRIORITY APPLN. INFO.:			JP 2000-103966	20000405
AB Proteolipid subunits of vacuolar H <sup>+</sup> -ATPase (V-ATPase) as tumor antigens, use of antibodies and antisense oligonucleotides targeting those antigens as anticancer agent, and use of proton pump inhibitor as anticancer agent, are disclosed. Tumor antigen recognized by monoclonal antibody KCT-1 was isolated from thyroid cancer cell line TPC-1. The amino acid sequence of this antigen named SSY (S-1) was found match that of vacuolar H <sup>+</sup> -ATPase proteolipid subunit (ATP6F, c' subunit). The epitope of SSY antigen for KCT-1 antibody was determined. SSY antigen was found to strongly expressed in all the cancers examined; thyroid cancer, breast cancer, stomach cancer, esophagus cancer (squamous cell carcinoma), laryngeal cancer, colon cancer, rectal cancer, anal cancer, pancreatic cancer, lung cancer, renal cancer, bladder cancer, ovarian cancer, uterus cancer, cervical cancer, cunnus cancer, skin cancer, melanoma, central or peripheral nervous system cancer, gingival cancer, pharyngeal carcinoma, mediastinal tumor, liver cancer, bile duct cancer (cholangioma), gallbladder cancer, renal pelvis tumor, ureter cancer, testicular cancer, fallopian tube cancer, vaginal cancer, sarcoma, leukemia, erythroleukemia, multiple myeloma, malignant lymphoma, and carcinosarcoma. CDNA for a mouse homolog was cloned. Intradermal, s.c., and oral administration of the antigen in mouse demonstrated antitumor activity and safety. Antitumor activity was also demonstrated by phosphorothioate antisense oligonucleotide. Various inhibitors of V-ATPase, H <sup>+</sup> /K <sup>+</sup> -ATPase, and H <sup>+</sup> /Cl <sup>-</sup> symporter were found to have antitumor activity.				
IT 113712-98-4, TU-199	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (proteolipid subunits of vacuolar H <sup>+</sup> -ATPase (ATP6F) as tumor antigens, application to cancer therapy, and use of proton pump inhibitor as anticancer agent)			
RN 113712-98-4 CAPLUS				
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)				





L3 ANSWER 117 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:676579 CAPLUS  
 DOCUMENT NUMBER: 135:231708  
 TITLE: New self emulsifying drug delivery system  
 INVENTOR(S): Holmberg, Christina; Siekmann, Britta  
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066088	A1	20010913	WO 2001-SE467	20010306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2401498	A1	20010913	CA 2001-2401498	20010306
EP 1267832	A1	20030102	EP 2001-910305	20010306
EP 1267832	B1	20040602		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009014	A	20030603	BR 2001-9014	20010306
JP 2003525894	T	20030902	JP 2001-564741	20010306
HU 2003000882	A2	20030929	HU 2003-882	20010306
HU 2003000882	A3	20050428		
EE 200200500	A	20040216	EE 2002-500	20010306
AT 268162	T	20040615	AT 2001-910305	20010306
NZ 521009	A	20040625	NZ 2001-521009	20010306
PT 1267832	T	20040930	PT 2001-910305	20010306
ES 2220728	T3	20041216	ES 2001-910305	20010306
RU 2270675	C2	20060227	RU 2002-122744	20010306
SK 285982	B6	20071206	SK 2002-1257	20010306
IN 2002MN01102	A	20050304	IN 2002-MN1102	20020816
ZA 2002006740	A	20031124	ZA 2002-6740	20020822
MX 2002PA08657	A	20030224	MX 2002-PA8657	20020904
US 20030161846	A1	20030828	US 2002-220791	20020905
NO 2002004272	A	20021105	NO 2002-4272	20020906
KR 771317	B1	20071029	KR 2002-711731	20020907
HK 1050632	A1	20050318	HK 2003-102781	20030416
PRIORITY APPLN. INFO.:			SE 2000-773	A 20000308
			WO 2001-SE467	W 20010306

OTHER SOURCE(S): MARPAT 135:231708

AB The present invention claims and discloses a pharmaceutical composition suitable for oral administration, in form of an emulsion pre-concentrate, comprising: 1 or more NO-releasing NSAID(s), 1 or more surfactants, optionally an addnl. oil or semi-solid fat. The composition forms an in-situ oil-in-water emulsion upon contact with gastrointestinal fluids. The composition may optionally also comprise 1 or more short-chain alcs. Also within the scope of the invention is a combination with a proton pump inhibitor. The pharmaceutical composition is useful in the treatment of pain and inflammation. Further within the scope of the invention is kit comprising a pharmaceutical composition according to the invention in a unit dosage form, in combination with a proton pump inhibitor, and the proton

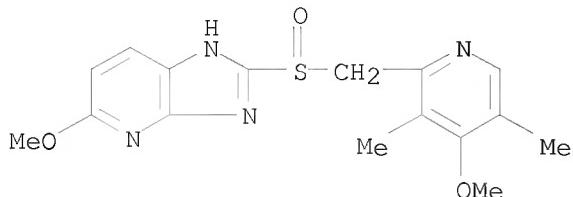
pump inhibitor is enteric coated. Thus, a semisolid formulation contained a NO-releasing NSAID 750, Pluronic F127 450, and omeprazole 20 g.

IT 113712-98-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(self emulsifying drug delivery system)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 118 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:300517 CAPLUS  
 DOCUMENT NUMBER: 134:316135  
 TITLE: Formulation of substituted benzimidazoles  
 INVENTOR(S): Bruells, Mikael  
 PATENT ASSIGNEE(S): AstraZeneca Ab, Swed.  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001028558	A1	20010426	WO 2000-SE1992	20001013
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 236372	B	20050721	TW 2000-89121063	20001009
CA 2425199	A1	20010426	CA 2000-2425199	20001013
BR 2000014895	A	20020618	BR 2000-14895	20001013
TR 200201103	T2	20020821	TR 2002-1103	20001013
EP 1274427	A1	20030115	EP 2000-973295	20001013
EP 1274427	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
HU 2002003121	A2	20030128	HU 2002-3121	20001013
HU 2002003121	A3	20040128		
JP 2003512327	T	20030402	JP 2001-531388	20001013
EE 200200204	A	20030415	EE 2002-204	20001013
NZ 518155	A	20040730	NZ 2000-518155	20001013
AU 782866	B2	20050901	AU 2001-11823	20001013
AT 304851	T	20051015	AT 2000-973295	20001013
ES 2246903	T3	20060301	ES 2000-973295	20001013
RU 2286782	C2	20061110	RU 2002-110328	20001013
US 6730685	B1	20040504	US 2000-701714	20001201
BG 106602	A	20021229	BG 2002-106602	20020410
ZA 2002002905	A	20030714	ZA 2002-2905	20020412
MX 2002PA03900	A	20020930	MX 2002-PA3900	20020418
NO 2002001860	A	20020521	NO 2002-1860	20020419
KR 785603	B1	20071214	KR 2002-705112	20020420
HK 1051142	A1	20060203	HK 2003-103347	20030513
PRIORITY APPLN. INFO.:			SE 1999-3831	A 19991022
			WO 2000-SE1992	W 20001013

OTHER SOURCE(S): MARPAT 134:316135  
 AB The present invention relates to stable liquid formulations that comprise a water free or almost water free, polyethylene glycol solution of sodium or potassium salt of substituted benzimidazoles or their enantiomers as H<sub>+</sub>, K<sub>+</sub>-ATPase inhibitors. Alternatively, the sodium or potassium salt of the H<sub>+</sub>, K<sub>+</sub>-ATPase inhibitor may be formed in situ in the polyethylene glycol solution by adding sodium or potassium hydroxide together with the active compound. The invention is also directed to the preparation of the claimed formulation, use of the stable liquid formulations in medicine and in the treatment of gastrointestinal diseases. For example, omeprazole sodium

was formulated in a liquid formulation containing PEG 400. The solution was not sensitive to oxygen in the head space nor to a small water content. The high solubility of omeprazole sodium in PEG is favorable regarding the formulation aspects of a parenteral pharmaceutical product.

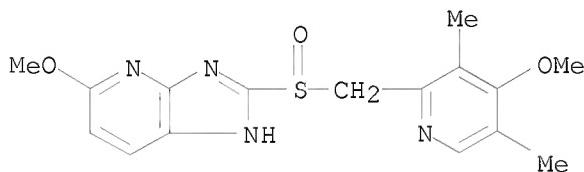
IT 335299-59-7 335299-60-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(liquid formulations of substituted benzimidazoles as proton pump inhibitors for treatment of gastrointestinal diseases)

RN 335299-59-7 CAPLUS

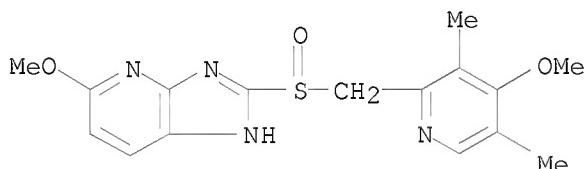
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 335299-60-0 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)



● K

REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 119 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:608578 CAPLUS  
 DOCUMENT NUMBER: 133:203023  
 TITLE: Nitrosated and nitrosylated proton pump inhibitors,  
       compositions and methods of use  
 INVENTOR(S): Garvey, David S.; Letts, L. Gordon; Tam, Sang William;  
               Wang, Tiansheng; Richardson, Stewart K.  
 PATENT ASSIGNEE(S): Nitromed, Inc., USA  
 SOURCE: PCT Int. Appl., 100 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050037	A1	20000831	WO 2000-US2524	20000225
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362930	A1	20000831	CA 2000-2362930	20000225
AU 2000032196	A	20000914	AU 2000-32196	20000225
AU 781133	B2	20050505		
EP 1154771	A1	20011121	EP 2000-910039	20000225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537336	T	20021105	JP 2000-600648	20000225
US 6852739	B1	20050208	US 2000-512829	20000225
US 20040266828	A1	20041230	US 2004-866303	20040614
US 7332505	B2	20080219		
AU 2005202553	A1	20050707	AU 2005-202553	20050610
PRIORITY APPLN. INFO.:			US 1999-122111P	P 19990226
			US 2000-512829	A3 20000225
			WO 2000-US2524	W 20000225

OTHER SOURCE(S): MARPAT 133:203023

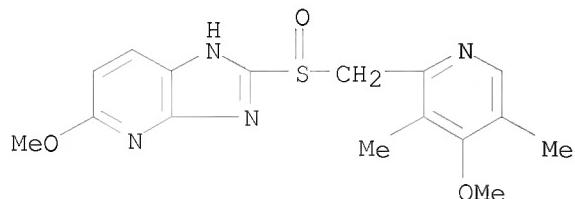
AB The invention describes nitrosated and/or nitrosylated proton pump inhibitor compds., as well as compns. comprising  $\geq 1$  proton pump inhibitor compound that is optionally substituted with  $\geq 1$  NO and/or NO<sub>2</sub> group, and, optionally,  $\geq 1$  compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, or is a substrate for nitric oxide synthase, and/or  $\geq 1$  nonsteroidal antiinflammatory drug, selective COX-2 inhibitor antacid, bismuth-containing reagent, acid-degradable antibacterial compound, and mixts. thereof. The invention also provides methods for treating and/or preventing gastrointestinal disorders; facilitating ulcer healing; decreasing the recurrence of ulcers; improving gastroprotective properties, anti-Helicobacter pylori properties or antacid properties of proton pump inhibitors; decreasing or reducing the gastrointestinal toxicity associated with the use of nonsteroidal antiinflammatory compds.; and treating Helicobacter pylori and viral infections. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit. Preparation of e.g. nitrosylated lansoprazole is described. Compared to lansoprazole, the nitrosylated lansoprazole significantly inhibited the formation of EtOH/HCl-induced gastric lesions.  
 IT 113712-98-4D, Tenatoprazole, nitrosated and nitrosylated derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nitrosated and nitrosylated proton pump inhibitors, compns., combinations, and methods of use)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 120 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:15181 CAPLUS  
 DOCUMENT NUMBER: 132:64176  
 TITLE: Preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles.  
 INVENTOR(S): Nikolopoulos, Angelo; Schickaneder, Helmut; Kocher, Christian; Murphy, Trevor; Hermann, Gesine  
 PATENT ASSIGNEE(S): Russinsky Limited, Ire.  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000474	A1	20000106	WO 1999-IE55	19990618
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9943877	A	20000117	AU 1999-43877 IE 1998-514 WO 1999-IE55	19990618 A 19980626 W 19990618
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S): GI	CASREACT 132:64176; MARPAT 132:64176			



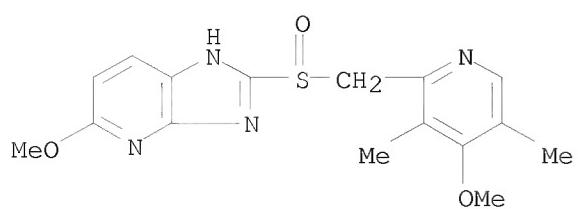
AB  $\text{I}_{\text{k}}\text{M}_{\text{z}}\text{Al}(\text{OR}^5)^{\text{m}}\text{Sn}$  [R1-R3 = H, alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, alkoxy, alkoxyalkoxy, OCH<sub>2</sub>CF<sub>3</sub>; R4 = H, alkyl, PhCH<sub>2</sub>, AcO, PhCH<sub>2</sub>O, trialkylsilyl, neg. charge; R5 = alkyl, aryl, CH<sub>2</sub>CF<sub>3</sub>, CF<sub>3</sub>, CHF<sub>2</sub>, alkylalkoxy; X = halo, NO<sub>2</sub>, SO<sub>3</sub>, OH; M = alkaline earth metal, third main group element, transition metal; S = solvent; k = 1-4; l = 1-3; m = 0-3; n ≥ 0; z = l+m; with a proviso] and  $\text{II}_{\text{k}}\text{M}_{\text{z}}(\text{OR}^5)^{\text{m}}\text{Sn}$  [Y = alkoxy, aryloxy, OCH<sub>2</sub>CF<sub>3</sub>, alkoxyalkoxy, alkylthio, alkylthioalkylthio; z = m; other variables as above], were prepared. Thus, 4-nitro-2,3,5-trimethylpyridine N-oxide was heated in HOAc/Ac<sub>2</sub>O at 20-100° for 1 h to give 88% 2-acetoxyethyl derivative, which was stirred at 10-30° with NaOH in EtOH for 1 h to give 84% 3,5-dimethyl-2-hydroxymethyl-4-nitropyridine (II). II in MeOH was treated with ZnCl<sub>2</sub> and with NaOMe in MeOH to give 100% Zn(II)ClOMe.

IT 113712-98-4P, TU-199

RL: PNU (Preparation, unclassified); PREP (Preparation)  
 (preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

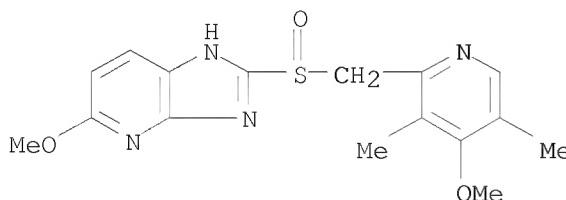


REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 121 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:403179 CAPLUS  
 DOCUMENT NUMBER: 131:208915  
 TITLE: General pharmacological properties of the new proton pump inhibitor ( $\pm$ )-5-methoxy-2-[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine  
 AUTHOR(S): Kakinoki, Bunpei; Ono, Chizuko; Yamazaki, Noriyuki; Chikamatsu, Noriko; Wakatsuki, Daisuke; Uchiyama, Kazuyuki; Morinaka, Yasuhiro  
 CORPORATE SOURCE: Medicinal Research Group II, Kazusa Research Laboratories, Tokyo Tanabe Co., Ltd., Kisarazu, Japan  
 SOURCE: Methods and Findings in Experimental and Clinical Pharmacology (1999), 21(3), 179-187  
 CODEN: MFEPDX; ISSN: 0379-0355  
 PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
**AB** The general pharmacological profiles of the title compound TU-199 on the central nervous system, cardiorespiratory system, autonomic nervous system, gastrointestinal system and renal functions were investigated. TU-199 had no effects on general signs and behavior in mice. TU-199 (300 mg/kg p.o.) decreased locomotor activity 3 h after administration in mice. TU-199 had no effect on pentobarbital-induced hypnosis, analgesic activity and electroshock-induced convulsion in mice, and on rectal temperature in rats. However, TU-199 (300 mg/kg p.o.) showed slight anticonvulsant activity on pentylenetetrazole-induced convulsion in mice. TU-199 had no effect on respiratory rate, blood pressure, heart rate, femoral blood flow and ECG in anesthetized dogs. TU-199 (10-4 M) caused the cumulative concentration-response curve obtained with acetylcholine in isolated guinea pig ileum to shift to the right. However, TU-199 showed no effect on contraction of isolated guinea pig ileum and had no effect on intestinal motility in mice, gastric emptying in rats, bile secretion in rats and carbachol-induced salivary secretion in mice. TU-199 had no effect on urinary volume and excretion of electrolytes in rats. These results suggest that TU-199 does not induce serious adverse effects on the central nervous system, cardiorespiratory system, autonomic nervous system, gastrointestinal system and renal functions with the exception of a decrease in spontaneous motor activity with high doses.  
**IT** 113712-98-4, TU-199  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmacol. properties of proton pump inhibitor TU-199)  
**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 122 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:367805 CAPLUS  
 DOCUMENT NUMBER: 131:96947  
 TITLE: Pharmacokinetic studies of ( $\pm$ )-5-methoxy-2-[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (V). Examination of drug interaction in plasma protein binding  
 AUTHOR(S): Kinbara, Mihoko; Ishiwata, Tomoe; Morotome, Kazuo  
 CORPORATE SOURCE: Kazusa Research Laboratories, Tokyo Tanabe Co., Ltd., Yana Kisarazu, 292-0812, Japan  
 SOURCE: Iyakuhin Kenkyu (1999), 30(3), 128-133  
 CODEN: IYKEDH; ISSN: 0287-0894  
 PUBLISHER: Nippon Koteisho Kyokai  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese

**AB** The present study was conducted to determine the types of protein to which TU-199 binds, and to examine whether 7 drugs (warfarin, diazepam, digitoxin, nifedipine, phenytoin, tolbutamide and propranolol) compete with TU-199 for binding to human plasma protein. In the evaluation of competitive binding, drugs were generally used at about 3 times their maximum plasma concentration (Cmax) obtained after a single oral administration to humans. 1. TU-199 (5  $\mu$ g/mL) binding rates with purified human albumin,  $\alpha_1$ -acidic glycoprotein and  $\gamma$ -globulin were 99.4%, 54.9% and 23.8%, resp. 2. The TU-199 (5  $\mu$ g/mL) binding rate with human plasma protein was 99.7%. 3. Of the 7 drugs tested, tolbutamide significantly decreased TU-199's plasma protein binding rate from 99.7% to 99.3% at 150  $\mu$ g/mL, but caused no significant decrease at 50  $\mu$ g/mL (Cmax). The other 6 drugs had no effect on the binding of TU-199 with plasma protein. 4. TU-199 had no effect on the binding of the 7 drugs with plasma protein.

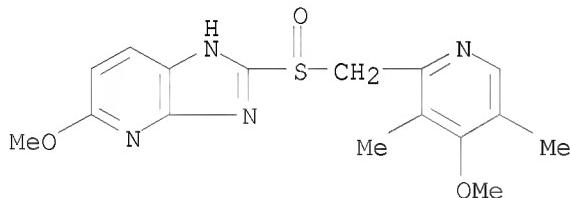
IT 113712-98-4, TU-199

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

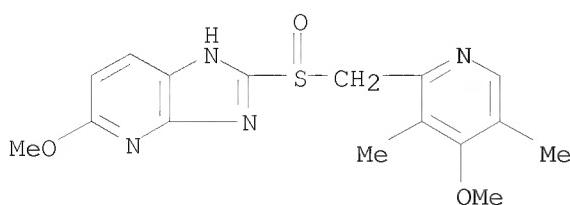
(pharmacokinetic studies of ( $\pm$ )-5-methoxy-2-[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (V). examination of drug interaction in plasma protein binding)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 123 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:367804 CAPLUS  
 DOCUMENT NUMBER: 131:96946  
 TITLE: Pharmacokinetic studies of ( $\pm$ )-5-methoxy-2-[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (IV). Plasma concentration of TU-199 in rats and dogs  
 AUTHOR(S): Saito, Shinko; Sebata, Noriyuki; Ishiwata, Tomoe; Kinbara, Mihoko; Morotome, Kazuo  
 CORPORATE SOURCE: Kazusa Research Laboratories, Tokyo Tanabe Co., Ltd., Yana Kisarazu, 292-0812, Japan  
 SOURCE: Iyakuhin Kenkyu (1999), 30(3), 119-127  
 CODEN: IYKEDH; ISSN: 0287-0894  
 PUBLISHER: Nippon Koteisho Kyokai  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese  
 AB Plasma concns. of TU-199 were determined after oral, i.v. and intraduodenal administration of TU-199 to rats and dogs. 1. After oral administration of TU-199 to non-fasting male rats at a dose of 2.5 mg/kg, the plasma concentration of TU-199 reached a maximum of 2.19  $\mu$ g/mL at 0.26 h, and declined exponentially with a half-life of 1.38 h. The bioavailability was 37.2%. In the case of intraduodenal administration, the bioavailability was 76.6%. 2. After oral administration of TU-199 to male rats at the doses of 2.5, 10, and 40 mg/kg, both Cmax and AUC<sub>0- $\infty$</sub>  appr. closely proportional to the dose. 3. After oral administration of TU-199 to male rats, the plasma concentration was higher and the bioavailability was about twice as high in fasting rats as compared with non-fasting rats. 4. After oral administration of TU-199 to male rats at a dose of 2.5 mg/kg, once a day for 7 days, the plasma concentration was similar to that after a single dose.  
 5. After oral administration of TU-199 to female rats, the plasma concentration was higher and T<sub>1/2</sub> was longer than in male rats, but bioavailability was similar in both sexes. 6. After oral administration of TU-199 to female dogs, the plasma concentration of TU-199 was similar to that in male dogs. 7. After oral administration of TU-199 to fasting male and female dogs at a dose of 2.5 mg/kg, the plasma concentration of TU-199 reached a maximum of 10.11  $\mu$ g/mL at 0.53 h, and declined exponentially with the half-life of 1.57 h. The bioavailability was 78.3%.  
 IT 113712-98-4, TU-199  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (pharmacokinetic studies of ( $\pm$ )-5-methoxy-2-[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (IV). Plasma concentration of TU-199 in rats and dogs)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl- (CA INDEX NAME)



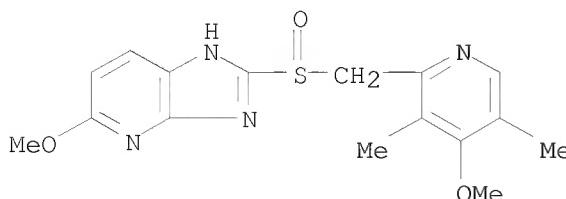


L3 ANSWER 124 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:347657 CAPLUS  
 DOCUMENT NUMBER: 131:125259  
 TITLE: The long-lasting effect of TU-199, a novel H<sub>+</sub>,K<sub>+</sub>-ATPase inhibitor, on gastric acid secretion in dogs  
 AUTHOR(S): Uchiyama, Kazuyuki; Wakatsuki, Daisuke; Kakinoki, Bunpei; Takeuchi, Yoshishige; Araki, Tsutomu; Morinaka, Yasuhiro  
 CORPORATE SOURCE: Medicinal Research Group II, Kazusa Research Laboratories, Tokyo Tanabe Company Limited, Chiba, 292-0812, Japan  
 SOURCE: Journal of Pharmacy and Pharmacology (1999), 51(4), 457-464  
 CODEN: JPPMAB; ISSN: 0022-3573  
 PUBLISHER: Royal Pharmaceutical Society of Great Britain  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

**AB** We have used Heidenhain-pouch dogs to investigate the effects of (±)-5-methoxy-2-[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine (TU-199), an imidazopyridine derivative, on gastric acid secretion stimulated by histamine, carbachol and tetragastrin. We have also investigated the duration of the antisecretory effect of TU-199 using a measurement of intragastric pH for 24 h in gastric fistula dogs whose gastric acid secretion was stimulated by histamine. Single oral administration of TU-199 (0.1, 0.2 and 0.4 mg kg<sup>-1</sup>) dose-dependently suppressed gastric acid secretion stimulated by histamine infusion. Oral treatment with TU-199 (0.2, 0.4 and 0.8 mg kg<sup>-1</sup>) also dose-dependently inhibited acid secretion induced by carbachol and tetragastrin. The inhibitory effect of TU-199 on stimulated gastric acid secretion was more potent than that of omeprazole, a well-known H<sub>+</sub>,K<sub>+</sub>-ATPase inhibitor in dogs. Repeated oral treatment with TU-199 at a dose of 0.2 mg kg<sup>-1</sup> once a day for seven days markedly suppressed histamine-stimulated gastric acid secretion in dogs. This inhibitory effect of TU-199 reached a maximum level after three or four doses and was more pronounced than that of omeprazole or lansoprazole. In gastric fistula dogs, the duration of intragastric pH-elevation by administration of TU-199 (0.3 mg kg<sup>-1</sup>) was much longer than that of omeprazole (0.6 mg kg<sup>-1</sup>) or lansoprazole (0.9 mg kg<sup>-1</sup>). The IC<sub>50</sub> values (doses resulting in 50% inhibition) of TU-199, omeprazole and lansoprazole with regard to H<sub>+</sub>,K<sub>+</sub>-ATPase activity in dog gastric mucosal microsomes were 8.6, 8.8 and 9.9 μM, resp. These results indicate that TU-199 inhibits gastric acid secretion via suppression of a H<sub>+</sub>,K<sub>+</sub>-ATPase activity. Our findings also suggest that TU-199 might have potent and long-lasting effects on gastric acid secretion.

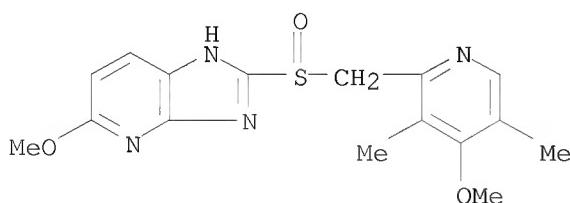
**IT** 113712-98-4, TU-199  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (ATPase inhibitor TU-199 long-lasting effect on gastric acid secretion)

**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

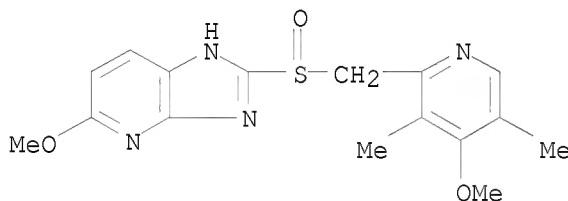
L3 ANSWER 125 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:319622 CAPLUS  
 DOCUMENT NUMBER: 131:139269  
 TITLE: Effects of TU-199, a novel H<sub>+</sub>, K<sub>+</sub>-ATPase inhibitor, on gastric acid secretion and gastroduodenal ulcers in rats  
 AUTHOR(S): Uchiyama, Kazuyuki; Wakatsuki, Daisuke; Kakinoki, Bunpei; Takeuchi, Yoshishige; Araki, Tsutomu; Morinaka, Yasuhiro  
 CORPORATE SOURCE: Medicinal Research Group II, Kazusa Research Laboratories, Tokyo Tanabe Co. Ltd., Chiba, Japan  
 SOURCE: Methods and Findings in Experimental and Clinical Pharmacology (1999), 21(2), 115-122  
 CODEN: MFEPPDX; ISSN: 0379-0355  
 PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB We studied the effects of TU-199, a novel H<sub>+</sub>, K<sub>+</sub>-ATPase inhibitor, on gastric acid secretion and gastroduodenal lesions in rats in comparison with those of omeprazole. TU-199 inhibited hog gastric H<sub>+</sub>,K<sub>+</sub>-ATPase activity and its potency was almost equal to that of omeprazole (IC<sub>50</sub> = 6.2 and 4.2 μM, resp.). In vivo, TU-199 inhibited basal gastric acid secretion in pylorus-ligated rats in a dose-dependent manner (ED<sub>50</sub> = 4.2 mg/kg p.o.). In gastric fistula rats, TU-199 (2.5 and 5 mg/kg i.d.) also inhibited gastric acid secretion stimulated by histamine, carbachol or tetragastrin. Furthermore, TU-199 prevented the formation of water-immersion restraint stress-, pylorus ligation- and indomethacin-induced gastric lesions, and mepirizole-induced duodenal ulcer in rats. These antisecretory and antiulcer effects of TU-199 were 2-4 times more potent than those of omeprazole. The results demonstrate that TU-199 potently inhibits the acid secretion and formation of ulcers in various exptl. rat models via an inhibition of H<sub>+</sub>, K<sub>+</sub>-ATPase. These findings suggest that TU-199 may have a beneficial effect against peptic ulcer disease in humans.  
 IT 113712-98-4, TU-199  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (Effects of TU-199, a novel H<sub>+</sub>, K<sub>+</sub>-ATPase inhibitor, on gastric acid secretion and gastroduodenal ulcers in rats)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



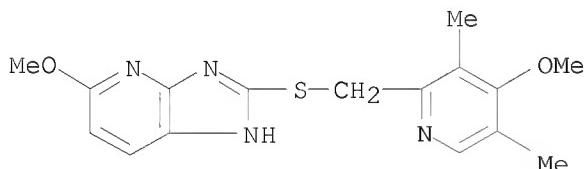
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 126 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:87682 CAPLUS  
 DOCUMENT NUMBER: 130:320329  
 TITLE: Pharmacokinetic studies of TU-199. (III). Metabolism  
       in rats and dogs  
 AUTHOR(S): Kurosawa, Satoshi  
 CORPORATE SOURCE: Tokai Res. Laboratories, Daiichi Pure Chemicals Co.,  
                   Ltd., Japan  
 SOURCE: Yakuri to Chiryo (1998), 26(12), 2017-2032  
 CODEN: YACHDS; ISSN: 0386-3603  
 PUBLISHER: Raifu Saiensu Shuppan K.K.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese

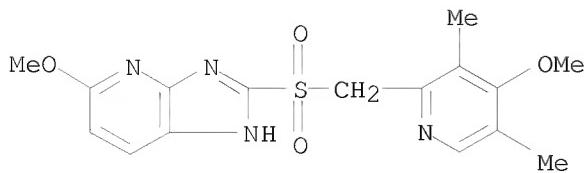
AB The pharmacokinetics of TU-199 were studied in rats and dogs following  
       oral and i.v. administration. The results are discussed with regard to  
       the metabolic pass way of TU-199.  
 IT 113712-98-4, TU-199  
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
       (Biological study); PROC (Process)  
       (pharmacokinetic studies of TU-199. (III). metabolism in rats and dogs)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-  
       pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



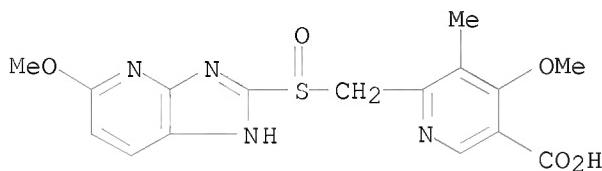
IT 113713-24-9 223713-77-7 223713-78-8  
       223713-79-9 223713-80-2 223713-84-6  
       223713-85-7 223713-86-8  
     RL: BPR (Biological process); BSU (Biological study, unclassified); MFM  
       (Metabolic formation); BIOL (Biological study); FORM (Formation,  
       nonpreparative); PROC (Process)  
       (pharmacokinetic studies of TU-199. (III). metabolism in rats and dogs)  
 RN 113713-24-9 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-  
       pyridinyl)methyl]thio]- (CA INDEX NAME)



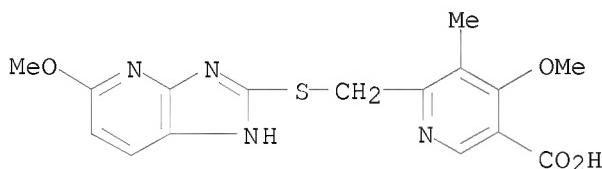
RN 223713-77-7 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-  
       pyridinyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



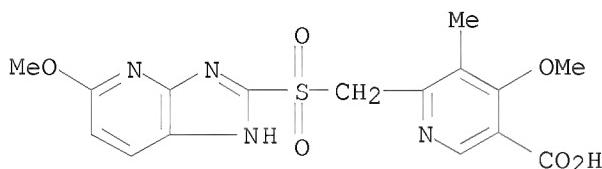
RN 223713-78-8 CAPLUS  
CN 3-Pyridinecarboxylic acid, 4-methoxy-6-[[5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)sulfinyl]methyl]-5-methyl- (9CI) (CA INDEX NAME)



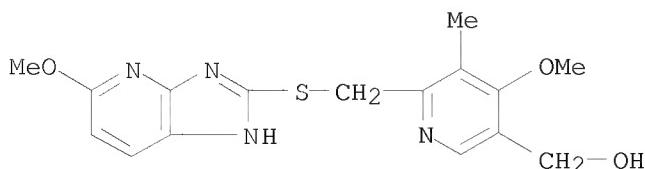
RN 223713-79-9 CAPLUS  
CN 3-Pyridinecarboxylic acid, 4-methoxy-6-[[5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl]thio]methyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 223713-80-2 CAPLUS  
CN 3-Pyridinecarboxylic acid, 4-methoxy-6-[[5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)sulfonyl]methyl]-5-methyl- (9CI) (CA INDEX NAME)

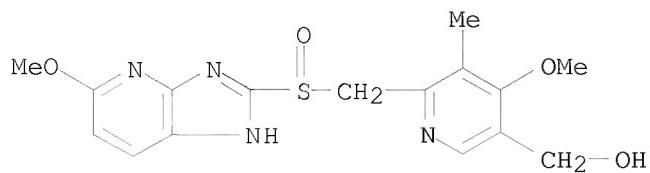


RN 223713-84-6 CAPLUS  
CN 3-Pyridinemethanol, 4-methoxy-6-[[5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl]thio]methyl]-5-methyl- (9CI) (CA INDEX NAME)



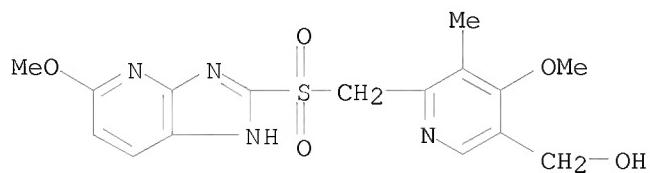
RN 223713-85-7 CAPLUS

CN 3-Pyridinemethanol, 4-methoxy-6-[(5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)sulfinyl]methyl- (9CI) (CA INDEX NAME)

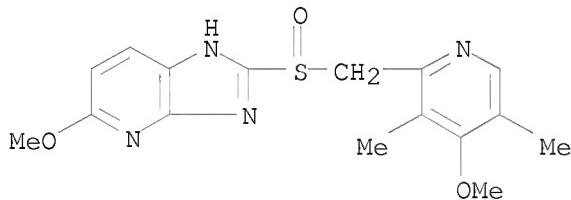


RN 223713-86-8 CAPLUS

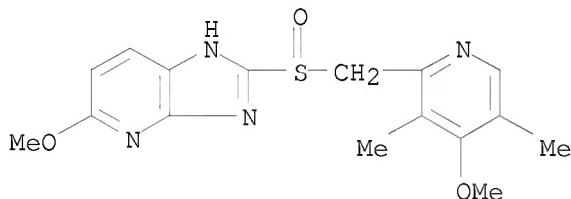
CN 3-Pyridinemethanol, 4-methoxy-6-[(5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)sulfonyl]methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 127 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:87680 CAPLUS  
 DOCUMENT NUMBER: 130:305983  
 TITLE: Pharmacokinetic studies of TU-199. (II). Absorption,  
     distribution and excretion after multiple  
     administration to rats and transfer into fetus and  
     milk  
 AUTHOR(S): Esumi, Yoshio  
 CORPORATE SOURCE: Tokai Res. Laboratories, Daiichi Pure Chemicals Co.,  
     Ltd., Japan  
 SOURCE: Yakuri to Chiryo (1998), 26(12), 2007-2016  
 CODEN: YACHDS; ISSN: 0386-3603  
 PUBLISHER: Raifu Saiensu Shuppan K.K.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese  
 AB The pharmacokinetics of TU-199 were studied in male and pregnant female  
     rats following repeated and single administration, resp., using  
     <sup>14</sup>C-TU-199. The results are discussed with regard to tissue distribution  
     and excretion and transfer into the fetus and milk during pregnancy.  
 IT 113712-98-4, TU-199  
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
         (Biological study); PROC (Process)  
         (pharmacokinetic studies of TU-199. (II). Absorption, distribution and  
         excretion after multiple administration to rats and transfer into fetus  
         and milk)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-  
     pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 128 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:87677 CAPLUS  
 DOCUMENT NUMBER: 130:305982  
 TITLE: Pharmacokinetic studies of TU-199. (I). Absorption,  
       distribution and excretion after single administration  
       to rats and dogs  
 AUTHOR(S): Esumi, Yoshio  
 CORPORATE SOURCE: Tokai Res. Laboratories, Daiichi Pure Chemicals Co.,  
                   Ltd., Japan  
 SOURCE: Yakuri to Chiryo (1998), 26(12), 1993-2005  
 CODEN: YACHDS; ISSN: 0386-3603  
 PUBLISHER: Raifu Saiensu Shuppan K.K.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese  
 AB The pharmacokinetics of TU-199 e.g. absorption, distribution and excretion  
       were studied in rats and dogs following oral or i.v. administration of  
       14C-TU-199.  
 IT 113712-98-4, TU-199  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
     (Biological study); PROC (Process)  
     (pharmacokinetic studies of TU-199. (I). Absorption, distribution and  
     excretion after single administration to rats and dogs)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-  
       pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 129 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:87668 CAPLUS  
 DOCUMENT NUMBER: 130:306367  
 TITLE: Mutagenicity study on TU-199  
 AUTHOR(S): Daigo, Hideo; Baba, Katsuyuki; Morotome, Kazuo  
 CORPORATE SOURCE: Safety Evaluation Group Kazusa Res. Laboratories R & D  
     Div., Tokyo Co., Ltd., Kisarazu shi, Chiba, 292-0812,  
     Japan  
 SOURCE: Yakuri to Chiryo (1998), 26(12), 1979-1992  
 CODEN: YACHDS; ISSN: 0386-3603  
 PUBLISHER: Raifu Saiensu Shuppan K.K.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese

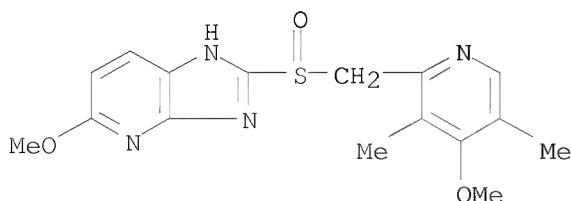
AB A reverse mutation study using bacteria, a chromosomal aberration study using CHKL/IU cell and micronucleus test on TU-199, an anti-ulcer drug under development were conducted in mice. A reverse mutation study was performed using 5 bacterial strains (*Salmonella typhimurium* TA98, TA100, TA1535, TA1537 and *Escherichia coli* WP2 *uvrA*) by the direct method and the metabolic activation method by including a pre-incubation process. TU-199 did not increase the number of revertant colonies of any strain compared to the neg. controls in either the direct method or the metabolic activation method, indicating that it has no potential to induce reverse mutation. A chromosomal aberration study was performed using a Chinese hamster lung fibroblast cell line (CHL/IU) by the direct method and the metabolic activation method. After treatment with TU-199, the incidence of cells with structurally aberrant chromosomes was less than 5% in both the direct metabolic activation methods, indicating that TU-199 does not induce chromosomal aberration. A micronucleus test was performed by oral administration in 8-wk-old male ICR mice. No significant increase was observed in the incidence of micronuclei in polychromatic or normochromatric erythrocytes after administration of TU-199, indicating that TU-199 does not induce micronuclei under the conditions of the present study. Thus, from the results of these three test, we concluded that TU-199 does not cause mutation.

IT 113712-98-4, TU-199

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
     (mutagenicity study on TU-199)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 130 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1999:87615 CAPLUS  
DOCUMENT NUMBER: 130:306366  
TITLE: Teratological study by oral administration of TU-199  
in rabbits  
AUTHOR(S): Umemura, Tatsuo; Ishikura, Toshikazu; Morohashi,  
Tetsuo; Tamaki, Yasushi; Morolome, Kazuo  
CORPORATE SOURCE: Kannami Lab. Bozo Res. Center Inc., Kannami-cho,  
Tagata-gun, Shizuoka, 419-0101, Japan  
SOURCE: Yakuri to Chiryo (1998), 26(12), 1969-1978  
CODEN: YACHDS; ISSN: 0386-3603  
PUBLISHER: Raifu Saiensu Shuppan K.K.  
DOCUMENT TYPE: Journal  
LANGUAGE: Japanese

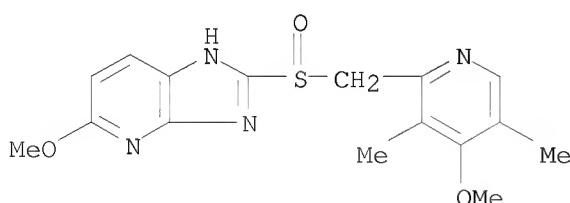
AB A study was conducted in which TU-199 was administered orally to New Zealand White (Kbl:NZW) SPF rabbits, at dose levels of 2, 10, 5 and 250 mg/kg, once daily for a period of 13 days from day 6 to day 18 of gestation, which corresponds to the period of fetal organogenesis, and the effects on dams and their fetuses were examined 1) Dams: In the dams, no effects from administration of the test article were observed in the 10 mg/kg and below groups. In the 50 and 250 mg/kg groups, a decrease in or depressed body weight gains were seen during the administration period and food consumption was also low. In the 250 mg/kg group, there was a decrease in the amount of feces and the excretion of reddish brown urine was noted in many animals. There were also some animals which aborted. In addition, in the same group, stomach wts. showed significantly high values. However, in the macropathol. findings and findings at Cesarean section, no effects from administration of the test article were observed 2) Fetuses: For the fetuses, no effects from administration of the test article were seen on survival and growth in any of the treatment groups and no teratogenic effects were observed Based on the above results and under the conditions of this study, the no-effect dose level for TU-199 was determined to be 10 mg/kg for general toxicol. effects on dams, 50 mg/kg for reproduction, and 250 mg/kg for effects on fetuses, and at 250 mg/kg it was judged to have no teratogenic effects.

IT 113712-98-4, TU-199

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(teratol. study by oral administration of TU-199 in rabbits)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 131 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:87587 CAPLUS  
 DOCUMENT NUMBER: 130:306365  
 TITLE: Teratological study by oral administration of TU 199  
 in rats  
 AUTHOR(S): Ishida, Shigeru; Fujioka, Minoru; Morohashi, Tetsuo;  
 Tamaki, Yasushi; Morotome, Kazuo  
 CORPORATE SOURCE: Gotemba Lab. Bozo Res. Center Inc., Gotemba City  
 Shizuoka, 412-0039, Japan  
 SOURCE: Yakuri to Chiryo (1998), 26(12), 1951-1968  
 CODEN: YACHDS; ISSN: 0386-3603  
 PUBLISHER: Raifu Saiensu Shuppan K.K.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese

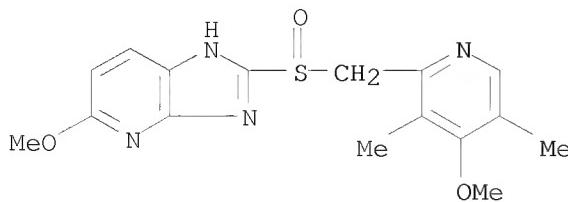
AB A teratol. study was conducted in which TU-199 was administered orally by gavage to Crj:CD (SD) SPF rats, at dose levels of 4, 20, 100 and 500 mg/kg, for an 11-day period from day 7-17 of gestation, and the effects on dams, fetuses and newborn pups were examined 1) Dams: In the general condition, reddish brown urine, thought to be discoloration caused by metabolites, was observed in the 500 mg/kg group. In the body weight and food consumption, mildly depressed body weight gains and a decrease in food consumption were seen in the 500 mg/kg group during the administration period. In the macropathol. findings and absolute organ wts. at Cesarean section and weaning, no effects from administration of the test article were observed 2) Dams reproductive performance: There were no premature or aborted birth in any of the test groups and no effects from administration of the test article were observed in the Cesarean section data or parturition and lactation condition. 3) Fetuses: There was no decrease in the implantation index and no increase in the ratio of dead/resorbed fetuses in any of the test groups. In addition, there were no significant differences in the body weight of the live fetuses in each test group and no effects from administration of the test article were observed in the external, visceral and skeletal exams. 4) Newborn pups: No effects from administration of the test article were seen in any of the test groups in the external observation, body weight, viability, external differentiation, visceral examination of stillborn pups and pups that died, macropathol. findings at each stage, functional, behavioral and reproductive performance tests. Based on the above results and under the conditions of this study, it was determined that the general toxicol. no-effect dose level for dams was 100 mg/kg and the no-effect dose level for dams reproductive performance and for fetuses and newborn pups was 500 mg/kg.

IT 113712-98-4, TU 199  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(teratol. study by oral administration of TU 199 in rats)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

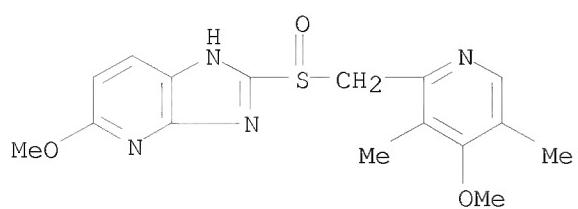


L3 ANSWER 132 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1999:87538 CAPLUS  
DOCUMENT NUMBER: 130:306364  
TITLE: Thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in beagle dogs  
AUTHOR(S): Okamoto, Masami; Takahashi, Eiji; Akai, Hiroyuki; Tamura, Kazutoshi; Tagishi, Soichiro; Morohashi, Tetuo; Morotome, Kazuo  
CORPORATE SOURCE: Kannami Lab. Bozo Res. Center Inc., Kannami-cho, Tagata-gun, Shizuoka, 419-0101, Japan  
SOURCE: Yakuri to Chiryo (1998), 26(12), 1923-1949  
CODEN: YACHDS; ISSN: 0386-3603  
PUBLISHER: Raifu Saiensu Shuppan K.K.  
DOCUMENT TYPE: Journal  
LANGUAGE: Japanese

AB A repeat administration toxicity study was conducted in which TU-199 was administered orally by gavage, at dose levels of 0.5, 5, 50 and 500 mg/kg to groups of 6 male and 6 female beagle dogs, daily for 13 wk. For 2 males and 2 females in each group, the drug was withdrawn for 5 wk and the reversibility examined. There were no deaths in males or females in the control group nor in any of the treatment groups. In the general condition, a high frequency of vomiting was seen in males and females in the 500 mg/kg group in week 1 or administration, and stool mixed with the test article was seen during the administration period in males and females in the 50 mg/kg and above groups. In the blood chemical, a high value for urea nitrogen was seen in males in the 500 mg/kg group. In the measurement of serum gastrin concentration, high values were seen in males and females in the 5 mg/kg and above groups. In the pathol. examination, changes in the stomach were seen in males and females in the 5 mg/kg and above groups and a change in the thyroid in males and females in the 500 mg/kg group. In the stomach, dilation and hypertrophy of the mucous membrane in the body of the stomach were seen macroscopically, and histol., hypertrophy together with edema and fibrosis of the mucous membrane in the corpus ventriculi, and increase in parietal cells, vacuolation of the parietal cells, dilation of the fundic glands and partial epithelial necrosis in the fundic glands were seen. In the thyroid, hypertrophy of the follicular epithelial cells was seen. No changes thought to be effects from administration of the test article were seen in the body weight, food consumption, urinalysis, hematol., ophthalmol. or electrocardiograms. In the recovery study with withdrawal of the drug for 5 wk, changes were seen only in the stomach and the other changes seen during the administration period were not observed. The changes in the stomach were, dilation and hypertrophy of the mucous membrane in the body of the stomach seen macroscopically in the 5 mg/kg and above groups, but histol., only a slight increase in parietal cells was seen in the 50 and 500 mg/kg groups, and the change was considered to be reversible. Based on the above results, the no-effect dose level of TU-199 in a 13 wk repeat administration toxicity study by oral administration in beagle dogs was judged to be 0.5 mg/kg day.

IT 113712-98-4, TU-199  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in beagle dogs)

RN 113712-98-4 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

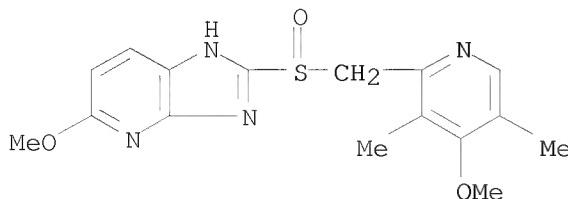


L3 ANSWER 133 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:87487 CAPLUS  
 DOCUMENT NUMBER: 130:306363  
 TITLE: Thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in rats  
 AUTHOR(S): Morohashi, Tetsuo; Tagishi, Soichiro; Sakurada, Hiroshi; Sebata, Noriyuki; Morotome, Kazuo  
 CORPORATE SOURCE: Safety Evaluation Group Kazusa Res. Laboratories R & D Div., Tokyo Tanabe Co., Ltd., Kisarazu-shi, Chiba, 292-0812, Japan  
 SOURCE: Yakuri to Chiryo (1998), 26(12), 1897-1922  
 CODEN: YACHDS; ISSN: 0386-3603  
 PUBLISHER: Raifu Saiensu Shuppan K.K.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese

**AB** A short-term oral toxicity study of TU-199, which is expected to be useful as an anti-peptic ulcer drug, was conducted using rats as a part of its safety evaluation program. TU-199 was orally administered at 10, 30, 100 and 500 mg/kg for 13 wk. Reversibility was evaluated after a 5-wk drug-free rest period. No animal died during the study period and no change attributable to the test material was observed in body weight or food consumption. In the observation of general symptoms and urinalysis, males given 100 mg/kg or greater doses and females given 500 mg/kg showed red-brown urine, which was thought to reflect the color of metabolites. Changes attributable to the test material were observed mainly in the stomach, liver and thyroid. Regarding the stomach, males and females from all treated groups showed increases in weight and eosinophilia of secretory granules associated with hypertrophy of chief cells, changes which were thought to be due to pharmacol. activity of the drug. Males given 100 mg/kg or greater doses and females given 110 mg/kg or greater doses sporadically showed slight single-cell necrosis in the chief cell region. Males given 30 mg/kg or greater doses and females given 100 mg/kg or greater doses showed increases in liver weight and changes such as decreases in transaminase levels and increases in total cholesterol levels. Males and females given 500 mg/kg showed decreases in thyroid colloid. Males given 500 mg/kg also showed decreases in T3 levels and slight anemia. These changes were reversed or showed a tendency to reversal during a 5-wk drug-free rest period, indicating that they are reversible. In conclusion, the toxicol. no-observed effect level in males and females were thought to be 30 mg/kg and 10 mg/kg or below because single-cell necrosis were not observed in the chief cell region.

**IT** 113712-98-4, TU-199  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in rats)

**RN** 113712-98-4 CAPLUS  
**CN** 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)





L3 ANSWER 134 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1996:171958 CAPLUS  
 DOCUMENT NUMBER: 124:212082  
 TITLE: Multiple unit pharmaceutical preparations containing  
       proton pump inhibitor  
 INVENTOR(S): Bergstrand, Pontus John Arvid; Loevgren, Kurt Ingmar  
 PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9601624	A1	19960125	WO 1995-SE678	19950607
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2170644	A1	19960125	CA 1995-2170644	19950607
CA 2170995	A1	19960126	CA 1995-2170995	19950607
AU 9529938	A	19960209	AU 1995-29938	19950607
AU 695971	B2	19980827		
EP 723437	A1	19960731	EP 1995-926055	19950607
EP 723437	B1	20040908		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1134667	A	19961030	CN 1995-190816	19950607
CN 1134668	A	19961030	CN 1995-190819	19950607
JP 09502740	T	19970318	JP 1996-504249	19950607
JP 3878669	B2	20070207		
HU 75934	A2	19970528	HU 1996-574	19950607
BR 9506028	A	19971014	BR 1995-6028	19950607
EE 3292	B1	20001016	EE 1996-32	19950607
PL 180598	B1	20010330	PL 1995-313388	19950607
RU 2166935	C2	20010520	RU 1996-107040	19950607
SK 283841	B6	20040302	SK 1996-300	19950607
EP 1452172	A2	20040901	EP 2004-11147	19950607
EP 1452172	A3	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
AT 275396	T	20040915	AT 1995-926055	19950607
CZ 294380	B6	20041215	CZ 1996-730	19950607
PT 723437	T	20041231	PT 1995-926055	19950607
ES 2227556	T3	20050401	ES 1995-926055	19950607
TW 421599	B	20010211	TW 1995-84106116	19950615
IN 1995DE01121	A	20050311	IN 1995-DE1121	19950616
IN 1995DE01122	A	20050311	IN 1995-DE1122	19950616
US 5753265	A	19980519	US 1995-464774	19950622
ZA 9505546	A	19960108	ZA 1995-5546	19950704
ZA 9505547	A	19960108	ZA 1995-5547	19950704
IL 114447	A	20020912	IL 1995-114447	19950704
FI 9601058	A	19960307	FI 1996-1058	19960307
FI 9601059	A	19960307	FI 1996-1059	19960307
NO 9600948	A	19960307	NO 1996-948	19960307
NO 316863	B1	20040607		
HK 1008298	A1	20050218	HK 1998-109226	19980717
			SE 1994-2431	A 19940708

PRIORITY APPLN. INFO.:

EP 1995-926055

A3 19950607

WO 1995-SE678

W 19950607

OTHER SOURCE(S): MARPAT 124:212082

AB A new pharmaceutical multiple unit tabletted dosage form containing an acid labile H<sup>+</sup>K<sup>+</sup>-ATPase inhibitor or an alkaline salt thereof or one of its single enantiomers or an alkaline salt thereof is claimed. Tablet core containing lansoprazole 400, sugar sphere seeds 400, HPMC 82, Na lauryl sulfate 3, and water 1600 were coated with a separating layer in a fluid bed apparatus containing

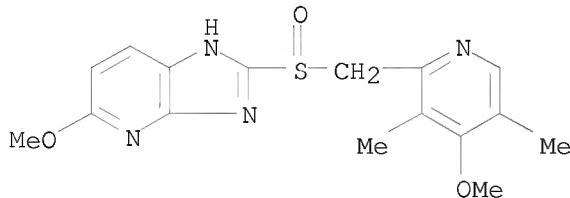
talc and Mg stearate and HPMC. An enteric coating solution cong. methacrylic acid copolymer and polysorbate and glycerides was sprayed onto the pellets covered with separating layer in a fluid bed apparatus Enteric coating layer pellets 82 and microcryst. cellulose 191 g were mixed and compressed into tablets.

IT 113712-98-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(multiple unit pharmaceutical preps. containing proton pump inhibitor)

RN 113712-98-4 CAPLUS

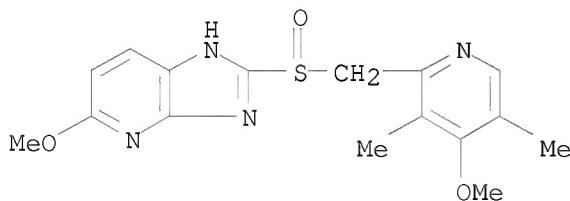
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 135 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:753867 CAPLUS  
 DOCUMENT NUMBER: 123:179490  
 TITLE: Stabilized preparations containing antiulcer agents  
       and inorganic salts  
 INVENTOR(S): Matsushita, Tomohisa; Hashimoto, Akio  
 PATENT ASSIGNEE(S): Tokyo Tanabe Co, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07157430	A	19950620	JP 1994-242687	19941006
PRIORITY APPLN. INFO.:			JP 1994-242687	A 19941006
			JP 1993-254048	19931012

- AB Stable preps. contain acid-labile antiulcer 2-[(2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridines and basic inorg. salts as stabilizers. TU-199 (1 g) was mixed with 1 g Al(OH)<sub>3</sub> gel and left at 40° and 75% relative humidity for 2 wk to show no discoloration.  
 IT 113712-98-4, TU 199  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (stabilization of antiulcer imidazopyridines by inorg. basic salts)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L3 ANSWER 136 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:677361 CAPLUS  
 DOCUMENT NUMBER: 123:65832  
 TITLE: Tablet containing enteric granules  
 INVENTOR(S): Matsushita, Tomohisa; Hashimoto, Mitsuo  
 PATENT ASSIGNEE(S): Tokyo Tanabe Co. Ltd., Japan  
 SOURCE: PCT Int. Appl., 13 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510264	A1	19950420	WO 1994-JP1675	19941006
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2173506	A1	19950420	CA 1994-2173506	19941006
CA 2173506	C	20060509		
AU 9478222	A	19950504	AU 1994-78222	19941006
AU 683092	B2	19971030		
EP 723777	A1	19960731	EP 1994-929012	19941006
EP 723777	B1	20020703		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 219931	T	20020715	AT 1994-929012	19941006
PT 723777	T	20021129	PT 1994-929012	19941006
ES 2179079	T3	20030116	ES 1994-929012	19941006
JP 3710473	B2	20051026	JP 1995-511580	19941006
US 5798120	A	19980825	US 1996-624510	19960405
PRIORITY APPLN. INFO.:			JP 1993-254049	A 19931012
			WO 1994-JP1675	W 19941006

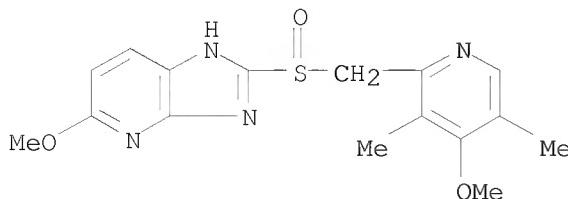
AB A tablet comprises enteric granules prepared by tableting a mixture of enteric granules containing a basis with at least one member selected from the group consisting of synthetic hydrotalcite, dried aluminum hydroxide gel, a coppt. of aluminum hydroxide with sodium hydrogencarbonate, aluminum magnesium hydroxide, synthetic aluminum silicate and dihydroxyaluminum aminoacetate. As compared with the conventional tablets containing coated granules, this tablet has the following advantages: the content of enteric granules is increased by using a specified filler; the basis is rapidly dispersed in the granules; the granules have drug-release ability and acid resistance comparable tablet has a high strength. The technique of preparing a tablet having a high enteric granule content has merits of an improved administrability due to a reduced size of the tablet and the applicability to other drugs.

IT 113712-98-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Tablet containing enteric granules comprising hydrotalcite or other substances)

RN 113712-98-4 CAPLUS

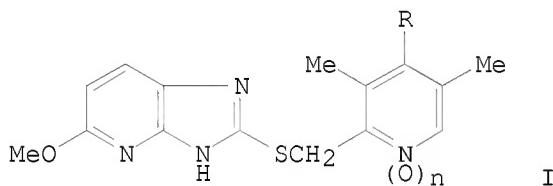
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)





L3 ANSWER 137 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:164168 CAPLUS  
 DOCUMENT NUMBER: 120:164168  
 TITLE: Preparation of 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine and its intermediates  
 INVENTOR(S): Amano, Michiaki; Takeda, Haruki  
 PATENT ASSIGNEE(S): Tokyo Tanabe Co, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05222038	A	19930831	JP 1992-25002	19920212
JP 3158599	B2	20010423		
PRIORITY APPLN. INFO.:			JP 1992-25002	19920212
OTHER SOURCE(S):	CASREACT	120:164168		
GI				



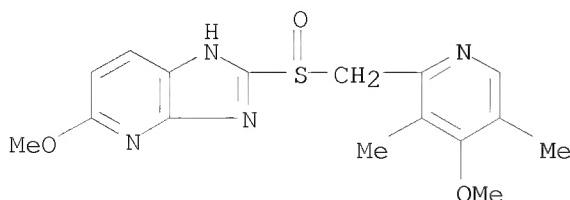
AB The title compound (I; R = MeO, n = 0) (II), useful as an intermediate for a known antiulcer agent, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridine, is prepared. Thus, 4-chloro-2-chloromethyl-3,5-dimethylpyridine N-oxide was stirred with 2-mercaptop-5-methoxyimidazo[4,5-b]pyridine in EtOH at 35° for 2.5 h to give 82% I (R = Cl, n = 1) which was refluxed with NaOMe in MeOH-PhMe for 4 h to give 71% I (R = MeO, n = 1). This was stirred with PCl3 in CH2Cl2 at room temperature for 3 h to give 95% II.

IT 113712-98-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (intermediate for, methoxy[(methoxydimethylpyridyl)methyl]thio]imidazopyridine as)

RN 113712-98-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



IT 153476-64-3P

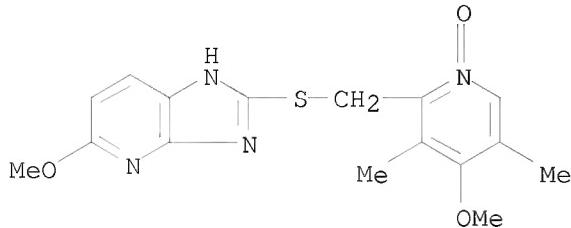
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and reduction of)

RN 153476-64-3 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-1-oxido-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



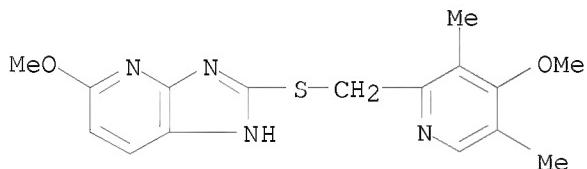
IT 113713-24-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antiulcer agent, intermediates and process for)

RN 113713-24-9 CAPLUS

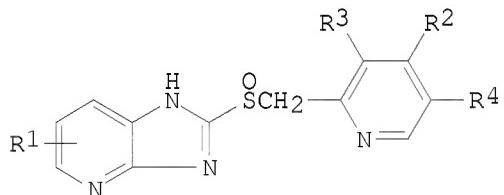
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



L3 ANSWER 138 OF 138 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:150480 CAPLUS  
 DOCUMENT NUMBER: 108:150480  
 ORIGINAL REFERENCE NO.: 108:24716h, 24717a  
 TITLE: Preparation, testing, and formulation of pyridylmethylsulfinylimidazopyridines as ulcer inhibitors  
 INVENTOR(S): Matsuishi, Naoto; Takeda, Haruki; Iizumi, Kenichi;  
 Murakami, Kiyokazu; Hisamitsu, Akira  
 PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 28 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254588	A1	19880127	EP 1987-306570	19870724
EP 254588	B1	19920115		
R: AT, BE, CH, JP 63146882	DE, ES, FR, GB, GR, IT, LI, LU, NL, SE A	19880618	JP 1987-133534	19870530
JP 06043426	B	19940608		
AU 8775628	A	19880128	AU 1987-75628	19870714
AU 598564	B2	19900628		
ZA 8705151	A	19880330	ZA 1987-5151	19870714
CA 1329204	C	19940503	CA 1987-542637	19870721
HU 46000	A2	19880928	HU 1987-3407	19870724
US 4808596	A	19890228	US 1987-77686	19870724
AT 71626	T	19920215	AT 1987-306570	19870724
ES 2038184	T3	19930716	ES 1987-306570	19870724
PRIORITY APPLN. INFO.:			JP 1986-173551	A 19860725
			JP 1987-133534	A 19870530
			EP 1987-306570	A 19870724

OTHER SOURCE(S): CASREACT 108:150480; MARPAT 108:150480  
 GI



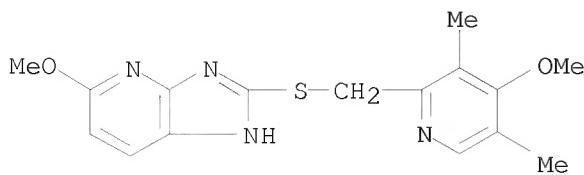
AB The title compds. [I; R1 = (cycloalkyl)alkoxy, fluoroalkoxy; R2 = H, Me, MeO; R3,R4 = H, Me] were prepared as ulcer inhibitors. 2-Mercapto-5-methoxyimidazo[4,5-b]pyridino-2-chloromethyl-3,5-dimethylpyridine.HCl, and KOH were refluxed 2 h in EtOH to give 2-[2-(3,5-dimethyl)pyridylmethylthio]-5-methoxyimidazo[4,5-b]pyridine. No procedure was given for oxidation of the latter to the corresponding I. I inhibited gastric acid secretion in rats with ED50's of 9-73 mg/kg orally.

IT 113713-24-9 113713-26-1

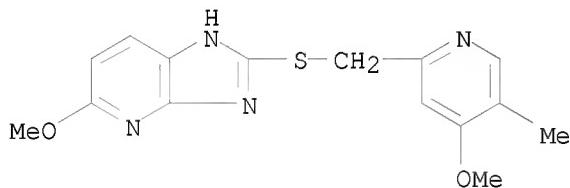
RL: RCT (Reactant); RACT (Reactant or reagent)  
 (oxidation of, in preparation of ulcer inhibitor)

RN 113713-24-9 CAPLUS

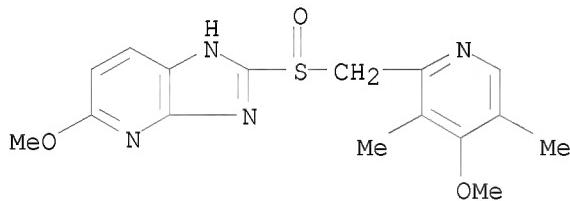
CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (CA INDEX NAME)



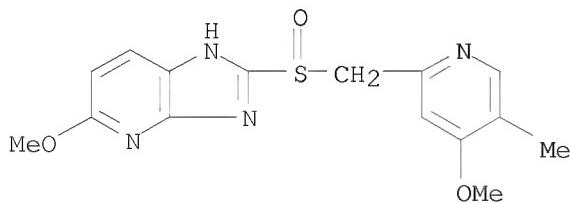
RN 113713-26-1 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[4-methoxy-5-methyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



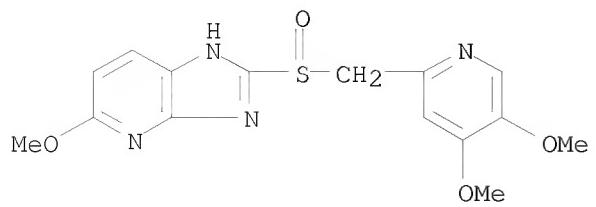
IT 113712-98-4P 113713-00-1P 113713-61-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as ulcer inhibitor)  
 RN 113712-98-4 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 113713-00-1 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[4-methoxy-5-methyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 113713-61-4 CAPLUS  
 CN 1H-Imidazo[4,5-b]pyridine, 2-[[4,5-dimethoxy-2-pyridinyl)methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 14:05:40 ON 01 APR 2008)

FILE 'REGISTRY' ENTERED AT 14:05:52 ON 01 APR 2008

L1                   STRUCTURE UPLOADED  
L2                   54 S L1 FULL

FILE 'CPLUS' ENTERED AT 14:06:23 ON 01 APR 2008

L3                   138 S L2 FULL

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	754.98	933.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-110.40	-110.40

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